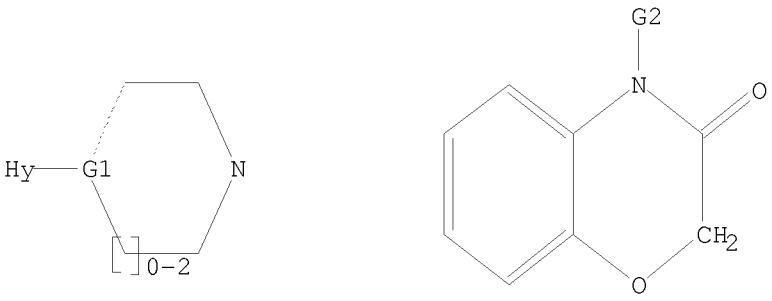
L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 C,N

G2 H,Cb,Ak,CH2

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SEARCH INITIATED 08:44:47 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 4408 TO ITERATE

45.4% PROCESSED 2000 ITERATIONS 16 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 84179 TO 92141
PROJECTED ANSWERS: 349 TO 1061

L2 16 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 08:44:56 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 88159 TO ITERATE

100.0% PROCESSED 88159 ITERATIONS 499 ANSWERS
SEARCH TIME: 00.00.02

L3 499 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 178.36 178.57

FILE 'CAPLUS' ENTERED AT 08:45:02 ON 09 SEP 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 9 Sep 2008 VOL 149 ISS 11
FILE LAST UPDATED: 8 Sep 2008 (20080908/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2008.

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/legal/infopolicy.html

=> s l3
L4 45 L3

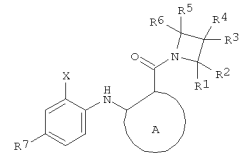
=> d ibib abs hitstr tot

L4 ANSWER 1 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:771167 CAPLUS
DOCUMENT NUMBER: 149:104583
TITLE: N-Acylazetidine derivatives as MEK inhibitors and their preparation, pharmaceutical compositions and use in the treatment of cancer
INVENTOR(S): Lamb, Peter
PATENT ASSIGNEE(S): Exelixis, Inc., USA
SOURCE: PCT Int. Appl., 534pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

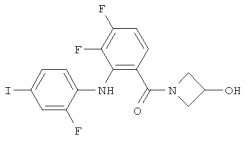
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008076415	A1	20080626	WO 2007-US25751	20071214
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20080166359	A1	20080710	US 2007-2340	20071214
PRIORITY APPLN. INFO.:			US 2006-875412P P	20061214

OTHER SOURCE(S): MARPAT 149:104583
GI

L4 ANSWER 1 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



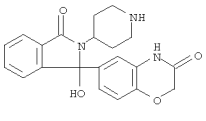
I



II

AB The invention provides methods of treating cancer by administering a compound of formula I, or a pharmaceutically acceptable salt or solvate thereof, in combination with other cancer treatments. Compds. of formula I wherein ring A is (un)substituted (hetero)arylene; X is (halo)alkyl, halo and haloalkoxy; R1, R2, R3, R4, R5 and R6 are independently H, halo, NO2, NH2 and derivs., OH and derivs., NHSO2H and derivs., CN, SH and derivs., etc.; R7 is H, halo and alkyl; and their pharmaceutically acceptable salts and solvates thereof are claimed. Example compound II was prepared by amidation of 3,4-difluoro-2-[(2-fluoro-4-iodophenyl)amino]benzoic acid with azetidin-3-ol hydrochloride. All the invention compds. were evaluated for their MEK inhibitory activity (some data given).
IT 870601-19-7P, 6-(1-Hydroxy-3-oxo-2-piperidin-4-yl-2,3-dihydro-1H-isoindol-1-yl)-2H-1,4-benzoxazin-3(4H)-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of N-acylazetidine derivs. as MEK inhibitors useful in the mono- and combination therapy of cancer)
RN 870601-19-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2,3-dihydro-1-hydroxy-3-oxo-2-(4-piperidinyl)-1H-isoindol-1-yl]- (CA INDEX NAME)

L4 ANSWER 1 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

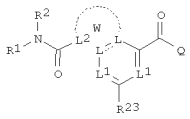


REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:640754 CAPLUS
DOCUMENT NUMBER: 149:10040
TITLE: Preparation of heterobicyclic matrix metalloprotease inhibitors
INVENTOR(S): Hochguertel, Matthias; Bluhm, Harald; Essers, Michael; Kroth, Heiko; Gege, Christian; Taveras, Arthur
PATENT ASSIGNEE(S): Alantos Pharmaceuticals Holding, Inc., USA
SOURCE: PCT Int. Appl., 134pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008063670	A1	20080529	WO 2007-US24365	20071120
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			US 2006-860155P P	20061120

OTHER SOURCE(S): MARPAT 149:10040
GI



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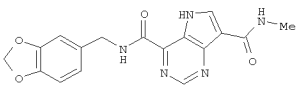
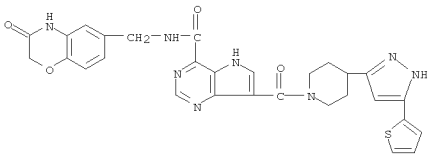


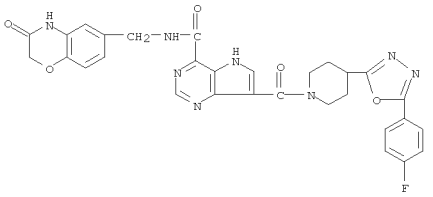
II

L4 ANSWER 2 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
AB The present invention provides a new class of heterobicyclic MMP-3 and/or MMP-13 inhibiting compds. I [R1 = H, alkyl, haloalkyl, etc.; R2 = H, alkyl; or NR1R2 = 3-8 membered ring containing C atoms and optionally containing a heteroatom selected from O, SOx or NR50; R9 = H, alkyl, cycloalkyl, etc.; R23 = H, OH, halo, etc.; R50 = H, alkyl, aryl, etc.; L1 = CR9, N; L = C, N with the proviso that both L and not N and that the bond between L and L is optionally a double bond only if both L are C atoms; L2 = C, N; Q = NR1R2, NR20R21, OR1; R20 = H, alkyl; R21 = (un)substituted bicyclic or tricyclic fused ring system, wherein at least one ring is partially saturated; W = (un)substituted 5-6 membered (hetero)cycloalkyl, (hetero)aryl; x = 0-2] that exhibit an increased potency and selectivity in relation to currently known MMP-13 and MMP-3 inhibitors. Two-hundred compds. I were prepared E.g., a multi-step synthesis of II, starting from Et 2-cyano-3-ethoxyacrylate and di-Et aminomalonate hydrochloride, was given. Exemplified compds. I were tested against MMP-13 and MMP-3 (data given for representative compds. I). Compds. I may be used in the treatment of metalloprotease mediated diseases, such as rheumatoid arthritis, osteoarthritis, abdominal aortic aneurysm, cancer, inflammation, atherosclerosis, multiple sclerosis, chronic obstructive pulmonary disease, ocular diseases, neurol. diseases, psychiatric diseases, thrombosis, bacterial infection, Parkinson's disease, fatigue, tremor, diabetic retinopathy, vascular diseases of the retina, aging, dementia, cardiomyopathy, renal tubular impairment, diabetes, psychosis, dyskinesia, pigmentary abnormalities, deafness, inflammatory and fibrotic syndromes, intestinal bowel syndrome, allergies, Alzheimer's disease, arterial plaque formation, periodontal, viral infection, stroke, cardiovascular disease, reperfusion injury, trauma, chemical exposure or oxidative damage to tissues, wound healing, hemorrhoid, skin beautifying, pain, inflammatory pain, bone pain and joint pain. Pharmaceutical composition comprising the compound I is disclosed.
IT 1029634-69-2P 1029634-72-7P 1029634-79-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterobicyclic matrix metalloprotease inhibitors)
RN 1029634-69-2 CAPLUS
CN 5H-Pyrrolo[3,2-d]pyrimidine-4-carboxamide, N-[(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)methyl]-7-[[4-[5-(2-thienyl)-1H-pyrazol-3-yl]-1-piperidinyl]carbonyl]- (CA INDEX NAME)

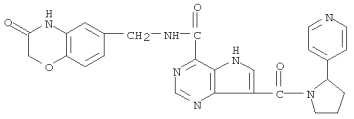
L4 ANSWER 2 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 1029634-72-7 CAPLUS
CN 5H-Pyrrolo[3,2-d]pyrimidine-4-carboxamide, N-[(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)methyl]-7-[[4-[5-(4-fluorophenyl)-1,3,4-oxadiazol-2-yl]-1-piperidinyl]carbonyl]- (CA INDEX NAME)



RN 1029634-79-4 CAPLUS
CN 5H-Pyrrolo[3,2-d]pyrimidine-4-carboxamide, N-[(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)methyl]-7-[[2-(4-pyridinyl)-1-pyrrolidinyl]carbonyl]- (CA INDEX NAME)

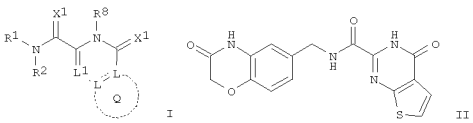


L4 ANSWER 2 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:639952 CAPLUS
DOCUMENT NUMBER: 149:10034
TITLE: Preparation of heterobicyclic metalloprotease inhibitors
INVENTOR(S): Gege, Christian; Schneider, Matthias; Chevrier, Carine; Deng, Hongbo; Sucholeiki, Irving; Gallagher, Brian M., Jr.; Bosies, Michael; Steeneck, Christoph; Wu, Xinyuan; Hochguertel, Matthias; Nolte, Bert; Taveras, Arthur
PATENT ASSIGNEE(S): Alantos Pharmaceuticals Holding, Inc., USA
SOURCE: PCT Int. Appl., 190pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

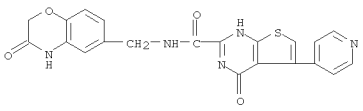
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008063668	A1	20080529	WO 2007-US24363	20071120
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20080207607	A1	20080828	US 2007-986603	20071120
PRIORITY APPLN. INFO.:			US 2006-860195P	P 20061120

OTHER SOURCE(S): MARPAT 149:10034
GI



AB The present invention relates generally to azabicyclic containing pharmaceutical agents, and in particular, to azabicyclic metalloprotease inhibiting compds. More particularly, the present invention provides a new class of azabicyclic MMP-3, MMP-8 and/or MMP-13 inhibiting compds. I [R1 = (hetero)cycloalkyl fused aryl, (hetero)cycloalkyl fused heteroaryl, (hetero)cycloalkyl fused arylalkyl, (hetero)cycloalkyl fused

L4 ANSWER 3 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
heteroarylalkyl; R2 = H, alkyl; or NR1R2 = 3-8 membered ring contg. C atoms and optionally a heteratom selected from O, S(O)x or NR50; R8 = H, alkyl, cycloalkyl, etc.; R9 = H, alkyl, cycloalkyl, etc.; R10 = H, alkyl, cycloalkyl, etc.; R50 = H, alkyl, aryl, etc.; X1 = O, S, NR10, etc.; L1 = CR9, N; L = C and N, with the proviso that both L are not N, and that the bond between L1 and L is optionally a double bond only if both L are C atoms; Q = (un)substituted 4-8 membered (hetero)cycloalkyl or 5-6 membered
(hetero)aryl; x = 0-2], which exhibit an increased potency and selectivity
in relation to currently known MMP-13, MMP-8 and MMP-3 inhibitors. Prepn.
of compds. I was described in many examples. E.g., a multi-step synthesis
of II, starting from Me 2-aminothiophene-3-carboxylate and Et cyanoacetate, was described. Compds. I were tested against different metalloproteases (data given for representative compds. I). For example, II showed IC50 lower than 100 nM when tested against MMP-13. Pharmaceutical compns. comprising compd. I, alone or in combination with other therapeutic agents, are disclosed.
IT 1029416-68-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterobicyclic metalloprotease inhibitors)
RN 1029416-68-9 CAPLUS
CN Thieno[2,3-d]pyrimidine-2-carboxamide, N-[(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)methyl]-1,4-dihydro-4-oxo-5-(4-pyridinyl)- (CA INDEX NAME)

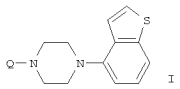


REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2008:501180 CAPLUS
DOCUMENT NUMBER: 148:495981
TITLE: Preparation of piperazine-substituted benzothiophenes for treatment of mental disorders
INVENTOR(S): Yamashita, Hiroshi; Matsubara, Jun; Oshima, Kunio; Kuroda, Hideaki; Shimizu, Satoshi; Tanaka, Tatsuyoshi;
Taira, Shinichi; Kondo, Kazumi; Takahashi, Haruka; Fukushima, Tae; Sakurai, Yohji
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 312pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

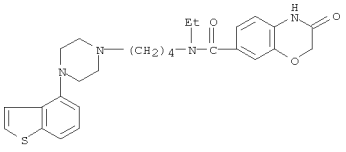
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008047883	A1	20080424	WO 2007-JP70386	20071012
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
JP 2008115175	A	20080522	JP 2007-267174	20071012
PRIORITY APPLN. INFO.:			JP 2006-280002	A 20061013
			JP 2006-280030	A 20061013

OTHER SOURCE(S): MARPAT 148:495981
GI

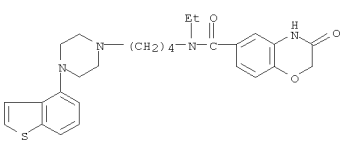


AB An object of the present invention is to provide a heterocyclic compound having a wide therapeutic spectrum, not causing adverse effects and having
high safety. The title heterocyclic compds. I [Q = AlN(R12)C(:Z)R11; A1 =

L4 ANSWER 4 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
alkylene or alkenylene group; Z = O or S; R11 = H, alkyl, aryl, etc.; R12 = H, alkyl, aryl, etc.], useful for treatment and prevention of CNS and mental disorders, were prepd. and formulated. Thus, treating N-{4-[4-(benzo[b]thiophen-4-yl)piperazin-1-yl]butyl}ethylamine with acetic
anhydride afforded N-{4-[4-(benzo[b]thiophen-4-yl)piperazin-1-yl]butyl}-N-ethylacetamide hydrochloride (II). Exemplified compds. I were tested in dopamine D2 and serotonin 5-HT2A binding assays. For example, II showed Ki of 4.2 nM and 3.0 nM in dopamine D2 and serotonin 5-HT2A binding assays, resp.
IT 1021320-21-7P 1021320-22-8P 1021323-40-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazine-substituted benzothiophenes for treatment and
prevention of CNS and mental disorders)
RN 1021320-21-7 CAPLUS
CN 2H-1,4-Benzoxazine-7-carboxamide, N-[4-(4-benzo[b]thien-4-yl-1-piperazinyl)butyl]-N-ethyl-3,4-dihydro-3-oxo- (CA INDEX NAME)

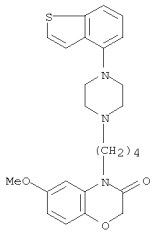


RN 1021320-22-8 CAPLUS
CN 2H-1,4-Benzoxazine-6-carboxamide, N-[4-(4-benzo[b]thien-4-yl-1-piperazinyl)butyl]-N-ethyl-3,4-dihydro-3-oxo- (CA INDEX NAME)



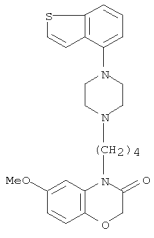
RN 1021323-40-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[4-(4-benzo[b]thien-4-yl-1-piperazinyl)butyl]-6-methoxy-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 4 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

IT 1021324-92-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of piperazine-substituted benzothiophenes for treatment and
prevention of CNS and mental disorders)
RN 1021324-92-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[4-(4-benzo[b]thien-4-yl-1-piperazinyl)butyl]-6-methoxy- (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:998699 CAPLUS
DOCUMENT NUMBER: 147:323015
TITLE: Preparation of piperazines and related compounds as NR1/NR2B receptor antagonists
INVENTOR(S): Masui, Moriyasu; Adachi, Makoto; Mikamiyama, Hidenori; Matsumura, Akira; Tsuno, Naoki
PATENT ASSIGNEE(S): Shionogi & Co., Ltd., Japan
SOURCE: PCT Int. Appl., 208pp. CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

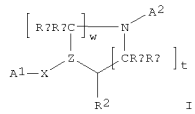
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007098828	A1	20070907	WO 2007-JP53166	20070221

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2006-46740 A 20060223
JP 2006-149750 A 20060530
JP 2006-318360 A 20061127

OTHER SOURCE(S): MARPAT 147:323015
GI

L4 ANSWER 5 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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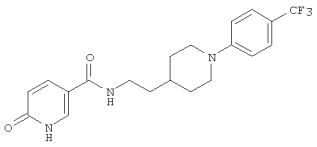


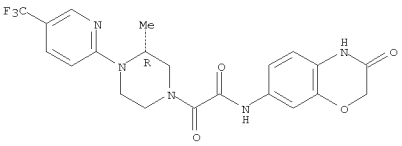
II

AB Title compds. I [Z = N or CR1; A1 = (un)substituted nitrogenous aromatic mono-cyclic group or (un)substituted nitrogenous aromatic fused-cyclic group (wherein said nitrogenous aromatic mono- and fused-cyclic groups have either at least one group selected from (un)protected hydroxy, (un)protected amino and (un)substituted aminooxy or -NH- in the ring); A2 = (un)substituted aromatic carbocyclic group or (un)substituted aromatic heterocyclic group; R1, R2 = H, hydroxy or alkyl; R1 and R2 may combine to form a single bond; Ra-Rd = H or alkyl; w = 2, 3; t = 1, 2; X = -(CR3R4)m-, -CO(CR3R4)n-, -(CR3R4)mCO-, etc.; m = 1-4; n = 0-4; R3, R4 = H, halo, hydroxy, etc.], or pharmaceutically acceptable salts, solvates thereof were prepared For example, DIAD mediated alkylation of phthalimide with 2-[1-[4-(trifluoromethyl)phenyl]piperidin-4-yl]ethanol, e.g., prepared from 1-fluoro-4-trifluoromethylbenzene, followed by treatment with NH2NH2·H2O and acylation with 6-hydroxynicotinic acid using EDC afforded compound II. In NR2B receptor binding assays, compound II exhibited the IC50 value of 0.033 μM. Of note, compds. I are useful as analgesics, etc.

IT 948021-99-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazines and related compds. as NR1/NR2B receptor antagonists)

L4 ANSWER 5 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 948021-99-6 CAPLUS
CN 1-Piperazineacetamide, N-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-7-yl)-3-methyl-α-oxo-4-[5-(trifluoromethyl)-2-pyridinyl]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 177 THERE ARE 177 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:963884 CAPLUS
DOCUMENT NUMBER: 147:322994
TITLE: Preparation of heterocyclic compounds having 5-HT6 receptor affinity for treating CNS, gastrointestinal, and polyglutamine-repeat disorders
INVENTOR(S): Dunn, Robert; Nguyen, Truc Minh; Xie, Wenge; Tehim, Ashok
PATENT ASSIGNEE(S): Memory Pharmaceuticals Corporation, USA
SOURCE: PCT Int. Appl., 179pp. CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

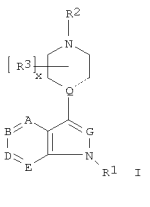
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007098418	A1	20070830	WO 2007-US62340	20070216

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

US 20080039462	A1	20080214	US 2007-676203	20070216

PRIORITY APPLN. INFO.: US 2006-774399P P 20060217

OTHER SOURCE(S): MARPAT 147:322994
GI



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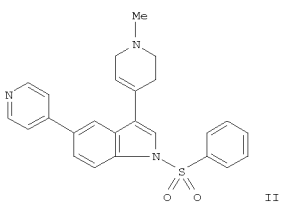


II

AB The present disclosure provides compds. having affinity for the 5HT6 receptor which are of the formula I (wherein A, B, D, E and G are CH, CR4

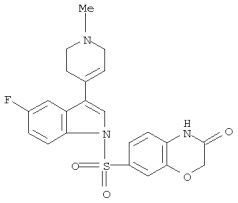
L4 ANSWER 6 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
or N; ---- represents a single bond or a double bond; Q is C, CH, or N; x = 0-4; R1 is SO2Ar where Ar is a ring or ring system; R2 is H, (un)substituted alkyl, cycloalkyl, etc.; R3 is H or (un)substituted alkyl;
R4 is halo, NO2, (un)substituted alkyl, etc.). Still further, the present
invention provides methods for synthesizing compds. with such activity and
selectivity, as well as methods of and corresponding pharmaceutical compns. for treating a disorder (e.g. a mood disorder and/or a cognitive disorder) in a patient, wherein the disorder is related to or affected by the 5HT6 receptor. Example compd. II was prepd. by reacting

5-bromo-3-(1-methyl-1,2,3,6-tetrahydropyridin-4-yl)-1-(phenylsulfonyl)-1H-indole (prepn. given) with pyridin-3-ylboronic acid. Compds. of the invention show 5-HT6 binding activity with receptor Ki values of typically
<1-100 nM. In addn., compds. of the invention show 5-HT6 functional activity with pA2 values of >6 (IC50 <1 μM).
IT 947497-31-6P, 7-[[5-Fluoro-3-(1-methyl-1,2,3,6-tetrahydropyridin-4-yl)-1H-indol-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one
947497-32-7P, 7-[[3-(1-Methyl-1,2,3,6-tetrahydropyridin-4-yl)-1H-pyrrolo[2,3-b]pyridin-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one
947497-56-5P, 6-[[3-(1-Methyl-1,2,3,6-tetrahydropyridin-4-yl)-1H-pyrrolo[2,3-b]pyridin-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one
947497-58-7P, 7-[[3-(1-Methyl-1,2,3,6-tetrahydropyridin-4-yl)-1H-pyrrolo[3,2-b]pyridin-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one
947497-59-8P, 6-[[3-(1-Methyl-1,2,3,6-tetrahydropyridin-4-yl)-1H-pyrrolo[3,2-b]pyridin-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one
947497-60-1P, 6-[[5-Fluoro-3-(1-methyl-1,2,3,6-tetrahydropyridin-4-yl)-1H-indol-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one
947497-73-6P, 7-[[3-(1-Methyl-1,2,3,6-tetrahydropyridin-4-yl)-1H-indazol-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one 947498-09-1P,

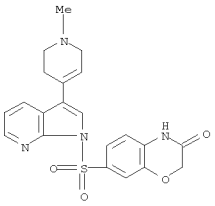
6-[[3-(1-Methyl-1,2,3,6-tetrahydropyridin-4-yl)-1H-indazol-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one 947498-19-3P, 7-[[3-(1-Methyl-1,2,3,6-tetrahydropyridin-4-yl)-1H-pyrazolo[4,3-b]pyridin-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one 947498-20-6P, 7-[[3-(1-Methyl-1,2,3,6-tetrahydropyridin-4-yl)-1H-pyrazolo[3,4-b]pyridin-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one 947498-31-9P, 7-[[3-(1,2,3,6-Tetrahydropyridin-4-yl)-1H-pyrrolo[3,2-b]pyridin-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one 947498-34-2P, 6-[[3-(1,2,3,6-Tetrahydropyridin-4-yl)-1H-pyrrolo[3,2-b]pyridin-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one 947498-35-3P, 7-[[5-Methoxy-3-(1-methyl-

1,2,3,6-tetrahydropyridin-4-yl)-1H-indol-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one 947498-40-0P, 7-[[3-(Piperazin-1-yl)-1H-indazol-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one 947498-41-1P, 7-[[3-(Piperazin-1-yl)-1H-indazol-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one formate 947498-42-2P, 7-[[3-(4-Methylpiperazin-1-yl)-1H-indazol-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one 947498-43-3P, 7-[[3-(4-Methylpiperazin-1-yl)-1H-indol-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one 947498-44-4P, 7-[[3-(Piperazin-1-yl)-1H-indol-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride

L4 ANSWER 6 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
947498-55-7P, 7-[[3-(Piperazin-1-yl)-1H-indol-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one 947498-56-8P, 7-[[3-(Piperazin-1-yl)-1H-indol-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one formate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of heterocyclic compds. having 5-HT6 receptor affinity for treating CNS, gastrointestinal, and polyglutamine-repeat disorders)
RN 947497-31-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[[5-fluoro-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)-1H-indol-1-yl]sulfonyl]- (CA INDEX NAME)

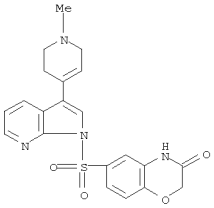


RN 947497-32-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[[3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)-1H-pyrrolo[2,3-b]pyridin-1-yl]sulfonyl]- (CA INDEX NAME)

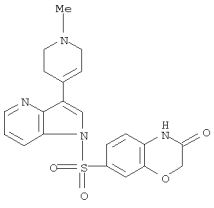


RN 947497-56-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[3-(1,2,3,6-tetrahydro-1-methyl-4-

L4 ANSWER 6 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
pyridinyl)-1H-pyrrolo[2,3-b]pyridin-1-yl]sulfonyl]- (CA INDEX NAME)

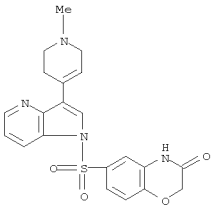


RN 947497-58-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[[3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)-1H-pyrrolo[3,2-b]pyridin-1-yl]sulfonyl]- (CA INDEX NAME)

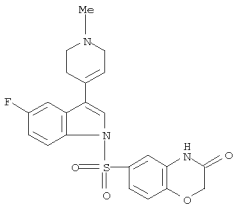


RN 947497-59-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)-1H-pyrrolo[3,2-b]pyridin-1-yl]sulfonyl]- (CA INDEX NAME)

L4 ANSWER 6 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

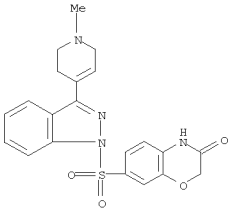


RN 947497-60-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[5-fluoro-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)-1H-indol-1-yl]sulfonyl]- (CA INDEX NAME)

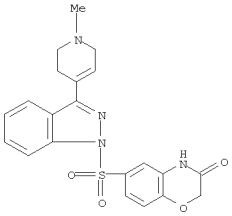


RN 947497-73-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[[3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)-1H-indazol-1-yl]sulfonyl]- (CA INDEX NAME)

L4 ANSWER 6 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

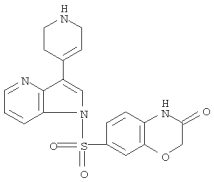


RN 947498-09-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)-1H-indazol-1-yl]sulfonyl]- (CA INDEX NAME)

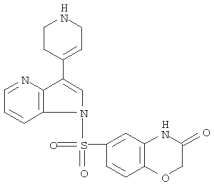


RN 947498-19-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[[3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)-1H-pyrazolo[4,3-b]pyridin-1-yl]sulfonyl]- (CA INDEX NAME)

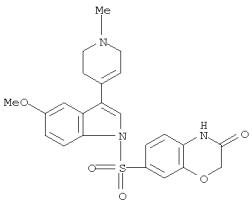
L4 ANSWER 6 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



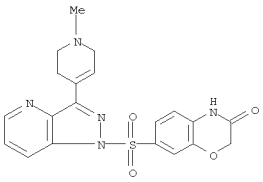
RN 947498-34-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-pyrrolo[3,2-b]pyridin-1-yl]sulfonyl]- (CA INDEX NAME)



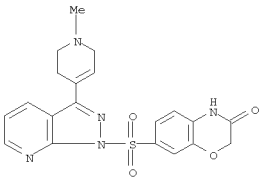
RN 947498-35-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[[5-methoxy-3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)-1H-indol-1-yl]sulfonyl]- (CA INDEX NAME)



L4 ANSWER 6 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



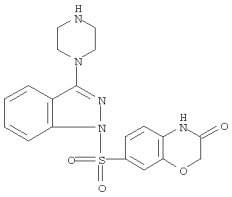
RN 947498-20-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[[3-(1,2,3,6-tetrahydro-1-methyl-4-pyridinyl)-1H-pyrazolo[3,4-b]pyridin-1-yl]sulfonyl]- (CA INDEX NAME)



RN 947498-31-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[[3-(1,2,3,6-tetrahydro-4-pyridinyl)-1H-pyrrolo[3,2-b]pyridin-1-yl]sulfonyl]- (CA INDEX NAME)

L4 ANSWER 6 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

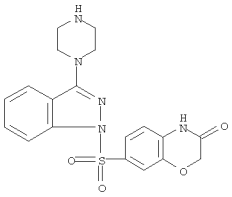
RN 947498-40-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[[3-(1-piperazinyl)-1H-indazol-1-yl]sulfonyl]- (CA INDEX NAME)



RN 947498-41-1 CAPLUS
CN Formic acid, compd. with 7-[[3-(1-piperazinyl)-1H-indazol-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one (1:1) (CA INDEX NAME)

CM 1

CRN 947498-40-0
CMF C19 H19 N5 O4 S

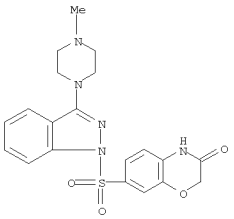


CM 2

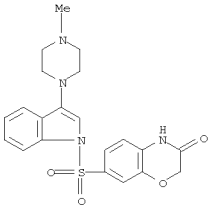
CRN 64-18-6
CMF C H2 O2

RN 947498-42-2 CAPLUS

L4 ANSWER 6 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[[3-(4-methyl-1-piperazinyl)-1H-indazol-1-yl]sulfonyl]- (CA INDEX NAME)

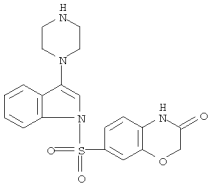


RN 947498-43-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[[3-(4-methyl-1-piperazinyl)-1H-indol-1-yl]sulfonyl]- (CA INDEX NAME)



RN 947498-44-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[[3-(1-piperazinyl)-1H-indol-1-yl]sulfonyl]-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 6 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



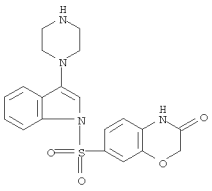
CM 2

CRN 64-18-6
CMF C H2 O2

O═CH—OH

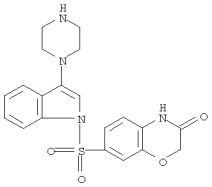
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 947498-55-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[[3-(1-piperazinyl)-1H-indol-1-yl]sulfonyl]- (CA INDEX NAME)



RN 947498-56-8 CAPLUS
CN Formic acid, compd. with 7-[[3-(1-piperazinyl)-1H-indol-1-yl]sulfonyl]-2H-1,4-benzoxazin-3(4H)-one (1:1) (CA INDEX NAME)

CM 1

CRN 947498-55-7
CMF C20 H20 N4 O4 S

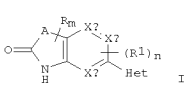
L4 ANSWER 7 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:755449 CAPLUS
DOCUMENT NUMBER: 147:166327
TITLE: Preparation of fused heterocycles as mineralocorticoid receptor antagonists
INVENTOR(S): Fukumoto, Shoji; Matsunaga, Nobuyuki; Ohra, Taiichi; Ohyabu, Norio; Hasui, Tomoaki; Motoyaji, Takashi; Siedem, Christopher Stephen; Tang, Tony Pisal; Demeese, Lisa A.; Gauthier, Cassandra
PATENT ASSIGNEE(S): Takeda Pharmaceutical Company Limited, Japan
SOURCE: PCT Int. Appl., 533pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007077961	A2	20070712	WO 2006-JP326367	20061227
WO 2007077961	A3	20071122		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

PRIORITY APPLN. INFO.:	US 2005-754416P	P 20051228
	US 2006-818803P	P 20060706

OTHER SOURCE(S): MARPAT 147:166327
GI



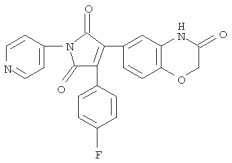
I

AB Title compds. [I; A = X1, X2, X3; X1, X2 = bond, CH2, CH, O, NH, N, S, SO, SO2; X3 = CH2, CH, O, NH, N, S, SO, SO2; R, R1 = halo, NO2, cyano, (substituted) aliphatyl, OH, amino, CO2H, carbamoyl, SH, acyl; CRR = atoms to form a spiro ring; m = 0-4; n = 0-3; Xa, Xb, Xc = CH, N; Het = (substituted) pyridyl, pyrazolyl, imidazolyl, imidazopyridyl, etc.; with provisos], were prepared Thus, 6-[bromo(phenyl)acetyl]-2H-1,4-benzoxazin-

L4 ANSWER 7 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
3(4H)-one and 4-amino-4H-1,2,4-triazole-3-thiol were refluxed together for
24 h in EtOH/PhMe to give 6-[7-phenyl-7H-[1,2,4]triazolo[3,4-b][1,3,4]thiadiazin-6-yl]-2H-1,4-benzoxazin-3(4H)-one. The latter and other I showed ≥70% MR antagonist activity at 10-5 M.

IT 943991-47-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of fused heterocycles as mineralocorticoid receptor antagonists)

RN 943991-47-7 CAPLUS
CN 1H-Pyrrole-2,5-dione, 3-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-4-(4-fluorophenyl)-1-(4-pyridinyl)- (CA INDEX NAME)



L4 ANSWER 8 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN

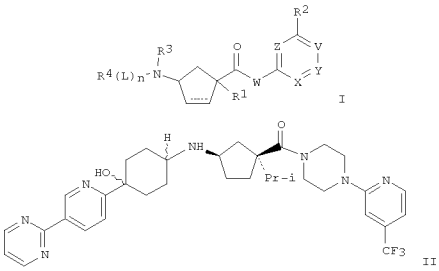
ACCESSION NUMBER: 2007:706095 CAPLUS
DOCUMENT NUMBER: 147:117972
TITLE: Preparation of 3-aminocyclopentanecarboxamides as modulators of chemokine receptors
INVENTOR(S): Xue, Chu-Biao
PATENT ASSIGNEE(S): Incyte Corporation, USA
SOURCE: PCT Int. Appl., 85pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007072201	A2	20070628	WO 2006-IB3739	20061218
WO 2007072201	A3	20071004		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
AU 2006327823	A1	20070628	AU 2006-327823	20061218
NL 2000380	A1	20070625	NL 2006-2000380	20061219
NL 2000380	C2	20071128		
US 20070149532	A1	20070628	US 2006-613330	20061220
IN 2008DN04984	A	20080808	IN 2008-DN4984	20080610
KR 2008070868	A	20080731	KR 2008-714972	20080620

PRIORITY APPLN. INFO.: US 2005-752320P P 20051221
US 2005-752477P P 20051221
WO 2006-IB3739 W 20061218

OTHER SOURCE(S): MARPAT 147:117972
GI

L4 ANSWER 8 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



I

II

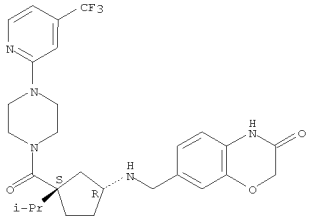
AB Cyclopentanecarboxamides of formula I [W = (substituted) piperidine, piperazine; V, X, Y, Z = N, NO, (substituted) CH; L = alkylene, CO, CONH, SO2, etc.; R1 = alkyl, OH, acyl, etc.; R2 = H, OH, halo, alkyl, alkoxy, etc.; R3 = H, alkyl, etc.; R4 = alkyl, aryl, cycloalkyl, heteroaryl, etc.] are prepared as modulators of chemokine receptors. The compds. of the invention, and compns. thereof, are useful in the treatment of diseases related to chemokine receptor expression and/or activity. Thus, II was prepared, and had IC50 value of 19.8 nM against CCR2.

IT 942944-96-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aminocyclopentanecarboxamides as chemokine receptor modulators)

RN 942944-96-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[[[(1R,3S)-3-(1-methylethyl)-3-[[4-[4-(trifluoromethyl)-2-pyridinyl]-1-piperazinyl]carbonyl]cyclopentyl]amino]methyl]- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 8 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 9 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:619346 CAPLUS
DOCUMENT NUMBER: 147:52936
TITLE: Preparation of alicyclic heterocycles as CCR4 function regulators
INVENTOR(S): Furukubo, Shigeru; Miyazaki, Hiroshi
PATENT ASSIGNEE(S): Tanabe Seiyaku Co., Ltd., Japan
SOURCE: PCT Int. Appl., 184pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

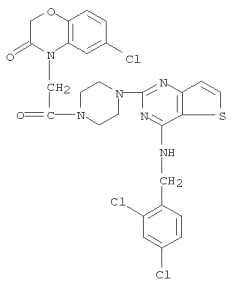
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007063934	A1	20070607	WO 2006-JP323908	20061130
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			JP 2005-348597	A 20051202
			US 2005-750038P	P 20051214

OTHER SOURCE(S): MARPAT 147:52936
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [ring A = Q1, etc.; ring B = (un)substituted aromatic hydrocarbon ring, (un)substituted heterocycle; P1, P2 = CH, N with the proviso that P1 and P2 can not be CH simultaneously; q, r = 0-2; m = 1, 2;
X = -N(R7)-, -O-, -C(R8)(R9)-; Y = -C(R10)(R11)-, -CO-, -SO2-; Z = alkylene (optionally substituted with oxo), -CON(R12)-, -SO2N(R12)-, etc.;
R1 = H, alkyl, alkoxy, etc.; R2 = H, alkyl, alkoxycarbonyl, etc.; R3 = (un)substituted hydrocarbon ring, (un)substituted heterocycle, hydroxy, etc.; R7 = H, alkyl; R8, R9, R10, and R11 = H, alkyl; R12 = H, alkyl] and their pharmaceutically acceptable salts were prepared For example, reaction of (5-chloro-pyrazolo[1,5-a]pyrimidin-7-yl)-(2,4-dichloro-benzyl)amine,

L4 ANSWER 9 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
e.g, prepd. from 3-aminopyrazole in 3 steps, with (R)-2-(piperazine-1-carbonyl)-pyrrolidine-1-carboxylic acid tert-Bu ester followed by treatment with trifluoroacetic acid afforded compd. II. Of note, compds. I are useful as CCR4 function regulators for the treatment of bronchial asthma and atopic dermatitis (no data).
IT 939976-97-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of alicyclic heterocycles as CCR4 function regulators)
RN 939976-97-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-chloro-4-[2-[4-[4-[[(2,4-dichlorophenyl)methyl]amino]thieno[3,2-d]pyrimidin-2-yl]-1-piperazinyl]-2-oxoethyl]- (CA INDEX NAME)



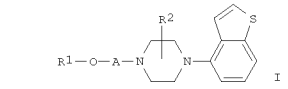
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 10 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2007:257347 CAPLUS
DOCUMENT NUMBER: 146:316939
TITLE: Preparation of benzo[b]thiophen-4-yl-piperazine and related compounds as antipsychotic agents for the treatment of mental disorders
INVENTOR(S): Yamashita, Hiroshi; Matsubara, Jun; Oshima, Kunio; Kuroda, Hideaki; Ito, Nobuaki; Miyamura, Shin; Shimizu, Satoshi; Tanaka, Tatsuyoshi; Taira, Shinichi; Kondo, Kazumi; Itotani, Motohiro; Bando, Masahiko; Fukushima, Tae; Oshiro, Yasuo; Takahashi, Haruka; Sakurai, Yohji; Kuroda, Takeshi; Shimada, Jun; Maeda, Kenji; Tadori, Yoshihiro; Amada, Naoki; Akazawa, Hitomi; Yamashita, Junko; Mori, Atsushi; Uwahodo, Yasufumi; Masumoto, Takumi; Sugino, Haruhiko; Kikuchi, Tetsuro; Hashimoto, Kazuya
PATENT ASSIGNEE(S): Otsuka Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 686pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

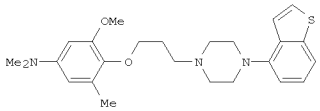
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007026959	A2	20070308	WO 2006-JP317704	20060831
WO 2007026959	A3	20070816		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2006285607	A1	20070308	AU 2006-285607	20060831
CA 2620688	A1	20070308	CA 2006-2620688	20060831
JP 2007091733	A	20070412	JP 2006-235401	20060831
EP 1919907	A2	20080514	EP 2006-797580	20060831
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
IN 2008DN01407	A	20080808	IN 2008-DN1407	20080219
KR 2008033446	A	20080416	KR 2008-704418	20080225
MX 200802736	A	20080326	MX 2008-2736	20080226
CN 101258147	A	20080903	CN 2006-80032043	20080229
PRIORITY APPLN. INFO.:			JP 2005-251055	A 20050831
			WO 2006-JP17704	W 20060831
			WO 2006-JP317704	W 20060831

OTHER SOURCE(S): MARPAT 146:316939

L4 ANSWER 10 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
GI



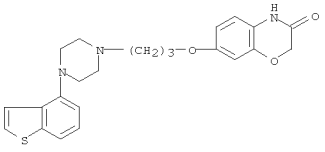
I



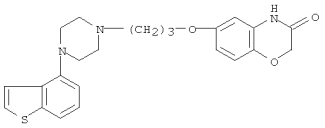
II

AB Title compds. I [R1 = cycloalkyl, (un)substituted aryl, heterocyclyl; R2 = H or lower alkyl; A = lower alkylene or lower alkenylene], and their pharmaceutically acceptable salts, are prepared and disclosed as antipsychotic agents for the treatment of mental disorders. Thus, e.g., II·HCl was prepared via nucleophilic substitution of [4-(3-chloropropoxy)-3-methoxy-5-methylphenyl]-carbamic acid tert-Bu ester (preparation given) with 1-benzo[b]thiophen-4-yl-piperazine hydrochloride (preparation given) followed by deprotection and dimethylation. Binding assays were used to determine Ki values for I, e.g., II·HCl demonstrated Ki values of 0.4 nM in Dopamine D2 receptor and 5.9 nM in Serotonin 5-HT2A receptor. Serotonin uptake inhibitory activity of II·HCl was also determined as 95.3%. The invention compds. may be widely used in the treatment and prevention of mental disorders including central nervous system disorders, while demonstrating no side effects.
IT 928222-55-3P 928222-73-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of benzo[b]thiophen-4-yl-piperazine and related compds. as antipsychotic agents for the treatment of mental disorders)
RN 928222-55-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[3-(4-benzo[b]thien-4-yl-1-piperazinyl)propoxy]- (CA INDEX NAME)

L4 ANSWER 10 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



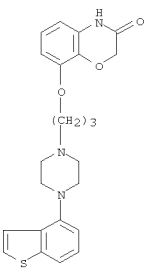
RN 928222-73-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[3-(4-benzo[b]thien-4-yl-1-piperazinyl)propoxy]- (CA INDEX NAME)



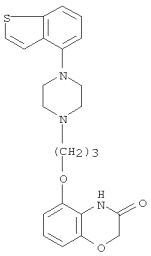
IT 928222-72-4P 928222-74-6P 928222-94-0P
928222-95-1P 928222-96-2P 928223-09-0P
928223-11-4P 928223-14-7P 928223-15-8P
928223-16-9P 928223-17-0P 928226-66-8P
928226-69-1P 928232-58-0P 928232-81-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzo[b]thiophen-4-yl-piperazine and related compds. as
antipsychotic agents for the treatment of mental disorders)

RN 928222-72-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[3-(4-benzo[b]thien-4-yl-1-piperazinyl)propoxy]- (CA INDEX NAME)

L4 ANSWER 10 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

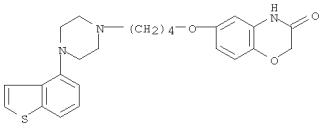


RN 928222-74-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 5-[3-(4-benzo[b]thien-4-yl-1-piperazinyl)propoxy]- (CA INDEX NAME)

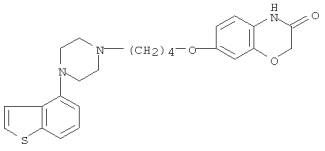


RN 928222-94-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[4-(4-benzo[b]thien-4-yl-1-piperazinyl)butoxy]-, hydrochloride (1:?) (CA INDEX NAME)

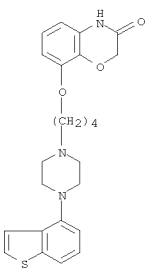
L4 ANSWER 10 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 928222-95-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[4-(4-benzo[b]thien-4-yl-1-piperazinyl)butoxy]- (CA INDEX NAME)

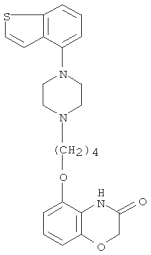


RN 928222-96-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[4-(4-benzo[b]thien-4-yl-1-piperazinyl)butoxy]- (CA INDEX NAME)

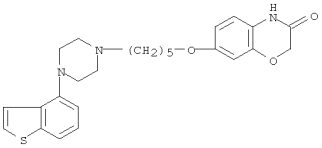


L4 ANSWER 10 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 928223-09-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 5-[4-(4-benzo[b]thien-4-yl-1-piperazinyl)butoxy]- (CA INDEX NAME)

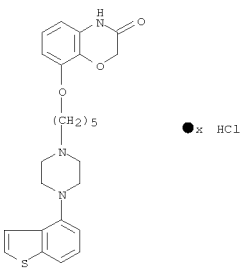


RN 928223-11-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[[5-(4-benzo[b]thien-4-yl-1-piperazinyl)pentyl]oxy]- (CA INDEX NAME)



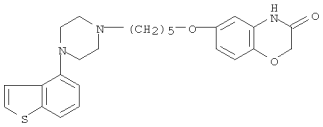
RN 928223-14-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[[5-(4-benzo[b]thien-4-yl-1-piperazinyl)pentyl]oxy]-, hydrochloride (1:?) (CA INDEX NAME)

L4 ANSWER 10 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



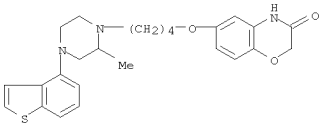
●x HCl

RN 928223-15-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[5-(4-benzo[b]thien-4-yl-1-piperazinyl)pentyl]oxy]- (CA INDEX NAME)



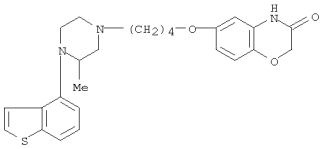
RN 928223-16-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 5-[[5-(4-benzo[b]thien-4-yl-1-piperazinyl)pentyl]oxy]- (CA INDEX NAME)

L4 ANSWER 10 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



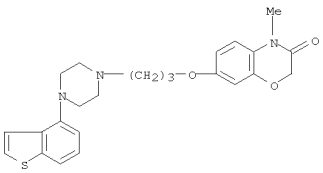
●2 HCl

RN 928226-69-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[4-(4-benzo[b]thien-4-yl-3-methyl-1-piperazinyl)butoxy]-, hydrochloride (1:2) (CA INDEX NAME)



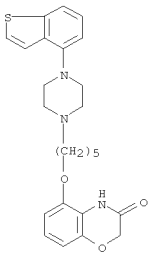
●2 HCl

RN 928232-58-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[3-(4-benzo[b]thien-4-yl-1-piperazinyl)propoxy]-4-methyl- (CA INDEX NAME)

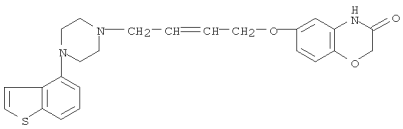


RN 928232-81-9 CAPLUS

L4 ANSWER 10 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



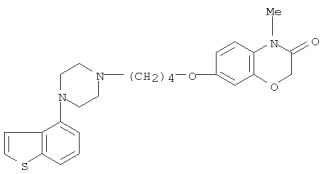
RN 928223-17-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[4-(4-benzo[b]thien-4-yl-1-piperazinyl)-2-buten-1-yl]oxy]- (CA INDEX NAME)



RN 928226-66-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[4-(4-benzo[b]thien-4-yl-2-methyl-1-piperazinyl)butoxy]-, hydrochloride (1:2) (CA INDEX NAME)

L4 ANSWER 10 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[4-(4-benzo[b]thien-4-yl-1-piperazinyl)butoxy]-4-methyl- (CA INDEX NAME)

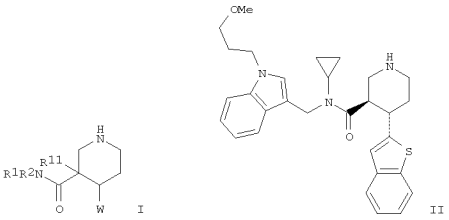


L4 ANSWER 11 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1253250 CAPLUS
DOCUMENT NUMBER: 146:27732
TITLE: Preparation of piperidinecarboxamides as renin inhibitors
INVENTOR(S): Ehara, Takeru; Hitomi, Yuko; Konischi, Kazuhide; Masuya, Keiichi
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SOURCE: PCT Int. Appl., 156pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006125621	A1	20061130	WO 2006-EP4941	20060524
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2006251329	A1	20061130	AU 2006-251329	20060524
CA 2608685	A1	20061130	CA 2006-2608685	20060524
EP 1888569	A1	20080220	EP 2006-753836	20060524
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
IN 2007DN08400	A	20080704	IN 2007-DN8400	20071031
KR 2008013933	A	20080213	KR 2007-727378	20071123
CN 101223164	A	20080716	CN 2006-80025972	20080116
PRIORITY APPLN. INFO.:			GB 2005-10810 A	20050526
			WO 2006-EP4941 W	20060524

OTHER SOURCE(S): MARPAT 146:27732
GI

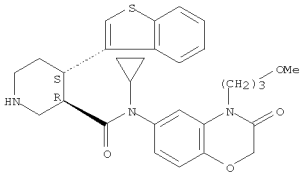
L4 ANSWER 11 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB Title compds. [I; R1 = H, (substituted) alkyl, alkenyl, alkynyl, aryl, heterocyclyl, cycloalkyl; R2 = (substituted) alkyl, alkenyl, alkynyl, aryl, heterocyclyl, cycloalkyl, acyl; W = (substituted) polycyclic heterocyclyl, aryl; R11 = H, OH, halo, alkyl, haloalkyl, cycloalkyl, halocycloalkyl, alkoxy, haloalkoxy, cyano], were prepared as antihypertensives (no data). Thus, title compound (II) was prepared in several steps from 4-trifluoromethanesulfonyloxy-5,6-dihydro-2H-pyridine-1,3-dicarboxylic acid 1-tert-Bu ester 3-Me ester, 2-benzothiopheneboronic acid, indole-3-carboxaldehyde, toluene-4-sulfonic acid 3-methoxypropyl ester, and cyclopropylamine.
IT 916149-33-2P 916149-35-4P 916149-36-5P
916149-40-1P 916149-41-2P 916149-42-3P
916149-43-4P 916149-45-6P 916149-49-0P
916149-50-3P 916149-51-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of piperidinecarboxamides as renin inhibitors)
RN 916149-33-2 CAPLUS
CN 3-Piperidinecarboxamide, 4-benzo[b]thien-3-yl-N-cyclopropyl-N-[3,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]-, (3R,4S)-rel- (CA INDEX NAME)

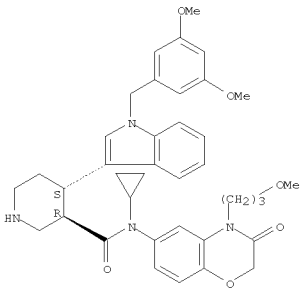
Relative stereochemistry.

L4 ANSWER 11 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 916149-35-4 CAPLUS
CN 3-Piperidinecarboxamide, N-cyclopropyl-N-[3,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]-4-[1-[(3,5-dimethoxyphenyl)methyl]-1H-indol-3-yl]-, (3R,4S)-rel- (CA INDEX NAME)

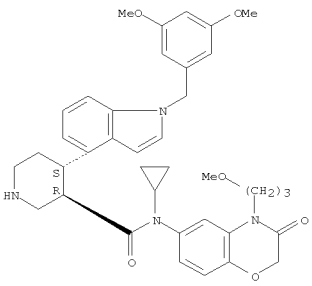
Relative stereochemistry.



RN 916149-36-5 CAPLUS
CN 3-Piperidinecarboxamide, N-cyclopropyl-N-[3,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]-4-[1-[(3,5-dimethoxyphenyl)methyl]-1H-indol-4-yl]-, (3R,4S)-rel- (CA INDEX NAME)

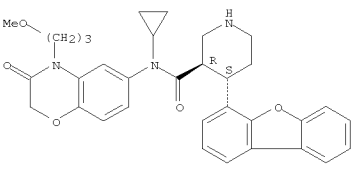
Relative stereochemistry.

L4 ANSWER 11 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 916149-40-1 CAPLUS
CN 3-Piperidinecarboxamide, N-cyclopropyl-4-(4-dibenzofuranyl)-N-[3,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]-, (3R,4S)-rel- (CA INDEX NAME)

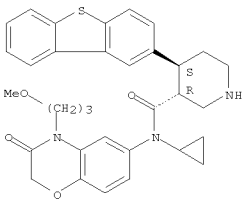
Relative stereochemistry.



RN 916149-41-2 CAPLUS
CN 3-Piperidinecarboxamide, N-cyclopropyl-4-(2-dibenzothienyl)-N-[3,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]-, (3R,4S)-rel- (CA INDEX NAME)

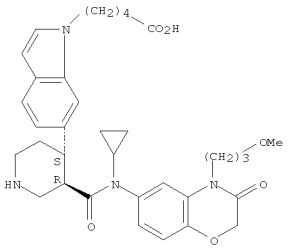
Relative stereochemistry.

L4 ANSWER 11 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 916149-42-3 CAPLUS
CN 1H-Indole-1-pentanoic acid, 6-[(3R,4S)-3-[[cyclopropyl[3,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]amino]carbonyl]-4-piperidinyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

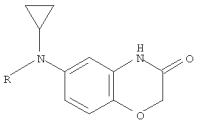


RN 916149-43-4 CAPLUS
CN 3-Piperidinecarboxamide, N-cyclopropyl-N-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-4-[1-[(3,5-dimethoxyphenyl)methyl]-1H-indol-4-yl]-, (3R,4S)-rel- (CA INDEX NAME)

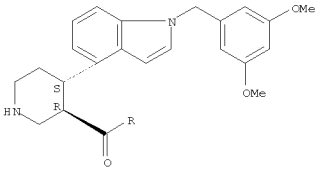
Relative stereochemistry.

L4 ANSWER 11 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-A

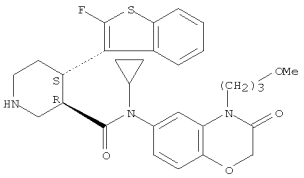


PAGE 2-A



RN 916149-45-6 CAPLUS
CN 3-Piperidinecarboxamide, N-cyclopropyl-N-[3,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]-4-(2-fluorobenzo[b]thien-3-yl)-, (3R,4S)-rel- (CA INDEX NAME)

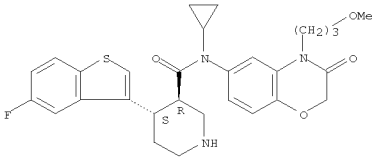
Relative stereochemistry.



RN 916149-49-0 CAPLUS
CN 3-Piperidinecarboxamide, N-cyclopropyl-N-[3,4-dihydro-4-(3-methoxypropyl)-

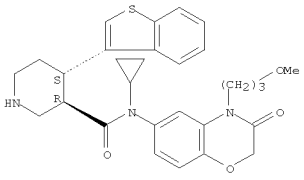
L4 ANSWER 11 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
3-oxo-2H-1,4-benzoxazin-6-yl]-4-(5-fluorobenzo[b]thien-3-yl)-, (3R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 916149-50-3 CAPLUS
CN 3-Piperidinecarboxamide, 4-benzo[b]thien-3-yl-N-cyclopropyl-N-[3,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]-, (3R,4S)- (CA INDEX NAME)

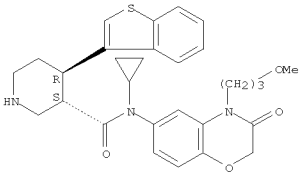
Absolute stereochemistry. Rotation (+).



RN 916149-51-4 CAPLUS
CN 3-Piperidinecarboxamide, 4-benzo[b]thien-3-yl-N-cyclopropyl-N-[3,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]-, (3S,4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

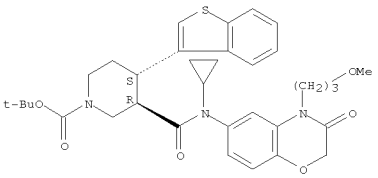
L4 ANSWER 11 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



IT 916150-13-5P 916150-15-7P 916150-16-8P
916150-32-8P 916150-33-9P 916150-34-0P
916150-35-1P 916150-36-2P 916150-37-3P
916150-41-9P 916150-48-6P 916150-52-2P
916150-53-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperidinecarboxamides as renin inhibitors)

RN 916150-13-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-benzo[b]thien-3-yl-3-[[cyclopropyl[3,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]amino]carbonyl]-, 1,1-dimethylethyl ester, (3R,4S)-rel- (CA INDEX NAME)

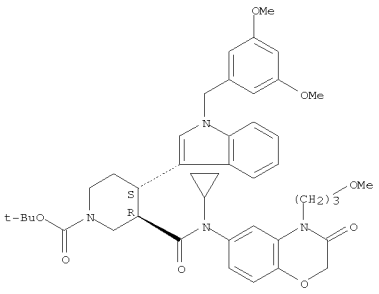
Relative stereochemistry.



RN 916150-15-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[[cyclopropyl[3,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]amino]carbonyl]-4-[1-[(3,5-dimethoxyphenyl)methyl]-1H-indol-3-yl]-, 1,1-dimethylethyl ester, (3R,4S)-rel- (CA INDEX NAME)

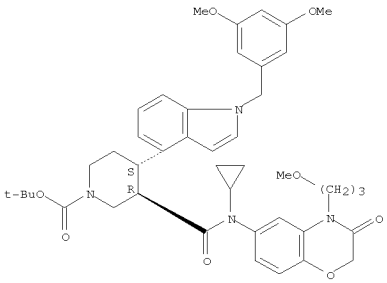
Relative stereochemistry.

L4 ANSWER 11 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



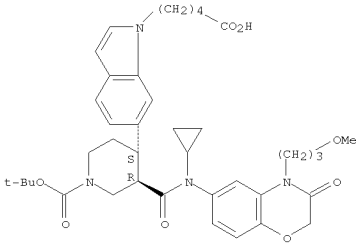
RN 916150-16-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[[cyclopropyl[3,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]amino]carbonyl]-4-[1-[(3,5-dimethoxyphenyl)methyl]-1H-indol-4-yl]-, 1,1-dimethylethyl ester, (3R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



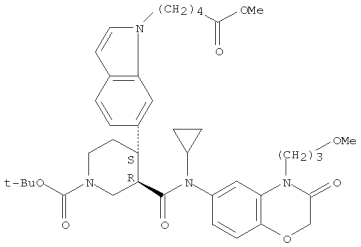
RN 916150-32-8 CAPLUS

L4 ANSWER 11 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 916150-35-1 CAPLUS
CN 1H-Indole-1-pentanoic acid, 6-[(3R,4S)-3-[[cyclopropyl[3,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]amino]carbonyl]-1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]-, methyl ester, rel- (CA INDEX NAME)

Relative stereochemistry.

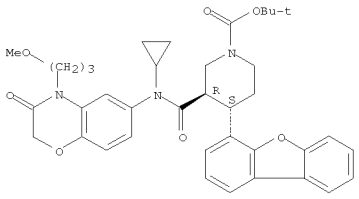


RN 916150-36-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[[cyclopropyl[3,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]amino]carbonyl]-4-[1-[[2-(trimethylsilyl)ethoxy]methyl]-1H-indol-6-yl]-, 1,1-dimethylethyl ester, (3R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.

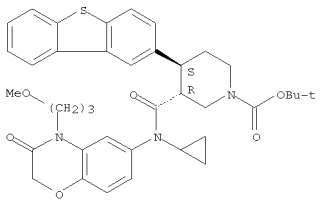
L4 ANSWER 11 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN 1-Piperidinecarboxylic acid, 3-[[cyclopropyl[3,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]amino]carbonyl]-4-(4-dibenzofuranyl)-, 1,1-dimethylethyl ester, (3R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



RN 916150-33-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[[cyclopropyl[3,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]amino]carbonyl]-4-(2-dibenzothienyl)-, 1,1-dimethylethyl ester, (3R,4S)-rel- (CA INDEX NAME)

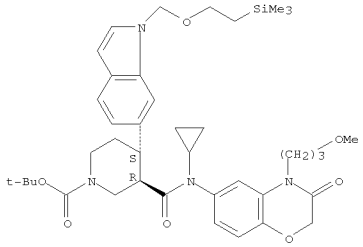
Relative stereochemistry.



RN 916150-34-0 CAPLUS
CN 1H-Indole-1-pentanoic acid, 6-[(3R,4S)-3-[[cyclopropyl[3,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]amino]carbonyl]-1-[(1,1-dimethylethoxy)carbonyl]-4-piperidinyl]-, rel- (CA INDEX NAME)

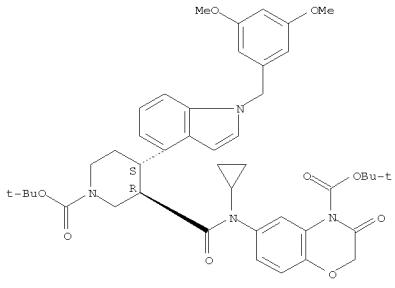
Relative stereochemistry.

L4 ANSWER 11 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 916150-37-3 CAPLUS
CN 4H-1,4-Benzoxazine-4-carboxylic acid, 6-[cyclopropyl[[(3R,4S)-4-[1-[(3,5-dimethoxyphenyl)methyl]-1H-indol-4-yl]-1-[(1,1-dimethylethoxy)carbonyl]-3-piperidinyl]carbonyl]amino]-2,3-dihydro-3-oxo-, 1,1-dimethylethyl ester, rel- (CA INDEX NAME)

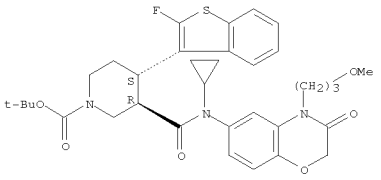
Relative stereochemistry.



RN 916150-41-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[[cyclopropyl[3,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]amino]carbonyl]-4-(2-fluorobenzo[b]thien-3-yl)-, 1,1-dimethylethyl ester, (3R,4S)-rel- (CA INDEX NAME)

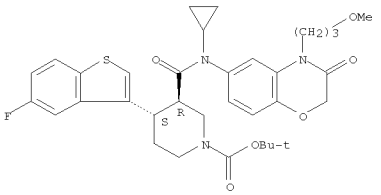
Relative stereochemistry.

L4 ANSWER 11 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 916150-48-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[[cyclopropyl[3,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]amino]carbonyl]-4-(5-fluorobenzo[b]thien-3-yl)-, 1,1-dimethylethyl ester, (3R,4S)-rel- (CA INDEX NAME)

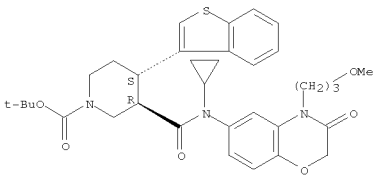
Relative stereochemistry.



RN 916150-52-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-benzo[b]thien-3-yl-3-[[cyclopropyl[3,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]amino]carbonyl]-, 1,1-dimethylethyl ester, (3R,4S)- (CA INDEX NAME)

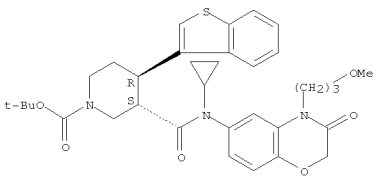
Absolute stereochemistry.

L4 ANSWER 11 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



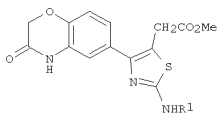
RN 916150-53-3 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-benzo[b]thien-3-yl-3-[[cyclopropyl[3,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]amino]carbonyl]-, 1,1-dimethylethyl ester, (3S,4R)- (CA INDEX NAME)

Absolute stereochemistry.

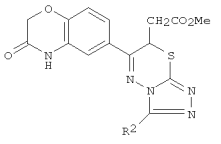


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 12 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:1047335 CAPLUS
DOCUMENT NUMBER: 147:211842
TITLE: Synthesis of methyl 2-arylamino-4-(3-oxo-1,4-benzoxazin-6-yl)thiazole-5-acetates and [3-aryl-6-(3-oxo-1,4-benzoxazin-6-yl)-s-triazolo[3,4-b][1,3,4]thiadiazin-7-yl]acetates as possible COX-2-inhibitors
AUTHOR(S): Reddy, G. Jagath; Rao, K. Srinivasa; Jayaveera, K. N.; Sailaja, S.; Reddanna, P.; Reddy, D. Bharat
CORPORATE SOURCE: R & D Laboratories, Dr. Jagath Reddy's Heterocyclics, Hyderabad, 500 037, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (2006), 45B(9), 2143-2146
CODEN: IJSBDB; ISSN: 0376-4699
PUBLISHER: National Institute of Science Communication and Information Resources
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 147:211842
GI



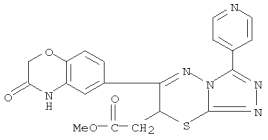
I



II

AB A series of Me [2-arylamino-4-(3-oxo-[1,4]benzoxazin-6-yl)thiazol-5-yl]acetate (I; R1 = H, Ph, 4-methylphenyl, 4-methoxyphenyl, 4-chlorophenyl, 4-fluorophenyl, 2,4-difluorophenyl, 3-chloro-4-fluorophenyl) and Me [3-aryl-6-(3-oxo-[1,4]-benzoxazin-6-yl)-7H-1,2,4-triazolo[3,4-b][1,3,4] thiadiazin-7-yl]acetate (II; R2 = Ph, 4-methylphenyl, 4-chlorophenyl, 4-fluorophenyl, 2,4-dichlorophenyl, 4-pyridyl) have been synthesized and tested for their COX-2 inhibitor activity. For example, bromination of 4-(3-oxo-3,4-dihydro-2H-[1,4]benzoxazin-6-yl)-4-oxobutanoic acid Me ester by bromine in CH2Cl2 under refluxing for 3-4 h gave 85% 4-(3-oxo-3,4-dihydro-2H-[1,4]benzoxazin-

L4 ANSWER 12 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
6-yl)-3-bromo-4-oxobutanoic acid Me ester which underwent cyclocondensation with in methanol under refluxing for 4-5 h to give 72% 4-amino-3-mercapto-5-phenyl-4H-1,2,4-triazole. None of the compds. reported herein exhibited significant inhibitions up to 100 μM except I (R1 = H) which exhibited a low order of inhibitions (31%) when compared to celecoxib with 98% inhibition at 5 μM.
IT 944908-43-4P, Methyl 2-[3-(4-pyridyl)-6-(3-oxo-3,4-dihydro-2H-[1,4]benzoxazin-6-yl)-7H-1,2,4-triazolo[3,4-b][1,3,4]thiadiazin-7-yl]acetate
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of Me 2-arylamino-4-(3-oxo-1,4-benzoxazin-6-yl)thiazole-5-acetates and [3-aryl-6-(3-oxo-1,4-benzoxazin-6-yl)-s-triazolo[3,4-b][1,3,4]thiadiazin-7-yl]acetates as possible COX-2-inhibitors)
RN 944908-43-4 CAPLUS
CN 7H-1,2,4-Triazolo[3,4-b][1,3,4]thiadiazine-7-acetic acid, 6-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-3-(4-pyridinyl)-, methyl ester (CA INDEX NAME)



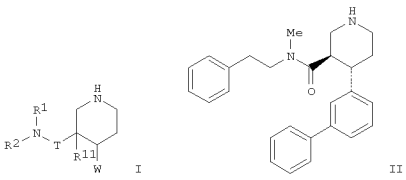
REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 13 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:655773 CAPLUS
DOCUMENT NUMBER: 145:124468
TITLE: Preparation of 3,4-substituted piperidine compounds as
renin inhibitors
INVENTOR(S): Breitenstein, Werner; Ehara, Takeru; Ehrhardt, Claus; Grosche, Philipp; Hitomi, Yuko; Iwaki, Yuki; Kanazawa, Takanori; Konishi, Kazuhide; Maibaum, Juergen Klaus; Masuya, Keiichi; Nihonyanagi, Atsuko; Ostermann, Nils; Suzuki, Masaki; Toyao, Atsushi; Yokokawa, Fumiaki
PATENT ASSIGNEE(S): Novartis AG, Switz.; Novartis Pharma GmbH
SOURCE: PCT Int. Appl., 633 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006069788	A1	20060706	WO 2005-EP14102	20051228
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005321475	A1	20060706	AU 2005-321475	20051228
CA 2587348	A1	20060706	CA 2005-2587348	20051228
EP 1833816	A1	20070919	EP 2005-820552	20051228
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101094848	A	20071226	CN 2005-80045028	20051228
JP 2008526701	T	20080724	JP 2007-548756	20051228
IN 2007DN03696	A	20070824	IN 2007-DN3696	20070517
MX 200708078	A	20070724	MX 2007-8078	20070629
KR 2007091174	A	20070907	KR 2007-715024	20070629

PRIORITY APPLN. INFO.: GB 2004-28526 A 20041230
WO 2005-EP14102 W 20051228

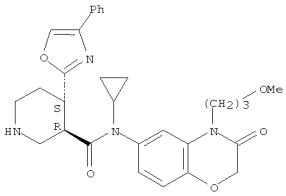
OTHER SOURCE(S): MARPAT 145:124468
GI



I II

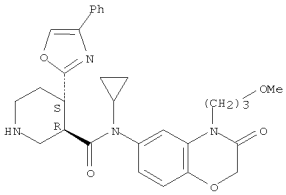
AB The title compds. I [R1 = H, alkyl, aryl, etc.; R2 = alkyl, aryl, heterocyclyl, etc.; W = substituted Ph, pyridyl, etc.; T = methylene or carbonyl; R11 = H, OH, halo, etc.] were prepared and formulated for use in the diagnostic and therapeutic treatment of a warm-blooded animal, especially for the treatment of a disease (or disorder) that depends on activity of renin. E.g., a multi-step synthesis of II, starting from 4-trifluoromethanesulfonyloxy-5,6-dihydro-2H-pyridine-1,3-dicarboxylic acid 1-tert-Bu ester 3-Me ester and 3-biphenylboronic acid, was given. Compds. I preferably show IC50 values in the range from 1 nM to 5 μM in recombinant human renin assay (no specific data given).
IT 897944-78-4P 897959-10-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 3,4-substituted piperidine compds. as renin inhibitors)
RN 897944-78-4 CAPLUS
CN 3-Piperidinecarboxamide, N-cyclopropyl-N-[3,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]-4-(4-phenyl-2-oxazolyl)-, (3R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



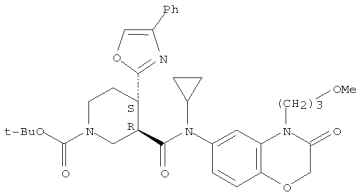
RN 897959-10-3 CAPLUS
CN 3-Piperidinecarboxamide, N-cyclopropyl-N-[3,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]-4-(4-phenyl-2-oxazolyl)-, (3R,4S)- (CA INDEX NAME)

Absolute stereochemistry.



IT 897952-96-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 3,4-substituted piperidine compds. as renin inhibitors)
RN 897952-96-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 3-[[cyclopropyl[3,4-dihydro-4-(3-methoxypropyl)-3-oxo-2H-1,4-benzoxazin-6-yl]amino]carbonyl]-4-(4-phenyl-2-oxazolyl)-, 1,1-dimethylethyl ester, (3R,4S)-rel- (CA INDEX NAME)

Relative stereochemistry.



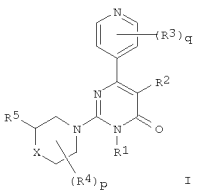
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 14 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:317348 CAPLUS
DOCUMENT NUMBER: 144:370114
TITLE: Preparation of pyrimidone derivatives as inhibitors of tau protein kinase 1 for treatment of neurodegenerative diseases
INVENTOR(S): Watanabe, Kazutoshi; Fukunaga, Kenji; Kohara, Toshiyuki; Uehara, Fumiaki; Hiki, Shinsuke; Yokoshima, Satoshi
PATENT ASSIGNEE(S): Mitsubishi Pharma Corporation, Japan; Sanofi-Aventis
SOURCE: PCT Int. Appl., 232 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

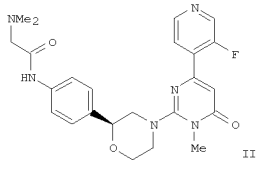
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006036015	A2	20060406	WO 2005-JP18497	20050929
WO 2006036015	A3	20060601		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005288045	A1	20060406	AU 2005-288045	20050929
AU 2005288045	A2	20080529		
CA 2581179	A1	20060406	CA 2005-2581179	20050929
EP 1805164	A2	20070711	EP 2005-790292	20050929
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101048397	A	20071003	CN 2005-80033025	20050929
JP 2008514587	T	20080508	JP 2007-533116	20050929
BR 2005015851	A	20080812	BR 2005-15851	20050929
MX 200703722	A	20070523	MX 2007-3722	20070328
KR 2007057931	A	20070607	KR 2007-708236	20070411
NO 2007002214	A	20070612	NO 2007-2214	20070427
IN 2007CN01835	A	20070831	IN 2007-CN1835	20070430
PRIORITY APPLN. INFO.:			JP 2004-313115 A	20040929
			WO 2005-JP18497 W	20050929

OTHER SOURCE(S): CASREACT 144:370114; MARPAT 144:370114
GI

L4 ANSWER 14 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

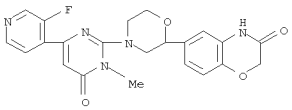


I



II

AB The title compds. I [wherein R1 = (un)substituted alkyl; R2 = H, halo, or (un)substituted alkyl; R3 and R4 = independently OH, halo, NO2, CN, etc.; R5 = H, (un)substituted aryl, or heteroaryl; X = O, NH, or the like; p = 0-7; q = 1-4] or optically active isomers, or pharmaceutically acceptable salts thereof were prepared as inhibitors of tau protein kinase 1 (TPK1) for the treatment of neurodegenerative diseases (e.g. Alzheimer disease). For example, the compound II was prepared in a multi-step synthesis. II inhibited TPK1 with IC50 of 0.27 nM. Formulations containing I as an active ingredient were also described.
IT 881919-51-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of pyrimidone derivs. as inhibitors of tau protein kinase 1 for treatment of neurodegenerative diseases)
RN 881919-51-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[4-[4-(3-fluoro-4-pyridinyl)-1,6-dihydro-1-methyl-6-oxo-2-pyrimidinyl]-2-morpholinyl]- (CA INDEX NAME)



L4 ANSWER 14 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L4 ANSWER 15 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:240736 CAPLUS
DOCUMENT NUMBER: 144:292779
TITLE: 2-Morpholino-4-pyrimidinones as tau protein kinase 1 inhibitors, their preparation, pharmaceutical compositions, and use in therapy
INVENTOR(S): Watanabe, Kazutoshi; Uehara, Fumiaki; Hiki, Shinsuke; Kohara, Toshiyuki; Fukunaga, Kenji; Yokoshima, Satoshi
PATENT ASSIGNEE(S): Mitsubishi Pharma Corporation, Japan; Sanofi-Aventis
SOURCE: PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006028290	A1	20060316	WO 2005-JP17080	20050909
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005280885	A1	20060316	AU 2005-280885	20050909
CA 2578434	A1	20060316	CA 2005-2578434	20050909
EP 1789414	A1	20070530	EP 2005-783586	20050909
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
CN 101035781	A	20070912	CN 2005-80030353	20050909
BR 2005015628	A	20080304	BR 2005-15628	20050909
JP 2008512347	T	20080424	JP 2007-511563	20050909
MX 200702807	A	20070516	MX 2007-2807	20070307
NO 2007001787	A	20070509	NO 2007-1787	20070404
KR 2007058586	A	20070608	KR 2007-707681	20070404
IN 2007CN01433	A	20070831	IN 2007-CN1433	20070409
PRIORITY APPLN. INFO.:			JP 2004-296926 A	20040909
			WO 2005-JP17080 W	20050909

OTHER SOURCE(S): CASREACT 144:292779; MARPAT 144:292779
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

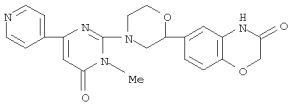
AB The invention relates to 2-morpholino-4-pyrimidinones I, which inhibit abnormal activity of tau protein kinase 1 (TPK1). In compds. I, X is CH or N; R1 is (un)substituted C1-12 alkyl; R2 is H, halo, or (un)substituted

L4 ANSWER 15 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
C1-6 alkyl; R3 is OH, halo, cyano, (un)substituted C1-6 alkyl, (un)substituted C2-6 alkenyl, (un)substituted C3-7 cycloalkyl, (un)substituted C1-6 alkoxy, heterocyclyl, etc.; q is 0-3; R4 is carboxy, 2,3-dihydroindolyl, perhydroindolyl, perhydroquinolinyl, perhydrolsoquinolinyl, mono- or disubstituted amino, (un)substituted aminocarbonyl, (un)substituted aminosulfonyl, etc.; R5 is halo, cyano, amino, (un)substituted C1-6 alkyl, (un)substituted C2-6 alkenyl, (un)substituted C3-7 cycloalkyl, (un)substituted C1-6 alkoxy, (un)substituted C1-6 alkylamino, etc.; and p is 0-4; including optically active isomers and/or pharmaceutically acceptable salts thereof. The invention also relates to the prepn. of I, pharmaceutical compns. comprising a compd. I, or an optically active isomer thereof, as an active ingredient, as well as to the use of the compns. for the treatment of diseases caused by abnormal activity of tau protein kinase 1, such as neurodegenerative diseases, e.g., Alzheimer's disease. α-Bromination of 4-hydroxyacetophenone followed by methylsulfonylation, asym. borane redn., and ring closure resulted in the formation of oxirane II, which underwent ring opening with benzylamine, cyclization with chloroacetyl chloride and hydride redn. to give N-benzyl-morpholine III. Debenzylation of compd. III followed by N-Boc-protection, Mitsunobu reaction with 3-bromopropanol, and substitution with pyrrolidine gave N-Boc-morpholine IV, which was deprotected and coupled with 2-chloro-3-methyl-6-(pyrimidin-4-yl)-3H-pyrimidin-4-one to give V. Some compds. of the invention were tested for inhibition of bovine cerebral TPK1 and express IC50 values below 10 nM, e.g., compd. V expresses IC50 value of 0.43 nM.

IT 879205-68-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of morpholinopyrimidinones as tau protein kinase 1 inhibitors)

RN 879205-68-2 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[4-[1,6-dihydro-1-methyl-6-oxo-4-(4-pyridinyl)-2-pyrimidinyl]-2-morpholinyl]- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

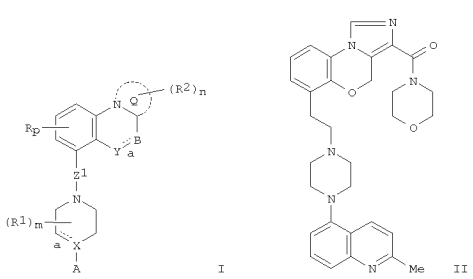
L4 ANSWER 16 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2006:213224 CAPLUS
DOCUMENT NUMBER: 144:254134
TITLE: Preparation of fused tricyclic imidazobenzoxazines, imidazoquinolines, triazolobenzoxazines and their analogs for the treatment of psychotic disorders and related diseases
INVENTOR(S): Bentley, Jonathan; Bergauer, Markus; Bertani, Barbara; Biagetti, Matteo; Borriello, Manuela; Bromidge, Steven Mark; Gianotti, Massimo; Granci, Enrica; Leslie, Colin Philip; Pasquarello, Alessandra; Zucchelli, Valeria
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 254 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006024517	A1	20060309	WO 2005-EP9379	20050829
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005279278	A1	20060309	AU 2005-279278	20050829
CA 2578781	A1	20060309	CA 2005-2578781	20050829
EP 1786822	A1	20070523	EP 2005-778196	20050829
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR				
CN 101048414	A	20071003	CN 2005-80037242	20050829
JP 2008511574	T	20080417	JP 2007-528777	20050829
BR 2005014377	A	20080624	BR 2005-14377	20050829
IN 2007DN01296	A	20070817	IN 2007-DN1296	20070219
MX 200702548	A	20070424	MX 2007-2548	20070228
KR 2007057885	A	20070607	KR 2007-707190	20070329

PRIORITY APPLN. INFO.: GB 2004-19315 A 20040831
GB 2005-7386 A 20050412
GB 2005-15010 A 20050721
WO 2005-EP9379 W 20050829

L4 ANSWER 16 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 144:254134
GI



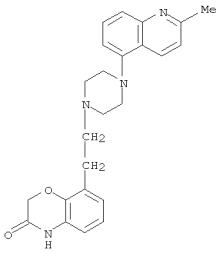
AB Fused tricyclic compds. I [wherein a = single or double bond; ring Q = (un)substituted 5-membered heteroaryl or heterocyclyl; B = (un)substituted CH or CH2; Y = (un)substituted CH2, O, etc.; Z1 = ethylene, etc.; X = CR1 or N when a is a single bond; X = C when a is a double bond; A = (un)substituted indolyl, quinolyl, benzofuranyl, etc.; R = halo, alkyl, cyano, etc.; R1 = H, halo, alkyl, etc.; R2 = H, halo, hydroxy, etc. p = 0-2; m, n = 0-3] and salts or prodrugs thereof, which possess high affinity for 5-HT1 type receptors and/or are serotonin reuptake inhibitors, were prepared For instance, imidazobenzoxazine carboxamide II was synthesized in 33% yield by condensation of the corresponding acid (preparation given) with morpholine in DMF in the presence of TBTU and DIPEA. In a functional potency assay, II had fpKi of 9.7 against 5-HT1A. Therefore, the invented compds. are useful for treating or preventing diseases or conditions mediated by modulation of 5-HT1 receptors and/or serotonin reuptake receptors, such as psychotic disorders.

IT 698994-77-3P, 8-[2-[4-(2-Methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 876921-51-6P 876921-54-9P 876921-55-0P 876921-56-1P 876921-75-4P 876921-81-2P 876921-84-5P 876921-95-8P 876922-38-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of fused tricyclic imidazobenzoxazines, imidazoquinolines, triazolobenzoxazines and their analogs for treatment of psychotic disorders and related diseases)

RN 698994-77-3 CAPLUS

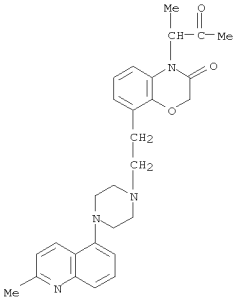
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

L4 ANSWER 16 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 876921-51-6 CAPLUS

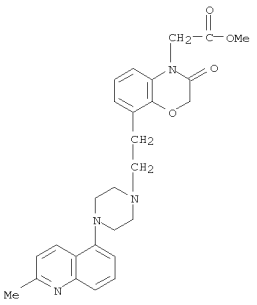
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-(1-methyl-2-oxopropyl)-8-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)



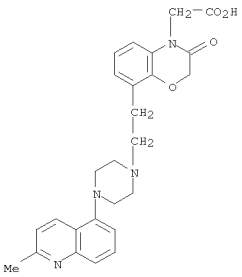
RN 876921-54-9 CAPLUS

CN 4H-1,4-Benzoxazine-4-acetic acid, 2,3-dihydro-8-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-3-oxo-, methyl ester (CA INDEX NAME)

L4 ANSWER 16 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

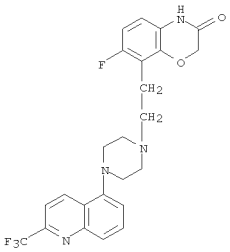


RN 876921-55-0 CAPLUS
CN 4H-1,4-Benzoxazine-4-acetic acid, 2,3-dihydro-8-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-3-oxo- (CA INDEX NAME)

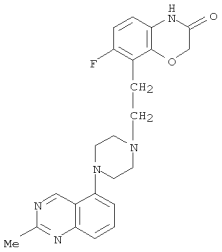


RN 876921-56-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-4-(3,3,3-trifluoro-2-oxopropyl)- (CA INDEX NAME)

L4 ANSWER 16 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

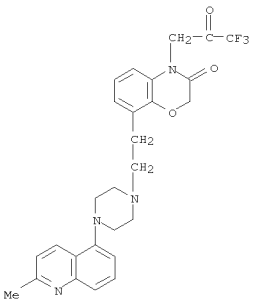


RN 876921-84-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-fluoro-8-[2-[4-(2-methyl-5-quinazolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

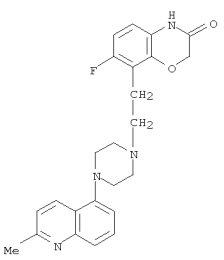


RN 876921-95-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-methyl-8-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

L4 ANSWER 16 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

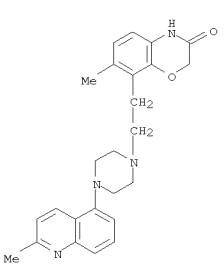


RN 876921-75-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-fluoro-8-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

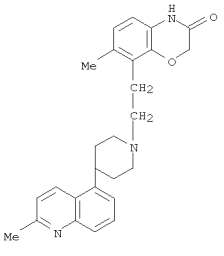


RN 876921-81-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-fluoro-8-[2-[4-[2-(trifluoromethyl)-5-quinolinyl]-1-piperazinyl]ethyl]- (CA INDEX NAME)

L4 ANSWER 16 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



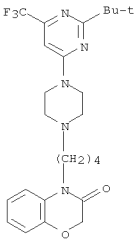
RN 876922-38-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-methyl-8-[2-[4-(2-methyl-5-quinolinyl)-1-piperidinyl]ethyl]- (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 17 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1341981 CAPLUS
DOCUMENT NUMBER: 144:233030
TITLE: Synthesis and SAR of highly potent and selective dopamine D3-receptor antagonists: Quinoline(di)one and benzazepine(di)one derivatives
AUTHOR(S): Geneste, Herve; Backfisch, Gisela; Braje, Wilfried; Delzer, Juergen; Haupt, Andreas; Hutchins, Charles W.; King, Linda L.; Lubisch, Wilfried; Steiner, Gerd; Teschendorf, Hans-Juergen; Unger, Liliane; Wernet, Wolfgang
CORPORATE SOURCE: Discovery Research, Abbott GmbH & Co. KG, Ludwigshafen, D-67008, Germany
SOURCE: Bioorganic & Medicinal Chemistry Letters (2006), 16(3), 658-662
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:233030
AB The synthesis and SAR of novel and selective dopamine D3-receptor antagonists based on a 3,4-dihydro-1H-quinolin-2-one, a 1,3,4,5-tetrahydro-benzo[b]azepin-2-one, 1H-quinoline-2,4-dione or a 3,4-dihydro-1H-benzo[b]azepine-2,5-dione scaffold are discussed. A-706149 [i.e., 1-[4-[4-[2-tert-butyl-6-(trifluoromethyl)pyrimidin-4-yl]piperazinyl]butyl]-3,4-dihydro-1H-1-benzazepine-2,5-dione] (2.15 mg/kg, po) antagonizes PD 128907-induced huddling deficits in rat, a social interaction paradigm.
IT 871464-18-5
RL: PAC (Pharmacological activity); BIOL (Biological study)
(preparation of [[tert-butyl(trifluoromethyl)pyrimidinyl]piperazinyl]alkyl]q uinolinone derivs. and study of their activity as selective dopamine D3-receptor antagonists in comparison with quinoline and benzazepinone analogs and derivs.)
RN 871464-18-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[4-[4-[2-(1,1-dimethylethyl)-6-(trifluoromethyl)-4-pyrimidinyl]-1-piperazinyl]butyl]- (CA INDEX NAME)

L4 ANSWER 17 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

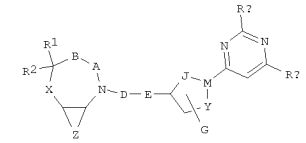
L4 ANSWER 18 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1314366 CAPLUS
DOCUMENT NUMBER: 144:51603
TITLE: Preparation of 1-(4-pyrimidinyl)piperazines as dopamine D3 receptor modulators
INVENTOR(S): Geneste, Herve; Haupt, Andreas; Braje, Wilfried; Lubisch, Wilfried; Steiner, Gerd; Unger, Liliane
PATENT ASSIGNEE(S): Abbott Gmbh & Co. KG, Germany
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005118558	A2	20051215	WO 2005-EP6000	20050603
WO 2005118558	A3	20060209		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 102004027358	A1	20051229	DE 2004-102004027358	20040604
CA 2567484	A1	20051215	CA 2005-2567484	20050603
EP 1751116	A2	20070214	EP 2005-750829	20050603
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
JP 2008501662	T	20080124	JP 2007-513870	20050603
MX 2006PA13939	A	20070814	MX 2006-PA13939	20061130
US 20080161322	A1	20080703	US 2007-628658	20071119

PRIORITY APPLN. INFO.: DE 2004-102004027358A 20040604
WO 2005-EP6000 W 20050603

OTHER SOURCE(S): MARPAT 144:51603
GI

L4 ANSWER 18 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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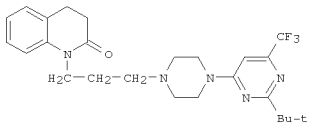
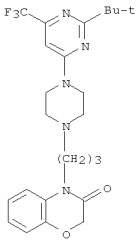


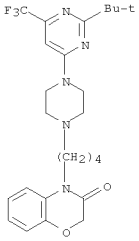
II

AB Title compds. I [R1 = Rd; R2 = Re; A = CO, CS, etc.; B = bond, CRhRi, etc.; X = O, S, NRk, etc.; D = CO, bond; E = alkylene with provisos; Z = carbocycle, heterocycle, etc.; J = CH2, CH2CH2, CH2CH2CH2; M = CH, N; Y = CH2, CH2CH2, CH2CH2CH2, etc.; G = (Rc)n; Ra, Rb = alkyl, alkenyl, alkynyl, etc.; Rc = alkyl; Rd, Re = H, halo, alkyl, etc.; n = 0-1; Rh, Ri = H, halo, alkyl, etc.; Rk = H, alkyl alkoxy, etc.] and their pharmaceutically acceptable salts were prepared For example, N-alkylation of 3,4-dihydroquinolin-2(1H)-one with 2-tert-Butyl-4-[4-(3-chloropropyl)piperazin-1-yl]-6-(trifluoromethyl)pyrimidine afforded the hydrochloride salt of piperazine II. In dopamine D3 receptor inhibition assays, 11-examples of compds. I exhibited Ki values ranging from 0.69-12.1 nM.
IT 871299-24-0P 871299-26-2P 871299-27-3P, 4-[4-[4-[2-tert-Butyl-6-(trifluoromethyl)pyrimidin-4-yl]piperazin-1-yl]butyl]-6-methyl-2H-1,4-benzoxazin-3(4H)-one 871299-30-8P, 6-Acetyl-4-[4-[4-[2-tert-butyl-6-(trifluoromethyl)pyrimidin-4-yl]piperazin-1-yl]butyl]-2H-1,4-benzoxazin-3(4H)-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1-(4-pyrimidinyl)piperazines as dopamine D3 receptor modulators)
RN 871299-24-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[3-[4-[2-(1,1-dimethylethyl)-6-(trifluoromethyl)-4-pyrimidinyl]-1-piperazinyl]propyl]- (CA INDEX NAME)

L4 ANSWER 18 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 871299-26-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[4-[4-[2-(1,1-dimethylethyl)-6-(trifluoromethyl)-4-pyrimidinyl]-1-piperazinyl]butyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

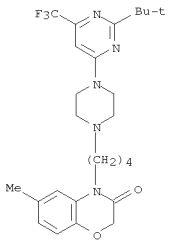
RN 871299-27-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[4-[4-[2-(1,1-dimethylethyl)-6-(trifluoromethyl)-4-pyrimidinyl]-1-piperazinyl]butyl]-6-methyl- (CA INDEX NAME)

L4 ANSWER 19 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1314205 CAPLUS
DOCUMENT NUMBER: 144:51610
TITLE: Preparation and structure activity of pyrazolo-pyrimidine derivatives as antitumor agents and kinase modulators
INVENTOR(S): Anand, Neel K.; Blazey, Charles M.; Bowles, Owen Joseph; Bussenius, Joerg; Canne Bannen, Lynne; Chan, Diva Sze-Ming; Chen, Baili; Co, Erick Wang; Costanzo, Simona; Defina, Steven Charles; Dubenko, Larisa; Franzini, Maurizio; Huang, Ping; Jammalamadaka, Vasu; Khoury, Richard George; Kim, Moon Hwan; Klein, Rhett Ronald; Le, Donna Tra; Mac, Morrison B.; Nuss, John M.; Parks, Jason Jevious; Rice, Kenneth D.; Tsang, Tsze H.; Tsuhako, Amy Lew; Wang, Yong; Xu, Wei
PATENT ASSIGNEE(S): Exelixis, Inc., USA
SOURCE: PCT Int. Appl., 211 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

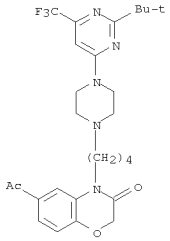
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005117909	A2	20051215	WO 2005-US13860	20050422
WO 2005117909	A3	20060427		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005249380	A1	20051215	AU 2005-249380	20050422
CA 2563699	A1	20051215	CA 2005-2563699	20050422
EP 1750727	A2	20070214	EP 2005-804792	20050422
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
JP 2007534687	T	20071129	JP 2007-509678	20050422
US 20080076774	A1	20080327	US 2007-568173	20070726
PRIORITY APPLN. INFO.:			US 2004-564908P P	20040423
			WO 2005-US13860 W	20050422

OTHER SOURCE(S): CASREACT 144:51610; MARPAT 144:51610
GI

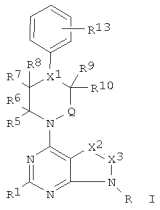
L4 ANSWER 18 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 871299-30-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-acetyl-4-[4-[4-[2-(1,1-dimethylethyl)-6-(trifluoromethyl)-4-pyrimidinyl]-1-piperazinyl]butyl]- (CA INDEX NAME)

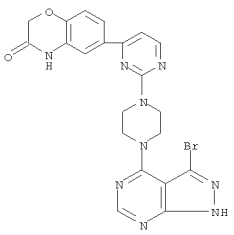


L4 ANSWER 19 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



AB Pyrazolo-pyrimidine derivs. I, wherein X1 is N, CR2. X2 is N, CR3; X3 is N, CR4, but when X2 is N then X3 is CR4; R is H, halogen, tri-halomethyl, substituted nitrogen, substituted sulfur, sulfonyl, sulfonamide, carboxylate, amide, substituted oxygen, acyl, alkyl, aryl, heterocycle, heterocycloalkyl, arylalkyl R1-R13 are independently H, halogen, tri-halomethyl, CN, NO2, substituted nitrogen, substituted sulfur, sulfonyl, sulfonamide, carboxylate, amide, substituted oxygen, acyl, alkyl, aryl, heterocycle, heterocycloalkyl, arylalkyl; Q is (C)nR11R12; n is 0-1 are prepared as kinase modulators. Combination chemotherapy and structure activity of title compds. are reported. The compds. modulate protein kinase enzymic activity to modulate cellular activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion. Compds. of the invention inhibit, regulate and/or modulate kinases, particularly p70S6 and/or AKT kinases. Methods of using and preparing the compds., and pharmaceutical compns. thereof, to treat kinase-dependent diseases and conditions are also an aspect of the invention. Thus, 3-(azetidin-3-ylidene-methyl)-4-[4-(5-chloro-2-methylphenyl)piperazin-1-yl]-1H-pyrazolo[3,4-d]pyrimidine was prepared and tested in vitro as kinase modulator (IC50 > 1000 nM).
IT 871342-16-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and structure activity of pyrazolopyrimidine derivs. as antitumor agents and kinase modulators)
RN 871342-16-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(3-bromo-1H-pyrazolo[3,4-d]pyrimidin-4-yl)-1-piperazinyl]-4-pyrimidinyl]- (CA INDEX NAME)

L4 ANSWER 19 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 20 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1262437 CAPLUS
DOCUMENT NUMBER: 144:22931
TITLE: Preparation of Raf modulators and their use in treatment of kinase-dependent diseases
INVENTOR(S): Anand, Neel Kumar; Blazey, Charles M.; Bowles, Owen Joseph; Bussenius, Joerg; Costanzo, Simona; Curtis, Jeffry Kimo; Dubenko, Larisa; Kennedy, Abigail R.; Defina, Steven Charles; Kim, Angie I.; Manalo, Jean-Claire L.; Peto, Csaba J.; Rice, Kenneth D.; Tsang, Tsze H.
PATENT ASSIGNEE(S): Exelixis, Inc., USA; Joshi, Anagha Abhijit
SOURCE: PCT Int. Appl., 230 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005112932	A2	20051201	WO 2005-US10187	20050325
WO 2005112932	A3	20061123		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2005244736	A1	20051201	AU 2005-244736	20050325
CA 2565200	A1	20051201	CA 2005-2565200	20050325
EP 1751124	A2	20070214	EP 2005-731363	20050325

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU

JP 2007536224	T	20071213	JP 2007-511366	20050325
US 20080009488	A1	20080110	US 2007-568789	20070904

PRIORITY APPLN. INFO.: US 2004-569009P P 20040507
WO 2005-US10187 W 20050325

OTHER SOURCE(S): MARPAT 144:22931
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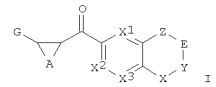
L4 ANSWER 20 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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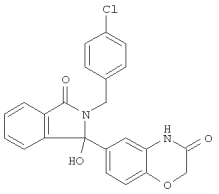


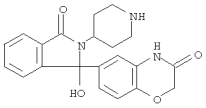
II

AB The invention is related to compds. of formula (I) [A = (un)substituted 3- to 7-membered ortho-arylene, or a 5- to 6-membered ortho-heteroarylene; X1, X2, X3 = independently O, -N:, SO, SO2, S, etc.; E, Y = independently absent, CH2 and derivs., CO, -CH: and derivs., -N:, but E, Y are not both absent, and E, Y are not both -N: when both Z and X are -N:; G = CHO and derivs., (un)substituted arylalkyl, heterocyclylalkyl, SH and derivs., etc.; with the exception of certain compds.], and their tautomers, and their pharmaceutically acceptable salts, hydrates and prodrugs useful for modulating protein kinase enzymic activity for modulating cellular activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion. Compds. I modulate protein kinase enzymic activity to modulate cellular activities such as proliferation, differentiation, programmed cell death, migration and chemoinvasion. I inhibit, regulate and/or modulate kinases, particularly Raf. Methods of using compds. I, and their pharmaceutical compns., to treat kinase-dependent diseases and conditions are also an aspect of the invention. The invention is also related to the preparation of compds. I. For example, reacting 2-[(3-oxo-3,4-dihydro-2H-1,4-benzoxazin-6-yl)carbonyl]benzoic acid with 4-chlorobenzylamine gave II in 15% yield. Selected I inhibited c-Raf kinase with IC50 values of less than 100 nM.

IT 870601-19-7P, 6-[1-Hydroxy-3-oxo-2-(piperidin-4-yl)-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)-one 870604-52-7P, 6-[1-Hydroxy-3-oxo-2-(piperidin-4-yl)-2,3-dihydro-1H-isoindol-1-yl]-2H-1,4-benzoxazin-3(4H)-one trifluoroacetate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Raf kinase inhibitor; preparation of Raf modulators and their use in treatment of kinase-dependent diseases)

L4 ANSWER 20 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 870601-19-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2,3-dihydro-1-hydroxy-3-oxo-2-(4-piperidinyl)-1H-isoindol-1-yl]- (CA INDEX NAME)



RN 870604-52-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2,3-dihydro-1-hydroxy-3-oxo-2-(4-piperidinyl)-1H-isoindol-1-yl]-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

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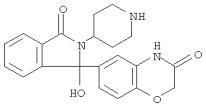
CRN 870601-19-7
CMF C21 H21 N3 O4



CM 2

CRN 76-05-1
CMF C2 H F3 O2

L4 ANSWER 21 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1126688 CAPLUS
DOCUMENT NUMBER: 143:405910
TITLE: Preparation of piperidine derivatives as antagonists of NR2B/NMDA receptor
INVENTOR(S): Takai, Haruki; Kunori, Shunji; Shirakura, Shiro; Shinoda, Katsumi; Mizutani, Atsuko; Yamada, Koji; Toki, Shinichiro; Nishikawa, Tomoyuki
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005097782	A1	20051020	WO 2005-JP6859	20050407
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1736474	A1	20061227	EP 2005-728764	20050407
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				
US 20070185168	A1	20070809	US 2006-547810	20061006
PRIORITY APPLN. INFO.:			JP 2004-112645 A	20040407
			WO 2005-JP6859 W	20050407

OTHER SOURCE(S): MARPAT 143:405910
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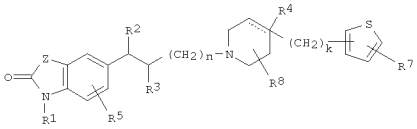

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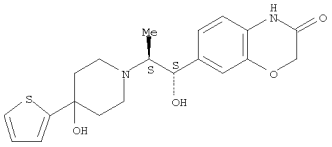
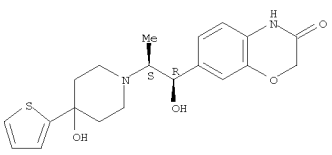
L4 ANSWER 21 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

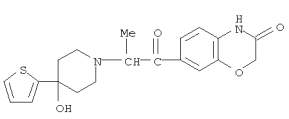


RN 866948-33-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[(1R,2S)-1-hydroxy-2-[4-hydroxy-4-(2-thienyl)-1-piperidinyl]propyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.



IT 866948-76-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of piperidine derivs. as antagonists of NR2B/NMDA receptor for
treatment of pain and neuropathic pain)
RN 866948-76-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[2-[4-hydroxy-4-(2-thienyl)-1-piperidinyl]-1-oxopropyl]- (CA INDEX NAME)



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 21 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

AB Piperidine derivs. represented by the following general formula (I) [wherein -CO-Z = -CO-CH2-, -CO-C(CH3)2-, -CO-NH-, -CO-O-, -CO-S-, -CO-CH2CH2-, -CO-CH = CH-, -CO-CH2O-, -CO-CH2S-, -CO-CH2CH2CH2-, -CO-NR8CH2- (wherein R8 = H, (un)substituted lower alkyl); R1, R3 = H, each (un)substituted lower alkyl, alkenyl, or alkynyl; R2 = H, HO; R5 = H, HO, each (un)substituted lower alkyl, alkenyl, alkynyl, or alkoxy; R6 = H, HO, each (un)substituted lower alkyl, alkenyl, alkynyl; R7 = H, halogeno, each (un)substituted lower alkyl, alkenyl, alkynyl, or alkoxy, (CH2)mY (wherein Y = (un)substituted NH2 or heterocyclyl; m = an integer); n, k = each independently an integer of 0-2; the solid line accompanied by a dashed line represents a single bond or represents a double bond in cooperation with R4, provided that when it is a single bond, then R4 represents hydrogen, hydroxy, (un)substituted lower alkoxy, or halo] or pharmacol. acceptable salts thereof are prepared These compds. have, e.g., antagonistic activity against, e.g., an NR2B subunit-containing N-methyl-D-aspartate (NMDA) type glutamic acid receptor (NR2B/NMDA receptor) and are useful for the treatment of pain and neuropathic pain. Thus, 5.00 g 6-(2-bromopropionyl)benzothiazol-2(3H)-one, 3.20 g 4-hydroxy-4-(thiophen-2-yl)piperidine, and 2.45 mL Et3N were suspended in 35 mL DMF and stirred at room temperature overnight to give 1.43 g 6-[2-[4-hydroxy-4-(thiophen-2-yl)piperidino]propionyl]benzothiazol-2(3H)-one which (494 mg) was suspended in 30 ethanol, treated with 430 mg NaBH4 at room temperature with stirring, and stirred at room temperature overnight to give (±)-threo-6-[1-hydroxy-2-[4-hydroxy-4-(thiophen-2-yl)piperidino]propyl]benzothiazol-2(3H)-one (II) and its erythro isomer. II showed IC50 of 2.0 nmol/L for inhibiting the binding of [3H]ifenprodil to NMDA receptor NR2B subunit preparation from rat frontal cortex membrane.
IT 866948-32-5P 866948-33-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperidine derivs. as antagonists of NR2B/NMDA receptor for
treatment of pain and neuropathic pain)
RN 866948-32-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[(1R,2R)-1-hydroxy-2-[4-hydroxy-4-(2-thienyl)-1-piperidinyl]propyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

L4 ANSWER 22 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:824484 CAPLUS
DOCUMENT NUMBER: 143:211938
TITLE: Preparation of 4-heteroaryloxy-6-piperazinopyrimidines and 2-heteroaryloxy-4-piperazinopyridines as vanilloid receptor ligands
INVENTOR(S): Balan, Chenera; Chen, Ning; Doherty, Elizabeth M.; Gore, Vijay Keshav; Norman, Mark H.; Wang, Hui-ling
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 64 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050182067	A1	20050818	US 2005-56534	20050211
AU 2005212438	A1	20050825	AU 2005-212438	20050211
CA 2556239	A1	20050825	CA 2005-2556239	20050211
WO 2005077938	A1	20050825	WO 2005-US4370	20050211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1745034	A1	20070124	EP 2005-722957	20050211
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
JP 2007522233	T	20070809	JP 2006-553261	20050211
MX 2006PA09057	A	20061019	MX 2006-PA9057	20060809
PRIORITY APPLN. INFO.:			US 2004-543985P P	20040211
			WO 2005-US4370 W	20050211

OTHER SOURCE(S): CASREACT 143:211938; MARPAT 143:211938
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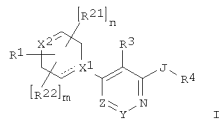
L4 ANSWER 22 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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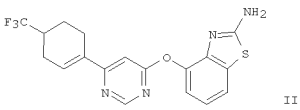


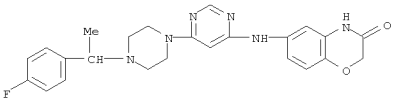
II

AB The title compds. I [J = NH, O, S; X1, X2 = N, C; Y = N, CR11; Z = N, CR10 (wherein no more than one of Y and Z is N); n = 0-2; m = 0-1; R1 = substituted cyclohex-1-enyl, 1-(4-fluorophenyl)ethyl, etc.; R21 = alkyl, haloalkyl, halo; R22 = (un)substituted alkoxy, amino, mercapto, etc.; R3 is not defined but is H in all examples; R4 = (un)substituted Ph, heterocyclyl, etc.], useful for the treatment of acute, inflammatory and neuropathic pain, dental pain, general headache, migraine, cluster headache, mixed-vascular and non-vascular syndromes, tension headache, general inflammation, arthritis, rheumatic diseases, osteoarthritis, inflammatory bowel disorders, inflammatory eye disorders, inflammatory or unstable bladder disorders, psoriasis, skin complaints with inflammatory components, chronic inflammatory conditions, inflammatory pain and associated hyperalgesia and allodynia, neuropathic pain and associated hyperalgesia and allodynia, diabetic neuropathy pain, causalgia, sympathetically maintained pain, deafferentation syndromes, asthma, epithelial tissue damage or dysfunction, herpes simplex, disturbances of visceral motility at respiratory, genitourinary, gastrointestinal or vascular regions, wounds, burns, allergic skin reactions, pruritus, vitiligo, general gastrointestinal disorders, etc., were prepared E.g., a multi-step synthesis of II, starting from 4-trifluoromethylcyclohexanone, was given. Compds. I were tested to evaluate their properties at human VR1 (data given for representative compds. I). The pharmaceutical composition comprising the compound I is disclosed.

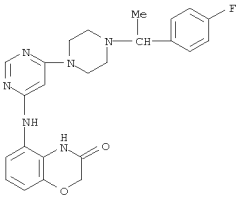
IT 862461-83-4P 862461-85-6P 862462-04-2P
862462-06-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

L4 ANSWER 22 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
(Uses)
(prepn. of 4-heteroaryloxy-6-piperazinopyrimidines and 2-heteroaryloxy-4-piperazinopyridines as vanilloid receptor ligands)

RN 862461-83-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[6-[4-[1-(4-fluorophenyl)ethyl]-1-piperazinyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)



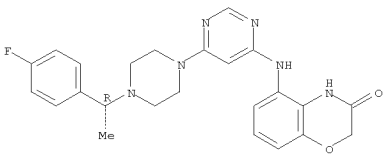
RN 862461-85-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 5-[[6-[4-[1-(4-fluorophenyl)ethyl]-1-piperazinyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)



RN 862462-04-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 5-[[6-[4-[(1R)-1-(4-fluorophenyl)ethyl]-1-piperazinyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)

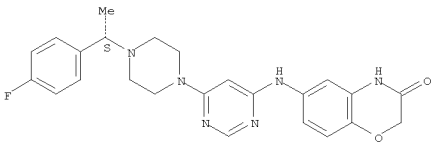
Absolute stereochemistry.

L4 ANSWER 22 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 862462-06-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[6-[4-[(1S)-1-(4-fluorophenyl)ethyl]-1-piperazinyl]-4-pyrimidinyl]amino]- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 23 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:735322 CAPLUS
DOCUMENT NUMBER: 143:211934
TITLE: Preparation of 4-heteroaryloxy-6-piperazinopyrimidines as vanilloid receptor ligands
INVENTOR(S): Wang, Hui-ling; Balan, Chenera; Doherty, Elizabeth M.; Falsey, James R.; Gore, Vijay Keshav; Katon, Jodie; Norman, Mark H.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 46 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050176726	A1	20050811	US 2005-56568	20050211
AU 2005212517	A1	20050825	AU 2005-212517	20050211
CA 2555685	A1	20050825	CA 2005-2555685	20050211
WO 2005077944	A1	20050825	WO 2005-US4378	20050211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1720868	A1	20061115	EP 2005-722962	20050211
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
CN 1953976	A	20070425	CN 2005-80008675	20050211
BR 2005007927	A	20070717	BR 2005-7927	20050211
JP 2007522235	T	20070809	JP 2006-553265	20050211
MX 2006PA09059	A	20061019	MX 2006-PA9059	20060809
KR 2007033325	A	20070326	KR 2006-718172	20060906
KR 813093	B1	20080317		
NO 2006004055	A	20061024	NO 2006-4055	20060908
PRIORITY APPLN. INFO.:			US 2004-543896P P	20040211
			WO 2005-US4378 W	20050211

OTHER SOURCE(S): CASREACT 143:211934; MARPAT 143:211934
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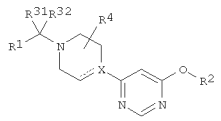
L4 ANSWER 23 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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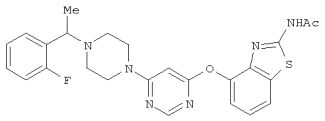


II

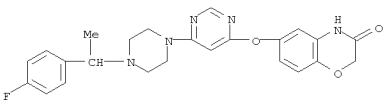
AB The title compds. I [X = N, C; R1 = (un)substituted (un)saturated 5-7 membered ring containing 1-4 atoms selected from N, O and S; R2 = (un)substituted partially saturated or unsatd. 8-11 membered bicyclic ring containing 1-4 atoms selected from N, O and S; R31, R32 = H, Me, Et; or R31 and R32 together may be combined with the carbon atom to which they attached to form cyclopropyl; R4 = H, Me], useful for the treatment of acute, inflammatory and neuropathic pain, dental pain, general headache, migraine, cluster headache, mixed-vascular and non-vascular syndromes, tension headache, general inflammation, arthritis, rheumatic diseases, osteoarthritis, inflammatory bowel disorders, inflammatory eye disorders, inflammatory or unstable bladder disorders, psoriasis, skin complaints with inflammatory components, chronic inflammatory conditions, inflammatory pain and associated hyperalgesia and allodynia, neuropathic pain and associated hyperalgesia and allodynia, diabetic neuropathy pain, causalgia, sympathetically maintained pain, deafferentation syndromes, asthma, epithelial tissue damage or dysfunction, herpes simplex, disturbances of visceral motility at respiratory, genitourinary, gastrointestinal or vascular regions, wounds, burns, allergic skin reactions, pruritus, vitiligo, general gastrointestinal disorders, etc., were prepared E.g., a multi-step synthesis of II, starting from 4,6-dichloropyrimidine and 2-aminobenzothiazol-4-ol, was given. Compds. I were tested to evaluate their properties at human VR1 (data given for representative compds. I). The pharmaceutical composition comprising the compound I is disclosed.

IT 862270-07-3P 862271-37-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L4 ANSWER 23 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
(prepn. of 4-heteroaryloxy-6-piperazinopyrimidines as vanilloid receptor ligands)

RN 862270-07-3 CAPLUS

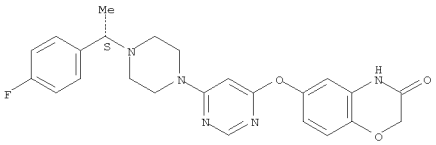
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[6-[4-[1-(4-fluorophenyl)ethyl]-1-piperazinyl]-4-pyrimidinyl]oxy]- (CA INDEX NAME)



RN 862271-37-2 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[6-[4-[(1S)-1-(4-fluorophenyl)ethyl]-1-piperazinyl]-4-pyrimidinyl]oxy]- (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 24 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:614590 CAPLUS
DOCUMENT NUMBER: 143:133377
TITLE: Preparation of triazole derivatives as vasopressin antagonists
INVENTOR(S): Bryans, Justin Stephen; Johnson, Patrick Stephen; Roberts, Lee Richard; Ryckmans, Thomas
PATENT ASSIGNEE(S): Pfizer Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 73 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

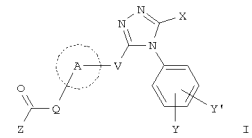
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050154024	A1	20050714	US 2004-9768	20041210
AU 2004309164	A1	20050714	AU 2004-309164	20041209
AU 2004309164	B2	20071115		
CA 2551038	A1	20050714	CA 2004-2551038	20041209
WO 2005063754	A1	20050714	WO 2004-IB4059	20041209
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1701959	A1	20060920	EP 2004-801354	20041209
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, BA, HR, IS, YU				
CN 1898244	A	20070117	CN 2004-80038492	20041209
BR 2004017267	A	20070417	BR 2004-17267	20041209
JP 2007515468	T	20070614	JP 2006-546356	20041209
TW 287541	B	20071001	TW 2004-93139507	20041217
NL 1027833	A1	20050623	NL 2004-1027833	20041221
NL 1027833	C2	20060306		
IN 2006DN02824	A	20070803	IN 2006-DN2824	20060518
MX 2006PA06155	A	20060719	MX 2006-PA6155	20060531
KR 854872	B1	20080828	KR 2006-712328	20060621
NO 2006003380	A	20060922	NO 2006-3380	20060721

PRIORITY APPLN. INFO.:

GB 2003-29693	A	20031222
US 2004-539509P	P	20040127
GB 2004-8789	A	20040420
US 2004-570336P	P	20040512
WO 2004-IB4059	W	20041209

OTHER SOURCE(S): CASREACT 143:133377; MARPAT 143:133377
GI

L4 ANSWER 24 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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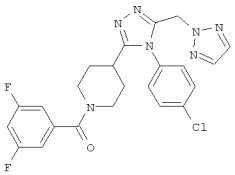
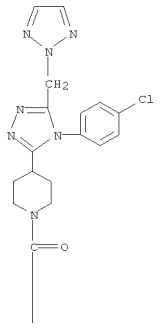


II

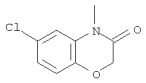
AB The title compds. I [X = (CH2)aR or (CH2)aO(CH2)bR; a = 0-6; b = 0-6; R = H, CF3 or Het; Het = (un)substituted 5- or 6-membered saturated, partially saturated or aromatic heterocyclic ring; Y = represents one or more substituents independently selected from (O)c(CH2)dR1; c = 0-1; d = 0-6; R1 = H, halo, CF3, CN or Het1; Het1 = 5- or 6-membered unsatd. heterocyclic ring; V = a direct link or O; Ring A = (un)substituted 5- to 7-membered saturated heterocyclic ring, or a phenylene group; Q = a direct link or NR2; R2 = H, alkyl; Z = (O)e(CH2)fR3, a Ph ring (optionally fused to a benzene ring or Het2), or Het3 (optionally fused to an benzene ring or Het4); R3 = (un)substituted alkyl, cycloalkyl, cycloalkenyl, Ph, etc.; e = 0-1; f = 0-6; Het2 = 5-6 membered saturated, partially saturated or aromatic heterocyclic ring; Het3 = 4-6 membered saturated, partially saturated or aromatic heterocyclic ring; Het4 = 6-membered aromatic heterocyclic ring], useful for treating a disorder for which a V1a antagonist is indicated, were prepared E.g., a multi-step synthesis of II, starting from tert-Bu 4-hydrazinocarbonylpiperidine-1-carboxylate, was given. Some of the compds. I were synthesized as a library. All the exemplified compds. I showed a Ki value of less than 500 nM when tested in screen 1.0 (V1A filter binding assay). For example, the compound II showed Ki of 2.98 nM.

L4 ANSWER 24 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
IT 859153-16-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of triazole derivs. as vasopressin antagonists)
RN 859153-16-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-chloro-4-[[4-[4-(4-chlorophenyl)-5-(2H-1,2,3-triazol-2-ylmethyl)-4H-1,2,4-triazol-3-yl]-1-piperidinyl]carbonyl]- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



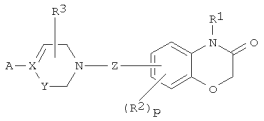
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:453197 CAPLUS
DOCUMENT NUMBER: 141:23540
TITLE: Preparation of benzoxazinones as ligands for 5-HT1 receptors and their use in the treatment of CNS disorders, in particular serotonin-related disorders
INVENTOR(S): Bertani, Barbara; Borriello, Manuela; Bozzoli, Andrea; Bromidge, Steven Mark; Granci, Enrica; Leslie, Colin; Serafinowska, Halina; Stasi, Luigi; Vong, Antonio; Zucchelli, Valeria
PATENT ASSIGNEE(S): Glaxo Group Limited, UK
SOURCE: PCT Int. Appl., 121 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004046124	A1	20040603	WO 2003-EP13085	20031120
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003289888	A1	20040615	AU 2003-289888	20031120
EP 1562917	A1	20050817	EP 2003-782221	20031120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006513167	T	20060420	JP 2004-552698	20031120
US 20060264429	A1	20061123	US 2006-535711	20060207

PRIORITY APPLN. INFO.: GB 2002-27240 A 20021121
WO 2003-EP13085 W 20031120

OTHER SOURCE(S): MARPAT 141:23540
GI

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



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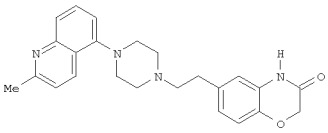
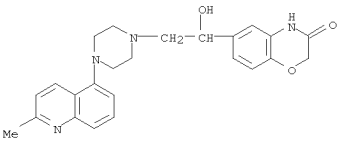


II

AB Title compds. I [wherein A = (un)substituted bicyclic 6,5 or 6,6 hetero/aromatic; R1 = H, halo/cyclo/cycloalkyl/aryl/alkyl, alkenyl, alkynyl; p = 0-2; R2 = independently halo, halo/alkyl, CN, alkanoyl, OH and derivs.; R3 = (R4)r; R4 = halo/hydroxy/alkoxy/cyclo/alkyl, halo, halo/aryl/alkoxy, oxo, CN, NO2, alkylthio, alkoxycarbonyl, alkylsulfonyl, arylsulfonyl, alkylsulfonamido, aroyl, acyl, aryl, etc.; X = CH, N, C; q = 0-2, with the proviso that when q = 0, X is not N; Z = attached to the 6- or 8-position of the benzoxazinone group, and is 3- to 7-membered cycloalkylene, cycloalkenylene, or (CH2)n-Y-(CH2)m; m, n = independently 0-2; Y = single bond, 3- to 7-membered cycloalkenylene, CH:CH, C:O, C(:CH2), O, etc.; provided that when A = naphthyl, 5,6,7,8-tetrahydronaphthyl or 2,3-dihydroindene, Z is not -(CH2CH(OH))-, -(CH2CH2CH(OH))-, -(CH2C(:O))-; and their pharmaceutically acceptable salts] were prepared as ligands for 5-HT1 receptors and/or inhibitors of serotonin reuptake. For example, II was prepared, in 65% yield, by alkylation of 2-methyl-5-(piperazin-1-yl)quinoline (preparation given) with 6-(2-chloroethyl)-4H-benzo[1,4]oxazin-3-one (preparation given) in the presence of NaI/Na2CO3 at 120° for 12 h, and acidulation with an HCl solution in MeOH. Selected I showed high affinity for 5-HT1A, 5-HT1B, and 5-HT1D with pKi values in the range 8.0-10.0 in a radioligand assay. Certain I appear to be 5-HT1 antagonists, while others appear to be inverse agonists, agonists, or partial agonists using the [35S]GTPγS functional assay (no data). Selected I displayed potency at the uptake site of pIC50 > 7.0. Thus, I are useful for treating CNS disorders, in particular serotonin-related disorders such as depression and anxiety, are also disclosed.
IT 698987-93-8P, 6-[1-Hydroxy-2-[4-(2-methylquinolin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one 698990-04-4P,

6-[2-[4-(7-Fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]-1-hydroxyethyl]-2H-1,4-benzoxazin-3(4H)-one
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses)
(5-HT1 ligand; prepn. of benzoxazinones as ligands for 5-HT1 receptors and their use in treatment of CNS and other serotonin-related disorders)
RN 698987-93-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[1-hydroxy-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)



RN 698990-04-4 CAPLUS
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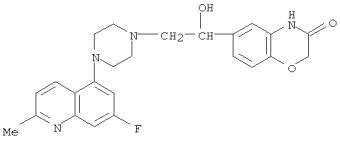
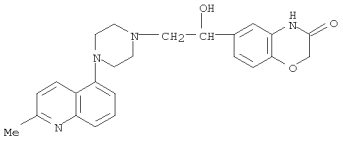


IT 698986-96-8P, 6-[1-Hydroxy-2-[4-(2-methylquinolin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one hydrochloride 698992-35-7P,

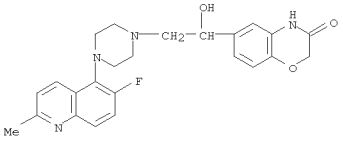
6-[2-[4-(6-Fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]-1-hydroxyethyl]-2H-1,4-benzoxazin-3(4H)-one
RL: PAC (Pharmacological activity); PEP (Physical, engineering or chemical process); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(5-HT1 ligand; preparation of benzoxazinones as ligands for 5-HT1 receptors

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
and their use in treatment of CNS and other serotonin-related disorders)
RN 698986-96-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[1-hydroxy-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

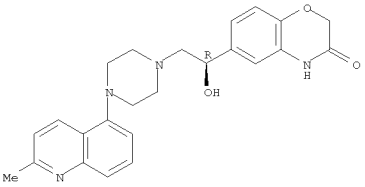
RN 698992-35-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(6-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]-1-hydroxyethyl]- (CA INDEX NAME)



IT 698989-16-1P, 6-[(1R)-1-Hydroxy-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride 698989-22-9P, 6-[(1S)-1-Hydroxy-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride 698992-95-9P, 6-[2-[4-(7-Fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]-(1R)-1-hydroxyethyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride 698992-98-2P, 6-[2-[4-(7-Fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]-(1S)-1-hydroxyethyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride 698993-16-7P, 6-[2-[4-(6-Fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]-(1R)-1-hydroxyethyl]-2H-1,4-benzoxazin-3(4H)-one 698993-19-0P, 6-[2-[4-(6-Fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]-(1S)-1-hydroxyethyl]-2H-1,4-benzoxazin-3(4H)-one
RL: PAC (Pharmacological activity); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study);

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
PREP (Preparation); USES (Uses)
(5-HT1 ligand; prepn. of benzoxazinones as ligands for 5-HT1 receptors and their use in treatment of CNS and other serotonin-related disorders)
RN 698989-16-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[(1R)-1-hydroxy-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

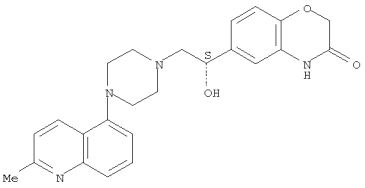
Absolute stereochemistry.



● HCl

RN 698989-22-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[(1S)-1-hydroxy-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

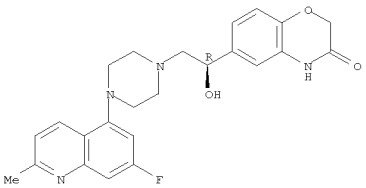
Absolute stereochemistry.



● HCl

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 698992-95-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[(1R)-2-[4-(7-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]-1-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

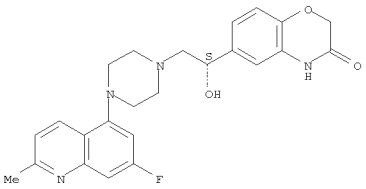
Absolute stereochemistry.



● HCl

RN 698992-98-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[(1S)-2-[4-(7-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]-1-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

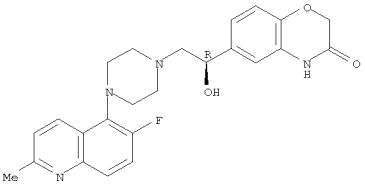


● HCl

RN 698993-16-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[(1R)-2-[4-(6-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]-1-hydroxyethyl]- (CA INDEX NAME)

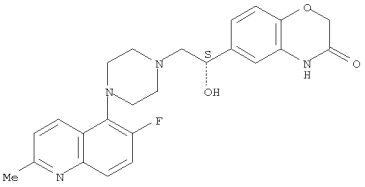
Absolute stereochemistry.

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698993-19-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[(1S)-2-[4-(6-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]-1-hydroxyethyl]- (CA INDEX NAME)

Absolute stereochemistry.



IT 698986-47-9P, 6-[2-[4-(2-Methylquinolin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one hydrochloride 698987-37-0P, 6-[3-[4-(2-Methylquinolin-5-yl)piperazin-1-yl]propanoyl]-4H-benzo[1,4]oxazin-3-one hydrochloride 698987-45-0P, 6-[1-Hydroxy-3-[4-(2-methylquinolin-5-yl)piperazin-1-yl]propyl]-4H-benzo[1,4]oxazin-3-one hydrochloride 698987-71-2P,

6-[2-[4-(2-Methylquinolin-5-yl)piperazin-1-yl]ethoxy]-4H-benzo[1,4]oxazin-3-one 698987-88-1P, 7-Fluoro-6-[2-[4-(2-methylquinolin-5-yl)piperazin-1-yl]ethanoyl]-4H-benzo[1,4]oxazin-3-one 698988-61-3P, 6-[1-Amino-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698988-89-5P, 6-[1-Hydroxy-1-methyl-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698989-39-8P, 6-[[4-(8-Quinolinyl)-1-piperazinyl]methyl]-2H-1,4-benzoxazin-3(4H)-one 698989-99-0P, 6-[[4-(7-Fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]acetyl]-2H-1,4-benzoxazin-3(4H)-one 698990-24-8P, 8-Fluoro-6-[[4-(2-methyl-5-quinolinyl)-1-piperazinyl]acetyl]-2H-1,4-benzoxazin-3(4H)-one 698990-29-3P,

8-Fluoro-6-[1-hydroxy-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
1,4-benzoxazin-3(4H)-one 698990-44-2P, 8-Fluoro-6-[[4-(7-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]acetyl]-2H-1,4-benzoxazin-3(4H)-one 698990-58-8P, 6-[[4-(8-Chloro-2-methyl-5-quinolinyl)-1-piperazinyl]acetyl]-2H-1,4-benzoxazin-3(4H)-one 698990-68-0P,

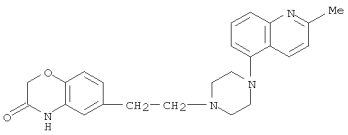
6-[2-[4-(8-Chloro-2-methyl-5-quinolinyl)-1-piperazinyl]-1-hydroxyethyl]-2H-1,4-benzoxazin-3(4H)-one 698990-83-9P, 6-[2-[4-(8-Chloro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698991-77-4P, 6-[2-[4-(7-Chloro-2-methyl-5-quinolinyl)-1-piperazinyl]-1-hydroxyethyl]-2H-1,4-benzoxazin-3(4H)-one 698992-02-8P, 4-Methyl-6-[[4-(1H-pyrrolo[2,3-b]pyridin-3-yl)-3,6-dihydro-1(2H)-pyridinyl]acetyl]-2H-1,4-benzoxazin-3(4H)-one 698992-32-4P, 6-[[4-(6-Fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]acetyl]-2H-1,4-benzoxazin-3(4H)-one 698992-44-8P, 6-[[4-(8-Fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]acetyl]-4-methyl-2H-1,4-benzoxazin-3(4H)-one 698992-51-7P, 6-[[4-(6-Fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]acetyl]-4-methyl-2H-1,4-benzoxazin-3(4H)-one 698992-64-2P 698992-68-6P, 6-[2-[4-(8-Chloro-2-methylquinolin-5-yl)piperazin-1-yl]ethyl]-4-methyl-4H-benzo[1,4]oxazin-3-one 698992-75-5P, 6-[2-[4-(8-Fluoro-2-methylquinolin-5-yl)piperazin-1-yl]ethyl]-4-methyl-4H-benzo[1,4]oxazin-3-one 698992-81-3P, 6-[2-[4-(2-Methyl-1H-indol-4-yl)piperazin-1-yl]ethanoyl]-4H-benzo[1,4]oxazin-3-one 698993-01-0P,

6-[2-[4-(2-Methyl-5-quinolinyl)-1-piperidinyl]ethanoyl]-2H-1,4-benzoxazin-3(4H)-one 698993-09-8P, 6-[1-Hydroxy-2-[4-(2-methyl-5-quinolinyl)-1-piperidinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698993-34-9P, 4-Methyl-8-[2-[(2R)-2-methyl-4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698993-42-9P, 6-[2-[4-(7-Chloro-2,3-dihydro-1,4-benzodioxin-5-yl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698993-45-2P, 6-[2-[4-(7-Fluoro-2,3-dihydro-1,4-benzodioxin-5-yl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698993-48-5P, 6-[2-[4-(7-Bromo-2,3-

dihydro-1,4-benzodioxin-5-yl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698993-51-0P, 8-[4-[2-(3-Oxo-3,4-dihydro-2H-1,4-benzoxazin-6-yl)ethyl]-1-piperazinyl]-2,3-dihydro-1,4-benzodioxin-6-carbonitrile
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(5-HT1 ligand; prepn. of benzoxazinones as ligands for 5-HT1 receptors and their use in treatment of CNS and other serotonin-related disorders)

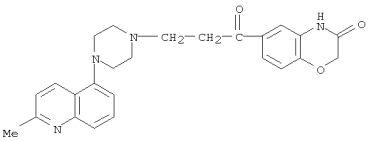
RN 698986-47-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

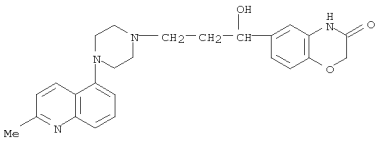
RN 698987-37-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[3-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]-1-oxopropyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

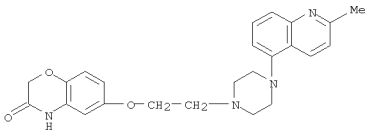
RN 698987-45-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[1-hydroxy-3-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]propyl]-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

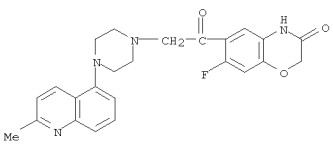


● HCl

RN 698987-71-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethoxy]- (CA INDEX NAME)

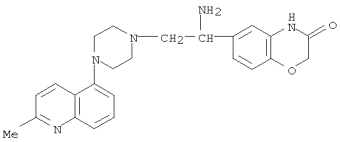


RN 698987-88-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-fluoro-6-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]acetyl]- (CA INDEX NAME)

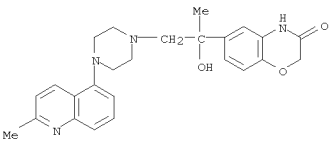


RN 698988-61-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[1-amino-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

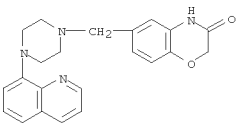
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698988-89-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[1-hydroxy-1-methyl-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

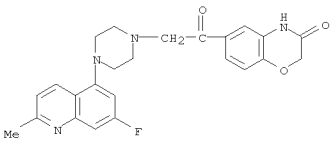


RN 698989-39-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[4-(8-quinolinyl)-1-piperazinyl]methyl]- (CA INDEX NAME)

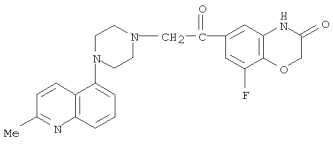


RN 698989-99-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(7-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]acetyl]- (CA INDEX NAME)

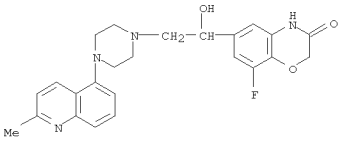
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698990-24-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-fluoro-6-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]acetyl]- (CA INDEX NAME)

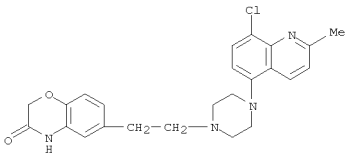


RN 698990-29-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-fluoro-6-[1-hydroxy-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

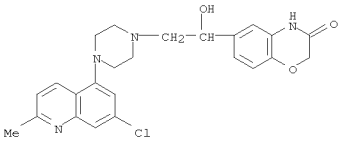


RN 698990-44-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-fluoro-6-[2-[4-(7-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]acetyl]- (CA INDEX NAME)

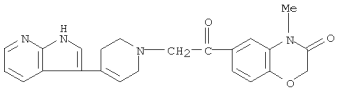
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698991-77-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(7-chloro-2-methyl-5-quinolinyl)-1-piperazinyl]-1-hydroxyethyl]- (CA INDEX NAME)

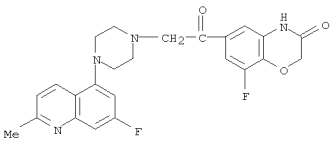


RN 698992-02-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[3,6-dihydro-4-(1H-pyrrolo[2,3-b]pyridin-3-yl)-1(2H)-pyridinyl]acetyl]-4-methyl- (CA INDEX NAME)

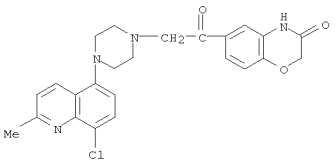


RN 698992-32-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(6-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]acetyl]- (CA INDEX NAME)

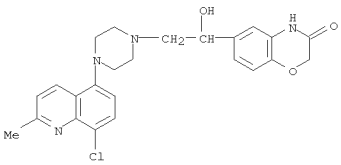
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698990-58-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(8-chloro-2-methyl-5-quinolinyl)-1-piperazinyl]acetyl]- (CA INDEX NAME)

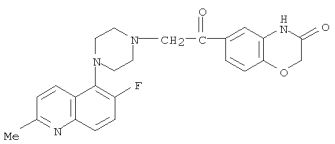


RN 698990-68-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(8-chloro-2-methyl-5-quinolinyl)-1-piperazinyl]-1-hydroxyethyl]- (CA INDEX NAME)

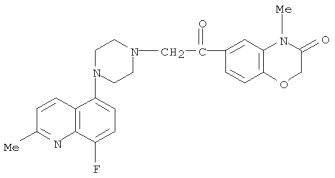


RN 698990-83-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(8-chloro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

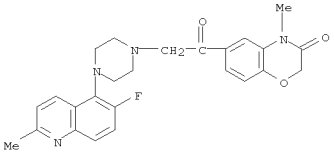
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698992-44-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(8-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]acetyl]-4-methyl- (CA INDEX NAME)

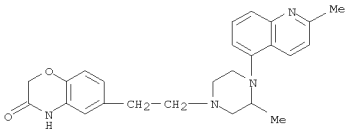


RN 698992-51-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(6-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]acetyl]-4-methyl- (CA INDEX NAME)

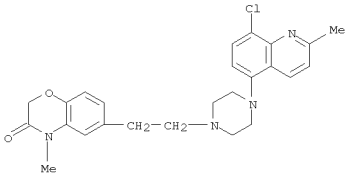


RN 698992-64-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[3-methyl-4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

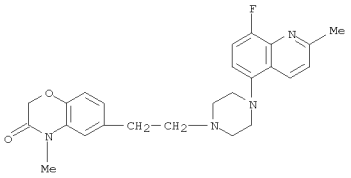
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698992-68-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(8-chloro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-4-methyl- (CA INDEX NAME)

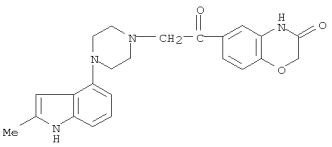


RN 698992-75-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(8-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-4-methyl- (CA INDEX NAME)

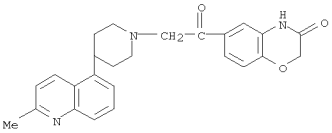


RN 698992-81-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2-methyl-1H-indol-4-yl)-1-piperazinyl]acetyl]- (CA INDEX NAME)

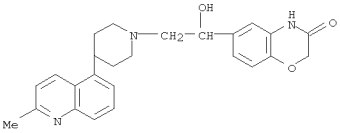
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698993-01-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2-methyl-5-quinolinyl)-1-piperidinyl]acetyl]- (CA INDEX NAME)



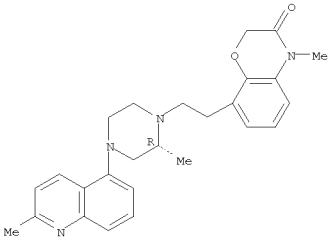
RN 698993-09-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[1-hydroxy-2-[4-(2-methyl-5-quinolinyl)-1-piperidinyl]ethyl]- (CA INDEX NAME)



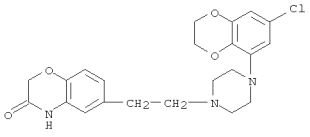
RN 698993-34-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-methyl-8-[2-[(2R)-2-methyl-4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

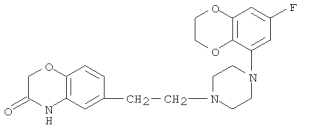
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698993-42-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(7-chloro-2,3-dihydro-1,4-benzodioxin-5-yl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

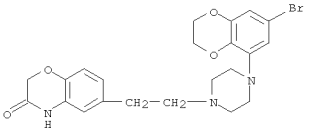


RN 698993-45-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(7-fluoro-2,3-dihydro-1,4-benzodioxin-5-yl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

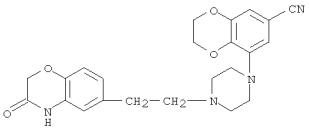


RN 698993-48-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(7-bromo-2,3-dihydro-1,4-benzodioxin-5-yl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698993-51-0 CAPLUS
CN 1,4-Benzodioxin-6-carbonitrile, 8-[4-[2-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)ethyl]-1-piperazinyl]-2,3-dihydro- (CA INDEX NAME)



IT 698986-50-4P, 6-[2-[4-(2,7-Dimethylquinolin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one hydrochloride 698986-53-7P, 6-[2-[4-(7-Chloro-2-methylquinolin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one hydrochloride 698986-57-1P, 6-[2-[4-(Quinolin-4-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one hydrochloride 698986-60-6P, 6-[2-[4-(2-Methylquinazolin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one hydrochloride 698986-63-9P, 6-[2-[4-(2,3-Dihydrobenzo[1,4]dioxin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one hydrochloride 698986-66-2P, 6-[2-[4-(6-Methoxyquinolin-8-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one hydrochloride 698986-73-1P, 6-[2-[4-(Quinolin-8-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one hydrochloride 698986-80-0P, 6-[2-[4-(1H-Indol-4-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one hydrochloride 698986-85-5P,

6-[2-[4-(7-Chloro-2-methylquinolin-5-yl)piperazin-1-yl]ethyl]-7-fluoro-4H-benzo[1,4]oxazin-3-one 698986-89-9P, 4-Methyl-6-[2-[4-(2-methylquinolin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one hydrochloride 698986-92-4P, 6-[2-[4-(2-Methylquinolin-5-yl)piperazin-1-yl]ethanoyl]-4H-benzo[1,4]oxazin-3-one hydrochloride 698987-03-0P, 6-[2-[2-Methyl-4-(2-methylquinolin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one hydrochloride 698987-07-4P, 6-[2-[3-Methyl-4-(2-methylquinolin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one hydrochloride 698987-10-9P, 6-[2-[4-(2-Methylquinolin-5-yl)-3,6-dihydro-2H-pyridin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one 698987-14-3P, 6-[2-[4-(2-Methylquinolin-5-yl)piperidin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one hydrochloride 698987-18-7P, 6-[2-[4-(2-Methylquinolin-5-yl)-[1,4]diazepan-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one hydrochloride 698987-22-3P,

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
6-[2-[4-(2-Methylquinazolin-5-yl)-[1,4]diazepan-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one hydrochloride 698987-26-7P, 7-Fluoro-6-[2-[4-(2-methylquinolin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one hydrochloride 698987-30-3P,

6-[3-[4-(2-Methylquinolin-5-yl)piperazin-1-yl]propyl]-4H-benzo[1,4]oxazin-3-one 698987-31-4P, 6-[3-[4-(2-Methylquinolin-5-yl)piperazin-1-yl]propyl]-4H-benzo[1,4]oxazin-3-one acetate 698987-34-7P, 6-[3-[4-(7-Fluoro-2-methylquinolin-5-yl)piperazin-1-yl]propyl]-4H-benzo[1,4]oxazin-3-one 698987-50-7P, 6-[(E)-3-[4-(2-Methylquinolin-5-yl)piperazin-1-yl]prop-1-enyl]-4H-benzo[1,4]oxazin-3-one hydrochloride 698987-55-2P, 6-[4-[4-(2-Methylquinolin-5-yl)piperazin-1-yl]butyl]-4H-benzo[1,4]oxazin-3-one hydrochloride 698987-62-1P, 6-[4-[4-(2-Methylquinolin-5-yl)piperazin-1-yl]cyclohex-1-enyl]-4H-benzo[1,4]oxazin-3-one hydrochloride 698987-67-6P, 6-[4-[4-(2-Methylquinazolin-5-yl)piperazin-1-yl]butyl]-4H-benzo[1,4]oxazin-3-one hydrochloride 698987-75-6P, 4-Methyl-6-[2-[4-(2-methylquinolin-5-yl)piperazin-1-yl]ethoxy]-4H-benzo[1,4]oxazin-3-one 698987-79-0P, 7-Fluoro-6-[2-[4-(7-fluoro-2-methylquinolin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one hydrochloride 698987-83-6P, 6-[2-[4-(7-Fluoro-2-methylquinolin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one hydrochloride 698987-98-3P, 6-[1-Methoxy-3-[4-(2-methylquinolin-5-yl)piperazin-1-yl]propyl]-4H-benzo[1,4]oxazin-3-one 698988-08-8P,

6-[2-[4-(2-Methyl-1H-indol-4-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one hydrochloride 698988-31-7P, 6-[1-Fluoro-2-[4-(2-methylquinolin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one 698988-35-1P, 6-[1-Fluoro-3-[4-(2-methylquinolin-5-yl)piperazin-1-yl]propyl]-4H-benzo[1,4]oxazin-3-one 698988-39-5P, 5-Fluoro-6-[2-[4-(2-methylquinolin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one 698988-43-1P, 5-Fluoro-4-methyl-6-[2-[4-(2-methylquinolin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one 698988-46-4P, 6-[2-[4-(7-Chloro-2-methylquinolin-5-yl)piperazin-1-yl]ethyl]-4-methyl-4H-benzo[1,4]oxazin-3-one 698988-50-0P, 4-Ethyl-6-[2-[4-(2-methylquinolin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one hydrochloride 698988-54-4P,

6-[2-[4-(7-Fluoro-2-methylquinolin-5-yl)piperazin-1-yl]ethyl]-4-methyl-4H-benzo[1,4]oxazin-3-one hydrochloride 698988-58-8P,

6-[1-(Methyloxy)-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698988-64-6P, N-[2-[4-(2-Methyl-5-quinolinyl)-1-piperazinyl]-1-(3-oxo-3,4-dihydro-2H-1,4-benzoxazin-6-yl)ethyl]acetamide hydrochloride 698988-67-9P, 6-[1-(Methylamino)-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698988-71-5P, 6-[2-[4-(2-Methyl-5-

quinolinyl)-1-piperazinyl]-1-(phenyloxy)ethyl]-2H-1,4-benzoxazin-3(4H)-one 698988-75-9P, N-[2-[4-(2-Methyl-5-quinolinyl)-1-piperazinyl]-1-(3-oxo-3,4-dihydro-2H-1,4-benzoxazin-6-yl)ethyl]formamide 698988-79-3P, 6-[1-Hydroxy-1-methyl-3-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]propyl]-2H-1,4-benzoxazin-3(4H)-one 698988-95-3P, 6-[(1E)-1-Methyl-3-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]-1-propen-1-

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

6-[2-[4-(1-Isoquinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698991-27-4P, Ethyl 5-[4-[2-(3-oxo-3,4-dihydro-2H-1,4-benzoxazin-6-yl)ethyl]-1-piperazinyl]benzofuran-2-carboxylate 698991-33-2P, 6-[2-[4-(5-Fluoro-1H-indol-3-yl)-1-piperidinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698991-36-5P, 6-[2-[4-(5-Chloro-1H-indol-4-yl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698991-40-1P, 6-[2-[4-(6-Chloro-1H-indol-4-yl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698991-45-6P, 6-[2-[4-(1H-Pyrrolo[2,3-b]pyridin-4-yl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698991-50-3P, 6-[2-[4-(7-Chloro-1H-indol-4-yl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698991-54-7P, 6-[3-[4-(1H-Pyrrolo[2,3-b]pyridin-4-yl)-1-piperazinyl]propyl]-2H-1,4-benzoxazin-3(4H)-one 698991-58-1P, 6-[3-[4-(5-Chloro-1H-indol-4-yl)-1-piperazinyl]propyl]-2H-1,4-benzoxazin-3(4H)-one 698991-63-8P, 6-[2-[4-(5-Methylthieno[2,3-d]pyrimidin-4-yl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride 698991-68-3P, 6-[[2-[4-(2-Methyl-5-quinazolinyl)-1-piperazinyl]ethyl]oxy]-2H-1,4-benzoxazin-3(4H)-one hydrochloride 698991-72-9P, 6-[2-[4-(7-Chloro-2-methylquinolin-5-yl)piperazin-1-yl]ethanoyl]-4H-benzo[1,4]oxazin-3-one hydrochloride 698991-87-6P , 6-[2-[4-(7-Chloro-2-methyl-5-quinolinyl)-1-piperazinyl]-1-fluoroethyl]-2H-1,4-benzoxazin-3(4H)-one 698991-92-3P, 6-[3-[4-(2,2-Dimethyl-2,3-dihydro-1-benzofuran-7-yl)-1-piperazinyl]propyl]-2H-1,4-benzoxazin-3(4H)-one 698991-97-8P, 6-[2-[4-(2,2-Dimethyl-2,3-dihydro-benzo[b]furan-7-yl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698992-07-3P, 6-[1-Hydroxy-2-[4-(1H-pyrrolo[2,3-b]pyridin-3-yl)-3,6-dihydro-1(2H)-pyridinyl]ethyl]-4-methyl-2H-1,4-benzoxazin-3(4H)-one 698992-11-9P, 6-[[4-(2-Methyl-5-quinolinyl)-1-piperazinyl]methyl]-2H-1,4-benzoxazin-3(4H)-one 698992-40-4P, 6-[1-Hydroxy-2-[4-(2-

methyl-5-quinolinyl)-1-piperazinyl]ethyl]-4-methyl-2H-1,4-benzoxazin-3(4H)-one 698992-48-2P, 6-[2-[4-(8-Fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]-1-hydroxyethyl]-4-methyl-2H-1,4-benzoxazin-3(4H)-one 698992-54-0P, 6-[2-[4-(6-Fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]-1-hydroxyethyl]-4-methyl-2H-1,4-benzoxazin-3(4H)-one 698992-56-2P, 4-Methyl-6-[2-[4-(2-methyl-5-quinolinyl)hexahydro-1H-1,4-diazepin-1-yl]ethyl]-2H-1,4-benzoxazin-3(4H)-one dihydrochloride 698992-61-9P, 4-Methyl-6-[2-[3-methyl-4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698992-72-2P,

6-[2-[4-(8-Chloro-2-methylquinolin-5-yl)piperazin-1-yl]ethyl]-4-methyl-4H-benzo[1,4]oxazin-3-one hydrochloride 698992-78-8P,

6-[2-[4-(8-Fluoro-2-methylquinolin-5-yl)piperazin-1-yl]ethyl]-4-methyl-4H-benzo[1,4]oxazin-3-one hydrochloride 698993-05-4P, 6-[1-Hydroxy-2-[4-(2-methyl-5-quinolinyl)-1-piperidinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one dihydrochloride 698993-12-3P, 6-[2-[4-(7-Fluoro-2-methylquinolin-5-yl)piperidin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one dihydrochloride 698993-22-5P, 6-[2-[4-(8-Fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698993-28-1P, 6-[2-[4-(2-Quinoxalinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698993-31-6P, 4-Methyl-8-[2-[(2R)-2-methyl-4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride 698993-36-1P, 4-Methyl-8-[2-[(2S)-2-methyl-4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride

698993-54-3P, 6-[2-[4-(7-Chloro-2,3-dihydro-1,4-benzodioxin-5-yl)-

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

yl]-2H-1,4-benzoxazin-3(4H)-one 698989-00-3P, 6-[1-[2-[4-(2-Methyl-5-quinolinyl)-1-piperazinyl]ethyl]ethenyl]-2H-1,4-benzoxazin-3(4H)-one 698989-05-8P, 6-[1-[[4-(2-Methyl-5-quinolinyl)-1-piperazinyl]methyl]ethenyl]-2H-1,4-benzoxazin-3(4H)-one 698989-10-5P, 2-[4-(2-Methyl-5-quinolinyl)-1-piperazinyl]-1-(3-oxo-3,4-dihydro-2H-1,4-benzoxazin-6-yl)ethyl acetate 698989-28-5P, 6-[[4-(8-Quinolinyl)-1-piperazinyl]methyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride 698989-51-4P, 6-[2-[4-(2-Quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698989-57-0P, 6-[3-[4-(2-Quinolinyl)-1-piperazinyl]propyl]-2H-1,4-benzoxazin-3(4H)-one 698989-62-7P, 6-[2-[4-(6-Chloro-2-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698989-66-1P, 6-[2-[4-(6-Nitro-2-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698989-71-8P, 6-[2-[4-(7-Methyl-1,8-naphthyridin-4-yl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698989-82-1P, 6-[2-[4-(1,6-Naphthyridin-5-yl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698989-93-4P, 6-[2-[4-(2-Phenylquinolin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one dihydrochloride 698990-10-2P , 6-[1-Fluoro-2-[4-(7-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride 698990-15-7P, 8-Fluoro-6-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride 698990-34-0P,

8-Fluoro-6-[1-fluoro-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride 698990-39-5P,

8-Fluoro-6-[2-[4-(7-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride 698990-53-3P, 8-Fluoro-6-[2-[4-(7-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]-1-hydroxyethyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride 698990-63-5P, 6-[2-[4-(8-Chloro-2-methyl-5-quinolinyl)-1-piperazinyl]-1-hydroxyethyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride 698990-73-7P, 6-[2-[4-(8-Chloro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride 698990-78-2P, 4-Methyl-8-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride 698990-88-4P, 8-[2-[4-(2-Methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride 698990-92-0P,

6-[2-[4-(7-Chloro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-7-fluoro-2H-1,4-benzoxazin-3(4H)-one hydrochloride 698990-96-4P,

6-[2-[(2S)-2-Methyl-4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride 698991-00-3P,

6-[2-[(2R)-2-Methyl-4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride 698991-08-1P, 6-[2-[4-(2,3-Dihydro-1,4-benzodioxin-6-yl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride 698991-12-7P, 6-[2-[4-(3,4-Dihydro-2H-1,5-benzodioxepin-7-yl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one hydrochloride 698991-16-1P, 6-[2-[4-(7-Bromo-1H-indol-4-yl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698991-20-7P, 6-[3-[4-(7-Bromo-1H-indol-4-yl)-1-piperazinyl]propyl]-2H-1,4-benzoxazin-3(4H)-one 698991-24-1P,

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one monohydrochloride 698993-57-6P, 6-[2-[4-(7-Fluoro-2,3-dihydro-1,4-benzodioxin-5-yl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one monohydrochloride 698993-60-1P, 6-[2-[4-(7-Bromo-2,3-dihydro-1,4-benzodioxin-5-yl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one monohydrochloride 698993-63-4P, 8-[4-[2-(3-Oxo-3,4-dihydro-2H-1,4-benzoxazin-6-yl)ethyl]-1-piperazinyl]-2,3-dihydro-1,4-benzodioxin-6-carbonitrile monohydrochloride 698993-67-8P, 6-[2-[4-(2-Methylquinolin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one 698993-71-4P, 6-[2-[4-(2,7-Dimethylquinolin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one 698993-74-7P, 6-[2-[4-(7-Chloro-2-methylquinolin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one 698993-77-0P, 6-[2-[4-(Quinolin-4-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one 698993-80-5P, 6-[2-[4-(2-Methylquinazolin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one 698993-83-8P , 6-[2-[4-(2,3-Dihydrobenzo[1,4]dioxin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one 698993-87-2P, 6-[2-[4-(6-Methoxyquinolin-8-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one 698993-89-4P , 6-[2-[4-(Quinolin-8-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one 698993-91-8P, 6-[2-[4-(1H-Indol-4-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one 698993-95-2P, 4-Methyl-6-[2-[4-(2-methylquinolin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one 698993-97-4P, 6-[2-[2-Methyl-4-(2-methylquinolin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one 698994-02-4P,

6-[2-[4-(2-Methylquinolin-5-yl)piperidin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one 698994-06-8P, 6-[2-[4-(2-Methylquinolin-5-yl)-[1,4]diazepan-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one 698994-09-1P, 6-[2-[4-(2-Methylquinazolin-5-yl)-[1,4]diazepan-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one 698994-12-6P, 7-Fluoro-6-[2-[4-(2-methylquinolin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one 698994-18-2P, 6-[(E)-3-[4-(2-Methylquinolin-5-yl)piperazin-1-yl]prop-1-enyl]-4H-benzo[1,4]oxazin-3-one 698994-22-8P,

6-[4-[4-(2-Methylquinolin-5-yl)piperazin-1-yl]butyl]-4H-benzo[1,4]oxazin-3-one 698994-26-2P, 6-[4-[4-(2-Methylquinolin-5-yl)piperazin-1-yl]cyclohex-1-enyl]-4H-benzo[1,4]oxazin-3-one 698994-29-5P,

6-[4-[4-(2-Methylquinazolin-5-yl)piperazin-1-yl]butyl]-4H-benzo[1,4]oxazin-3-one 698994-31-9P, 7-Fluoro-6-[2-[4-(7-fluoro-2-methylquinolin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one 698994-34-2P , 6-[2-[4-(7-Fluoro-2-methylquinolin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one 698994-36-4P, 6-[2-[4-(2-Methyl-1H-indol-4-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one 698994-39-7P , 4-Ethyl-6-[2-[4-(2-methylquinolin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one 698994-42-2P, 6-[2-[4-(7-Fluoro-2-methylquinolin-5-yl)piperazin-1-yl]ethyl]-4-methyl-4H-benzo[1,4]oxazin-3-one 698994-45-5P, N-[2-[4-(2-Methyl-5-quinolinyl)-1-piperazinyl]-1-(3-oxo-3,4-dihydro-2H-1,4-benzoxazin-6-yl)ethyl]acetamide 698994-52-4P, 6-[2-[4-(2-Phenylquinolin-5-yl)piperazin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one 698994-56-8P, 6-[1-Fluoro-2-[4-(7-

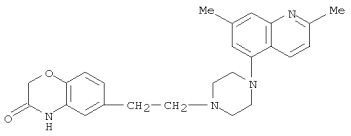
fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698994-60-4P, 8-Fluoro-6-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698994-63-7P,

8-Fluoro-6-[1-fluoro-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698994-66-0P, 8-Fluoro-6-[2-[4-(7-

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698994-70-6P, 8-Fluoro-6-[2-[4-(7-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]-1-hydroxyethyl]-2H-1,4-benzoxazin-3(4H)-one 698994-74-0P, 4-Methyl-8-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698994-77-3P, 8-[2-[4-(2-Methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698994-81-9P, 6-[2-[(2S)-2-Methyl-4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698994-83-1P, 6-[2-[(2R)-2-Methyl-4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698994-85-3P, 6-[2-[4-(2,3-Dihydro-1,4-benzodioxin-6-yl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698994-87-5P, 6-[2-[4-(3,4-Dihydro-2H-1,5-benzodioxepin-7-yl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698994-89-7P, 6-[2-[4-(5-Methylthieno[2,3-d]pyrimidin-4-yl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698994-92-2P, 6-[[2-[4-(2-Methyl-5-quinazolinyl)-1-piperazinyl]ethyl]oxy]-2H-1,4-benzoxazin-3(4H)-one 698994-94-4P, 4-Methyl-6-[2-[4-(2-methyl-5-quinolinyl)hexahydro-1H-1,4-diazepin-1-yl]ethyl]-2H-1,4-benzoxazin-3(4H)-one 698994-98-8P, 6-[2-[4-(7-Fluoro-2-methylquinolin-5-yl)piperidin-1-yl]ethyl]-4H-benzo[1,4]oxazin-3-one
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(5-HT1 ligand; prepn. of benzoxazinones as ligands for 5-HT1 receptors and their use in treatment of CNS and other serotonin-related disorders)

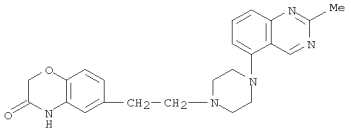
RN 698986-50-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2,7-dimethyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

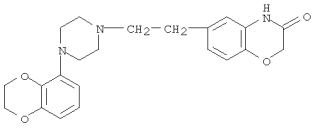
RN 698986-53-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(7-chloro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



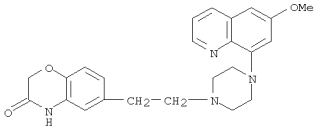
●x HCl

RN 698986-63-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2,3-dihydro-1,4-benzodioxin-5-yl)-1-piperazinyl]ethyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

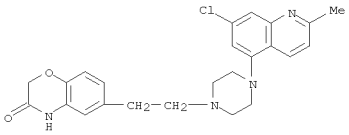
RN 698986-66-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(6-methoxy-8-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

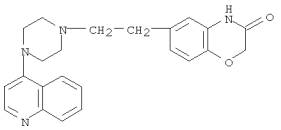
RN 698986-73-1 CAPLUS

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

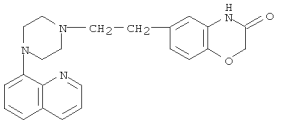
RN 698986-57-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(4-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

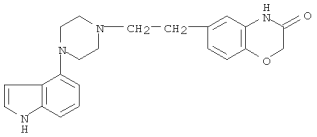
RN 698986-60-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2-methyl-5-quinazolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:?) (CA INDEX NAME)

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(8-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



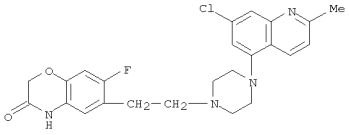
● HCl

RN 698986-80-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(1H-indol-4-yl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

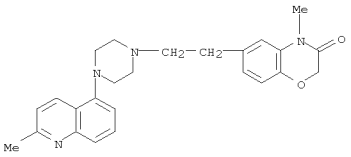


● HCl

RN 698986-85-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(7-chloro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-7-fluoro- (CA INDEX NAME)

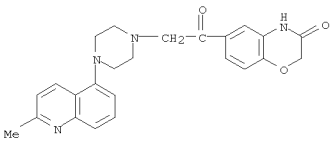


L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 698986-89-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-methyl-6-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

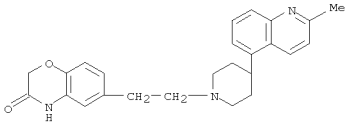
RN 698986-92-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]acetyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

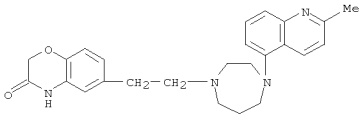
RN 698987-03-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[2-methyl-4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
piperidinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



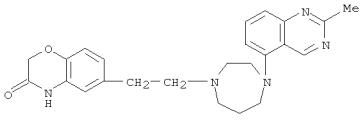
● HCl

RN 698987-18-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[hexahydro-4-(2-methyl-5-quinolinyl)-1H-1,4-diazepin-1-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



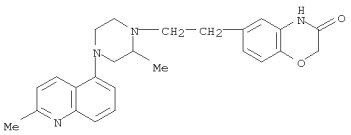
● HCl

RN 698987-22-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[hexahydro-4-(2-methyl-5-quinazolinyl)-1H-1,4-diazepin-1-yl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



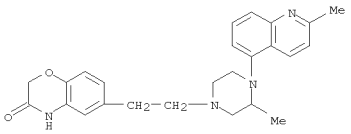
● HCl

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



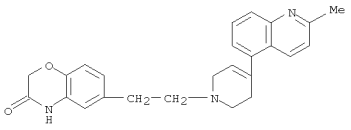
● HCl

RN 698987-07-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[3-methyl-4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

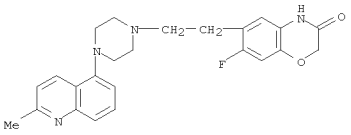
RN 698987-10-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[3,6-dihydro-4-(2-methyl-5-quinolinyl)-1(2H)-pyridinyl]ethyl]- (CA INDEX NAME)



RN 698987-14-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2-methyl-5-quinolinyl)-1-

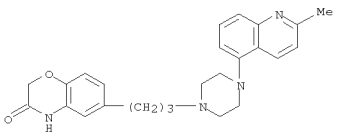
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 698987-26-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-fluoro-6-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

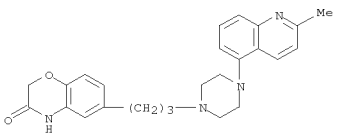
RN 698987-30-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[3-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]propyl]- (CA INDEX NAME)



RN 698987-31-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[3-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]propyl]-, acetate (1:1) (CA INDEX NAME)

CM 1

CRN 698987-30-3
CMF C25 H28 N4 O2

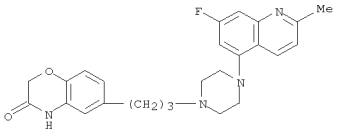


L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

CM 2

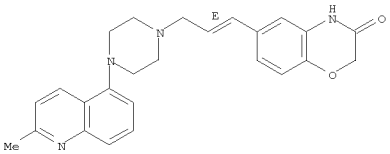
CRN 64-19-7
CMF C2 H4 O2

RN 698987-34-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[3-[4-(7-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]propyl]- (CA INDEX NAME)



RN 698987-50-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[(1E)-3-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]-1-propen-1-yl]-, hydrochloride (1:1) (CA INDEX NAME)

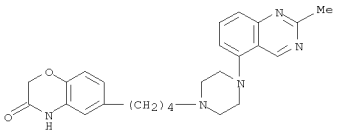
Double bond geometry as shown.



● HCl

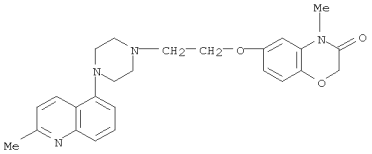
RN 698987-55-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[4-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]butyl]-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

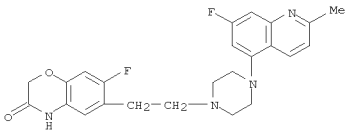


● HCl

RN 698987-75-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-methyl-6-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethoxy]- (CA INDEX NAME)



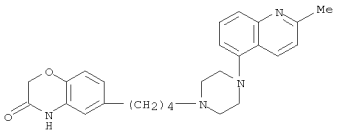
RN 698987-79-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-fluoro-6-[2-[4-(7-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

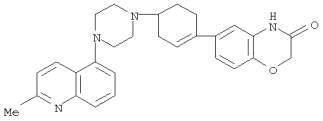
RN 698987-83-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(7-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

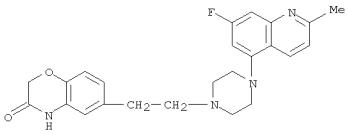
RN 698987-62-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[4-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]-1-cyclohexen-1-yl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

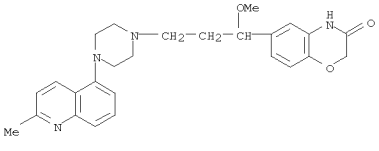
RN 698987-67-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[4-[4-(2-methyl-5-quinazolinyl)-1-piperazinyl]butyl]-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

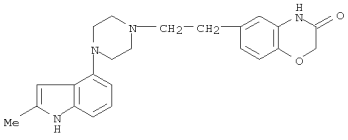


● HCl

RN 698987-98-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[1-methoxy-3-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]propyl]- (CA INDEX NAME)



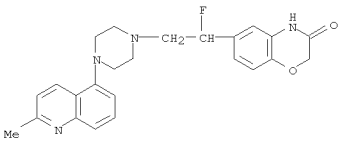
RN 698988-08-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2-methyl-1H-indol-4-yl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



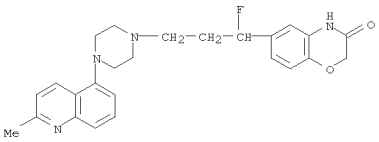
● HCl

RN 698988-31-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[1-fluoro-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

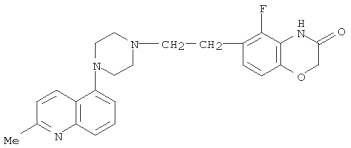
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698988-35-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[1-fluoro-3-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]propyl]- (CA INDEX NAME)

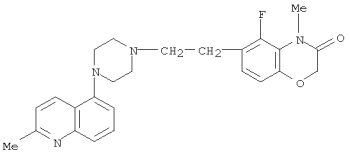


RN 698988-39-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 5-fluoro-6-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

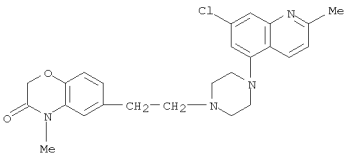


RN 698988-43-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 5-fluoro-4-methyl-6-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

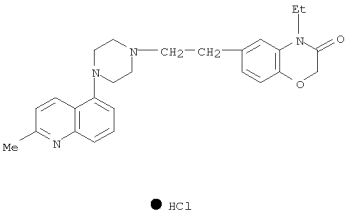
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



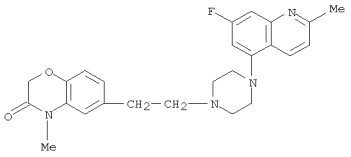
RN 698988-46-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(7-chloro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-4-methyl- (CA INDEX NAME)



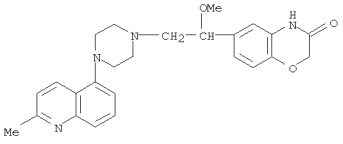
RN 698988-50-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-ethyl-6-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 698988-54-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(7-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-4-methyl-, hydrochloride (1:1) (CA INDEX NAME)

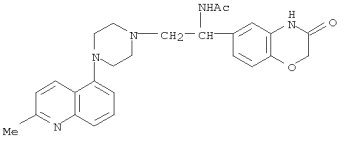


RN 698988-58-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[1-methoxy-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

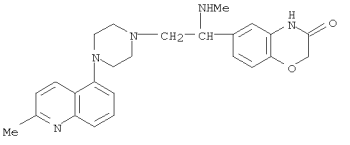


RN 698988-64-6 CAPLUS
CN Acetamide, N-[1-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

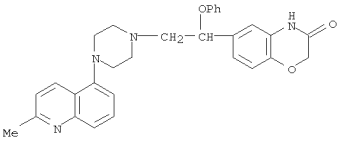
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698988-67-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[1-(methylamino)-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

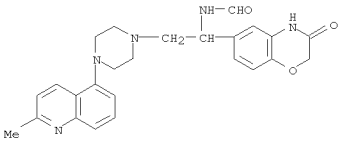


RN 698988-71-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]-1-phenoxyethyl]- (CA INDEX NAME)

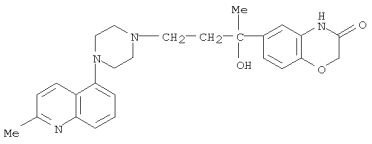


RN 698988-75-9 CAPLUS
CN Formamide, N-[1-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

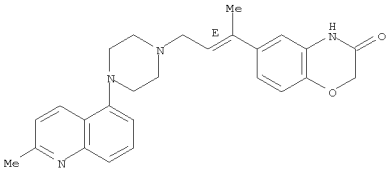


RN 698988-79-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[1-hydroxy-1-methyl-3-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]propyl]- (CA INDEX NAME)



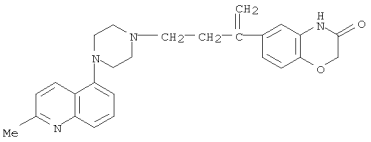
RN 698988-95-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one,
6-[(1E)-1-methyl-3-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]-1-propen-1-yl]- (CA INDEX NAME)

Double bond geometry as shown.

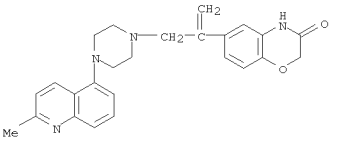


RN 698989-00-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one,
6-[1-methylene-3-[4-(2-methyl-5-quinolinyl)-1-

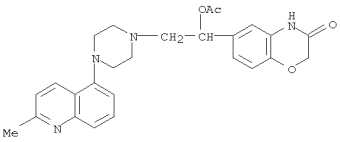
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
piperazinyl]propyl]- (CA INDEX NAME)



RN 698989-05-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[1-[[4-(2-methyl-5-quinolinyl)-1-piperazinyl]methyl]ethenyl]- (CA INDEX NAME)

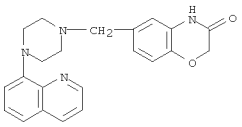


RN 698989-10-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one,
6-[1-(acetyloxy)-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)



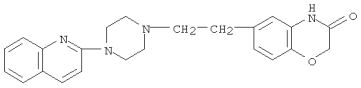
RN 698989-28-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[4-(8-quinolinyl)-1-piperazinyl]methyl]-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

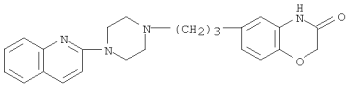


● HCl

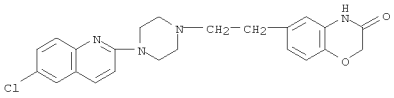
RN 698989-51-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one,
6-[2-[4-(2-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)



RN 698989-57-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one,
6-[3-[4-(2-quinolinyl)-1-piperazinyl]propyl]- (CA INDEX NAME)

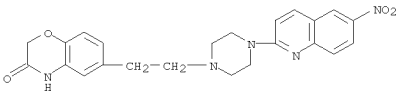


RN 698989-62-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(6-chloro-2-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

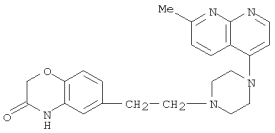


L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

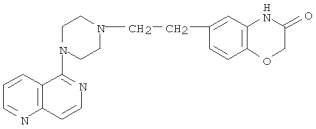
RN 698989-66-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(6-nitro-2-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)



RN 698989-71-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(7-methyl-1,8-naphthyridin-4-yl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

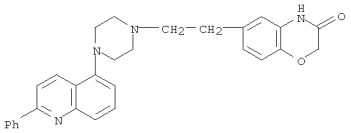


RN 698989-82-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(1,6-naphthyridin-5-yl)-1-piperazinyl]ethyl]- (CA INDEX NAME)



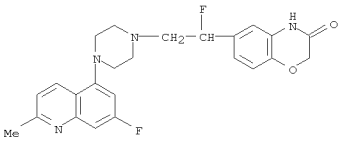
RN 698989-93-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2-phenyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:2) (CA INDEX NAME)

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● 2 HCl

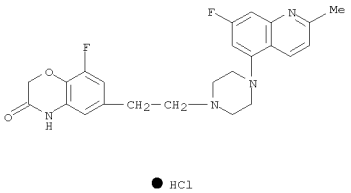
RN 698990-10-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[1-fluoro-2-[4-(7-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

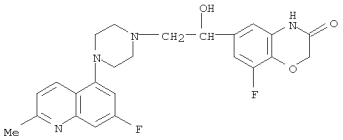
RN 698990-15-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-fluoro-6-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

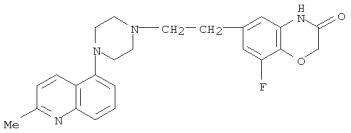
RN 698990-53-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-fluoro-6-[2-[4-(7-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]-1-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

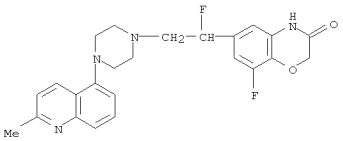
RN 698990-63-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(8-chloro-2-methyl-5-quinolinyl)-1-piperazinyl]-1-hydroxyethyl]-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

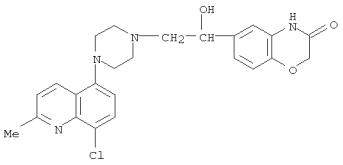
RN 698990-34-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-fluoro-6-[1-fluoro-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

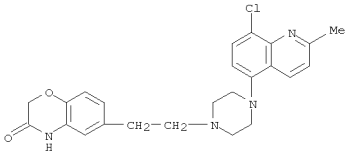
RN 698990-39-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-fluoro-6-[2-[4-(7-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

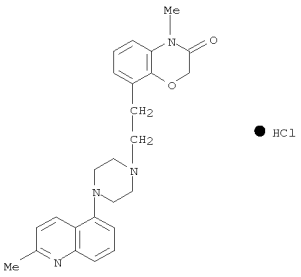
RN 698990-73-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(8-chloro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

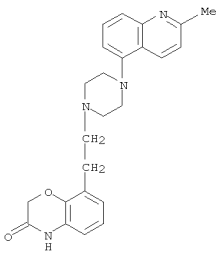
RN 698990-78-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-methyl-8-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

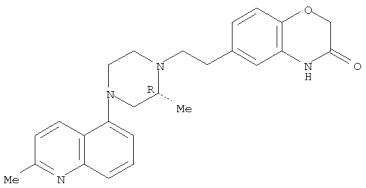
RN 698990-88-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

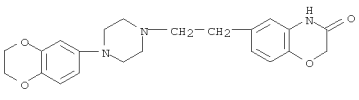
RN 698990-92-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(7-chloro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-7-fluoro-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



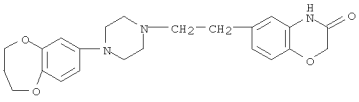
● HCl

RN 698991-08-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2,3-dihydro-1,4-benzodioxin-6-yl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

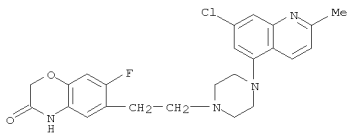
RN 698991-12-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(3,4-dihydro-2H-1,5-benzodioxepin-7-yl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 698991-16-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(7-bromo-1H-indol-4-yl)-1-

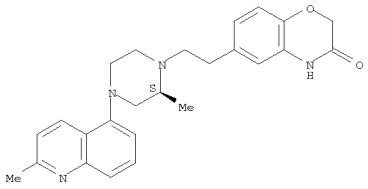
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 698990-96-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(2S)-2-methyl-4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

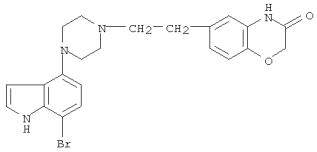


● HCl

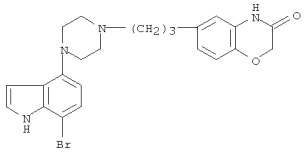
RN 698991-00-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(2R)-2-methyl-4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

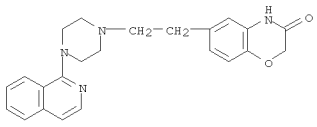
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
piperazinyl]ethyl]- (CA INDEX NAME)



RN 698991-20-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[3-[4-(7-bromo-1H-indol-4-yl)-1-piperazinyl]propyl]- (CA INDEX NAME)

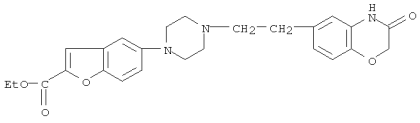


RN 698991-24-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(1-isoquinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

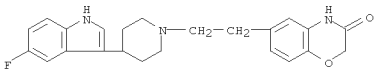


RN 698991-27-4 CAPLUS
CN 2-Benzofurancarboxylic acid, 5-[4-[2-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)ethyl]-1-piperazinyl]-, ethyl ester (CA INDEX NAME)

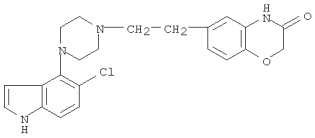
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698991-33-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]ethyl]- (CA INDEX NAME)

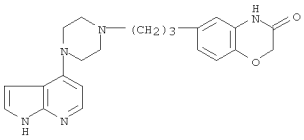


RN 698991-36-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(5-chloro-1H-indol-4-yl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

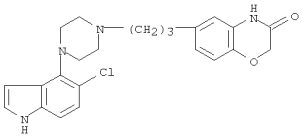


RN 698991-40-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(6-chloro-1H-indol-4-yl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

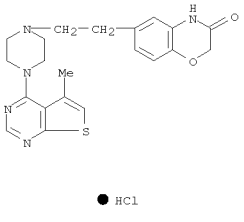
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698991-58-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[3-[4-(5-chloro-1H-indol-4-yl)-1-piperazinyl]propyl]- (CA INDEX NAME)



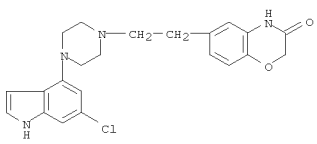
RN 698991-63-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one,
6-[2-[4-(5-methylthieno[2,3-d]pyrimidin-4-yl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



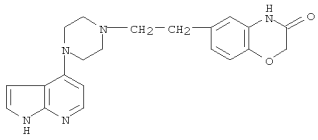
● HCl

RN 698991-68-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2-methyl-5-quinazolinyl)-1-piperazinyl]ethoxy]-, hydrochloride (1:1) (CA INDEX NAME)

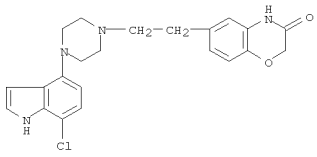
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698991-45-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(1H-pyrrolo[2,3-b]pyridin-4-yl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

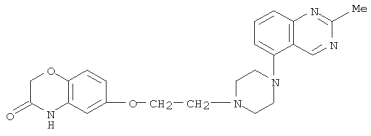


RN 698991-50-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(7-chloro-1H-indol-4-yl)-1-piperazinyl]ethyl]- (CA INDEX NAME)



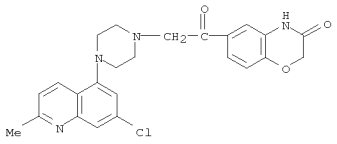
RN 698991-54-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[3-[4-(1H-pyrrolo[2,3-b]pyridin-4-yl)-1-piperazinyl]propyl]- (CA INDEX NAME)

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



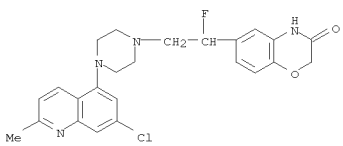
● HCl

RN 698991-72-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(7-chloro-2-methyl-5-quinolinyl)-1-piperazinyl]acetyl]-, hydrochloride (1:1) (CA INDEX NAME)



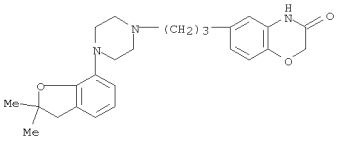
● HCl

RN 698991-87-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(7-chloro-2-methyl-5-quinolinyl)-1-piperazinyl]-1-fluoroethyl]- (CA INDEX NAME)

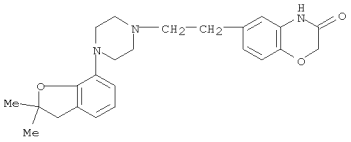


RN 698991-92-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[3-[4-(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)-1-piperazinyl]propyl]- (CA INDEX NAME)

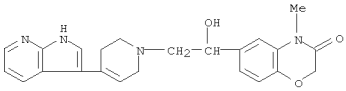
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698991-97-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2,3-dihydro-2,2-dimethyl-7-benzofuranyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

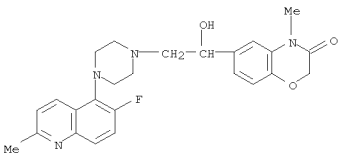


RN 698992-07-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[3,6-dihydro-4-(1H-pyrrolo[2,3-b]pyridin-3-yl)-1(2H)-pyridinyl]-1-hydroxyethyl]-4-methyl- (CA INDEX NAME)

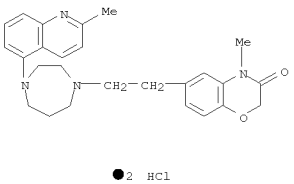


RN 698992-11-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[4-(2-methyl-5-quinolinyl)-1-piperazinyl]methyl]- (CA INDEX NAME)

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

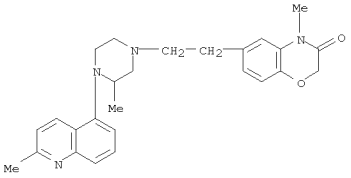


RN 698992-56-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[hexahydro-4-(2-methyl-5-quinolinyl)-1H-1,4-diazepin-1-yl]ethyl]-4-methyl-, hydrochloride (1:2) (CA INDEX NAME)



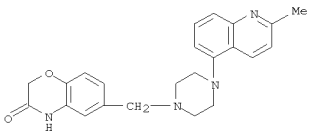
● 2 HCl

RN 698992-61-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-methyl-6-[2-[3-methyl-4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

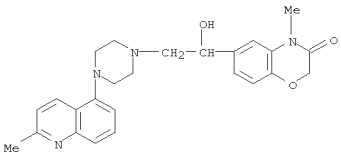


RN 698992-72-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(8-chloro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-4-methyl-, hydrochloride (1:1) (CA INDEX NAME)

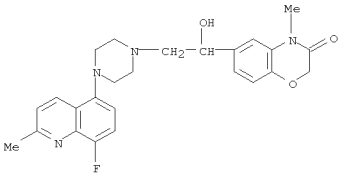
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698992-40-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[1-hydroxy-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-4-methyl- (CA INDEX NAME)

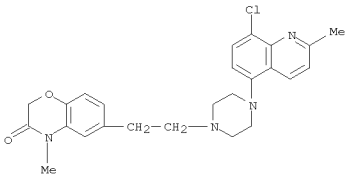


RN 698992-48-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(8-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]-1-hydroxyethyl]-4-methyl- (CA INDEX NAME)



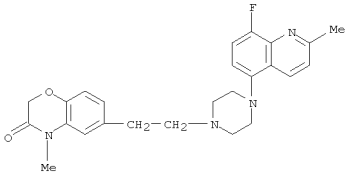
RN 698992-54-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(6-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]-1-hydroxyethyl]-4-methyl- (CA INDEX NAME)

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

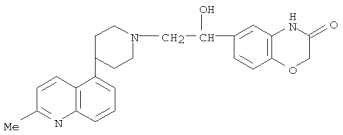
RN 698992-78-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(8-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-4-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

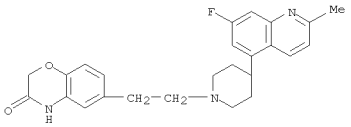
RN 698993-05-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[1-hydroxy-2-[4-(2-methyl-5-quinolinyl)-1-piperidinyl]ethyl]-, hydrochloride (1:2) (CA INDEX NAME)

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



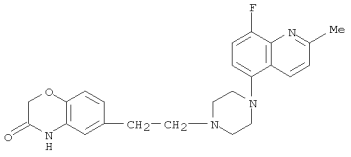
● 2 HCl

RN 698993-12-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(7-fluoro-2-methyl-5-quinolinyl)-1-piperidinyl]ethyl]-, hydrochloride (1:2) (CA INDEX NAME)

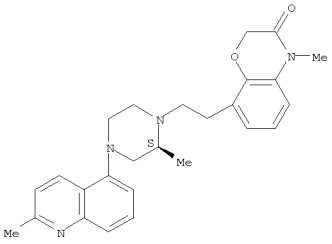


● 2 HCl

RN 698993-22-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(8-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

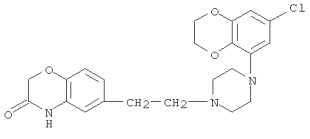


L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

RN 698993-54-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(7-chloro-2,3-dihydro-1,4-benzodioxin-5-yl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

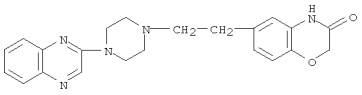


● HCl

RN 698993-57-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(7-fluoro-2,3-dihydro-1,4-benzodioxin-5-yl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

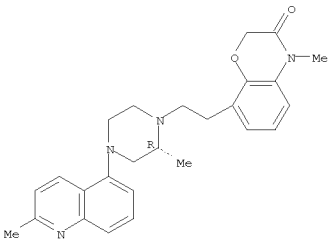
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 698993-28-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2-quinoxalinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)



RN 698993-31-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-methyl-8-[2-[(2R)-2-methyl-4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

Absolute stereochemistry.

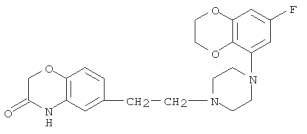


● HCl

RN 698993-36-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-methyl-8-[2-[(2S)-2-methyl-4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)

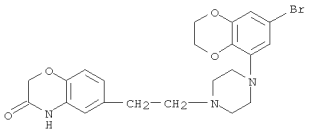
Absolute stereochemistry.

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



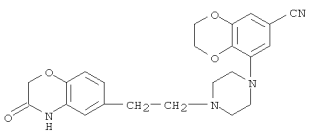
● HCl

RN 698993-60-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(7-bromo-2,3-dihydro-1,4-benzodioxin-5-yl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



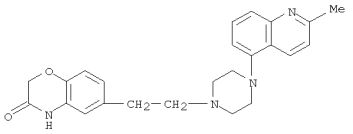
● HCl

RN 698993-63-4 CAPLUS
CN 1,4-Benzodioxin-6-carbonitrile, 8-[4-[2-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)ethyl]-1-piperazinyl]-2,3-dihydro-, hydrochloride (1:1) (CA INDEX NAME)

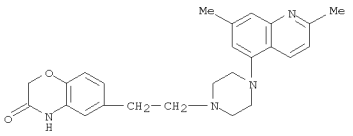


● HCl

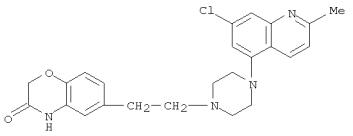
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RN 698993-67-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)



RN 698993-71-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2,7-dimethyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

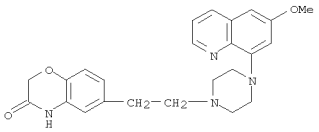


RN 698993-74-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(7-chloro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

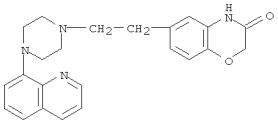


RN 698993-77-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(4-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

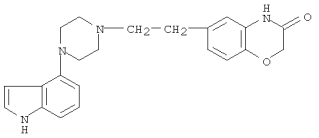
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698993-89-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(8-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

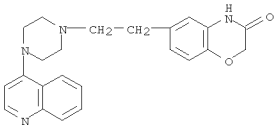


RN 698993-91-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(1H-indol-4-yl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

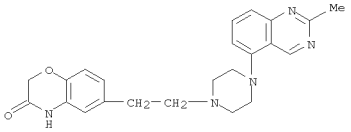


RN 698993-95-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-methyl-6-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

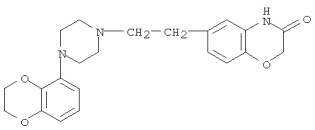
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698993-80-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2-methyl-5-quinazolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

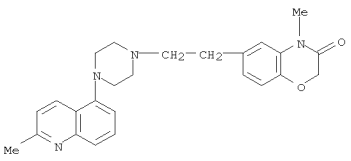


RN 698993-83-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2,3-dihydro-1,4-benzodioxin-5-yl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

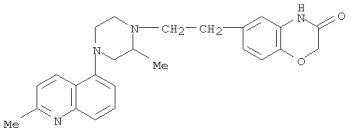


RN 698993-87-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(6-methoxy-8-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

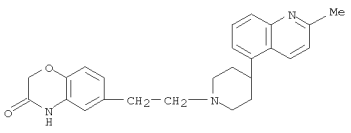
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698993-97-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[2-methyl-4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

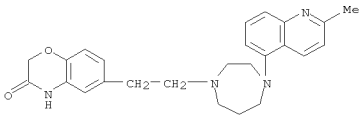


RN 698994-02-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2-methyl-5-quinolinyl)-1-piperidinyl]ethyl]- (CA INDEX NAME)

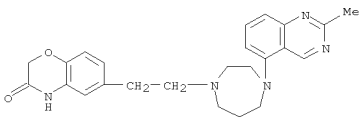


RN 698994-06-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[hexahydro-4-(2-methyl-5-quinolinyl)-1H-1,4-diazepin-1-yl]ethyl]- (CA INDEX NAME)

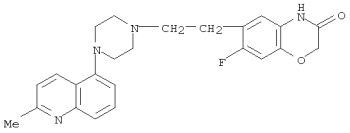
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698994-09-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[hexahydro-4-(2-methyl-5-quinazolinyl)-1H-1,4-diazepin-1-yl]ethyl]- (CA INDEX NAME)



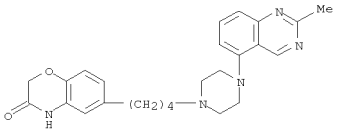
RN 698994-12-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-fluoro-6-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)



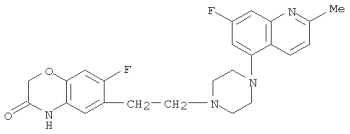
RN 698994-18-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[(1E)-3-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]-1-propen-1-yl]- (CA INDEX NAME)

Double bond geometry as shown.

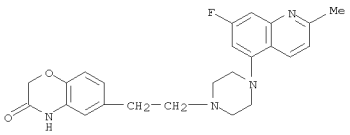
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698994-31-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-fluoro-6-[2-[4-(7-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

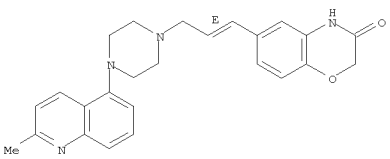


RN 698994-34-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(7-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

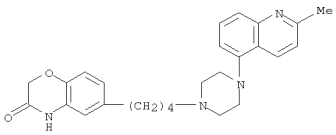


RN 698994-36-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2-methyl-1H-indol-4-yl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

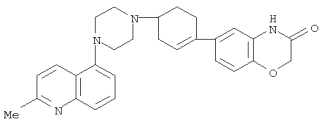
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698994-22-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[4-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

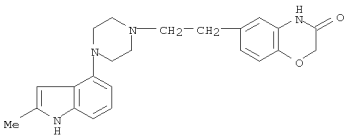


RN 698994-26-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[4-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]-1-cyclohexen-1-yl]- (CA INDEX NAME)

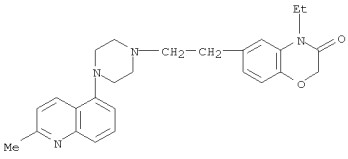


RN 698994-29-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[4-[4-(2-methyl-5-quinazolinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)

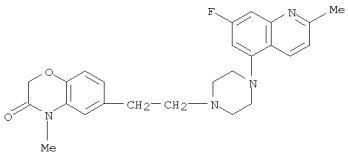
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698994-39-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-ethyl-6-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

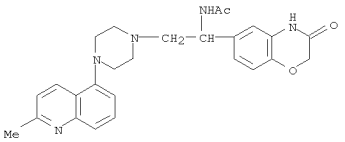


RN 698994-42-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(7-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-4-methyl- (CA INDEX NAME)

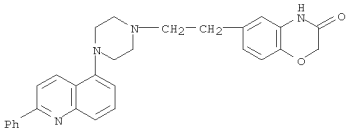


RN 698994-45-5 CAPLUS
CN Acetamide, N-[1-(3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

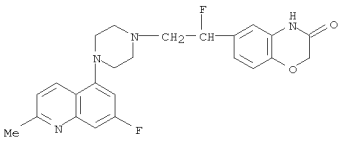
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698994-52-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2-phenyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

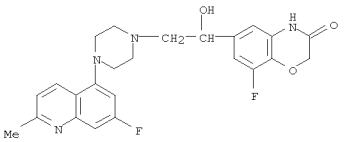


RN 698994-56-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[1-fluoro-2-[4-(7-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

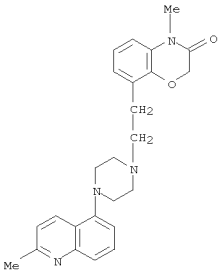


RN 698994-60-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-fluoro-6-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

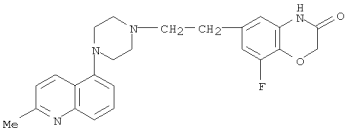


RN 698994-74-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-methyl-8-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

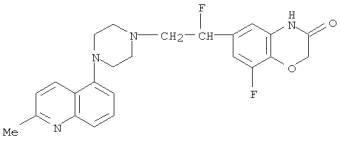


RN 698994-77-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

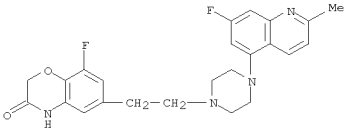
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698994-63-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-fluoro-6-[1-fluoro-2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

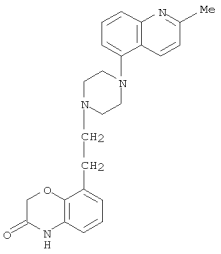


RN 698994-66-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-fluoro-6-[2-[4-(7-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)



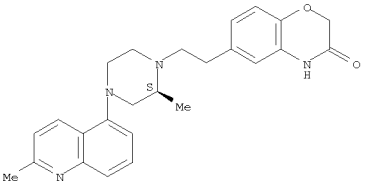
RN 698994-70-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-fluoro-6-[2-[4-(7-fluoro-2-methyl-5-quinolinyl)-1-piperazinyl]-1-hydroxyethyl]- (CA INDEX NAME)

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698994-81-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(2S)-2-methyl-4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

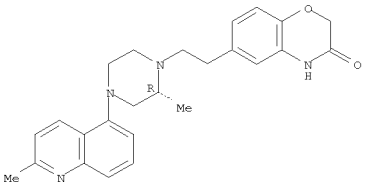
Absolute stereochemistry.



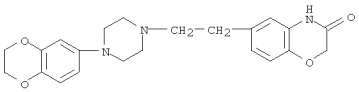
RN 698994-83-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[(2R)-2-methyl-4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

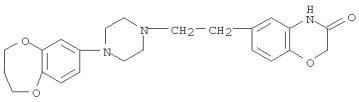
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698994-85-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2,3-dihydro-1,4-benzodioxin-6-yl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

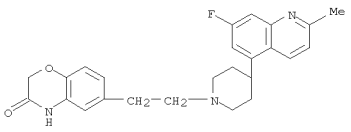


RN 698994-87-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(3,4-dihydro-2H-1,5-benzodioxepin-7-yl)-1-piperazinyl]ethyl]- (CA INDEX NAME)



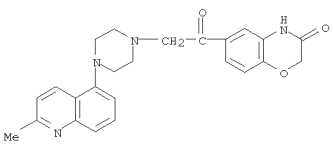
RN 698994-89-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(5-methylthieno[2,3-d]pyrimidin-4-yl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

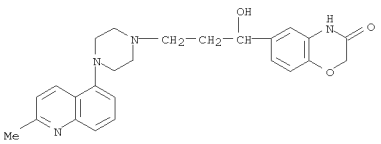


IT 698986-99-1, 6-[2-[4-(2-Methylquinolin-5-yl)piperazin-1-yl]ethanoyl]-4H-benzo[1,4]oxazin-3-one 698988-03-3, 6-[1-Hydroxy-3-[4-(2-methylquinolin-5-yl)piperazin-1-yl]propyl]-4H-benzo[1,4]oxazin-3-one 698988-84-0, 6-[3-[4-(2-Methylquinolin-5-yl)piperazin-1-yl]propanoyl]-4H-benzo[1,4]oxazin-3-one 698991-82-1, 6-[2-[4-(7-Chloro-2-methylquinolin-5-yl)piperazin-1-yl]ethanoyl]-4H-benzo[1,4]oxazin-3-one 698993-39-4, 4-Methyl-8-[2-[(2S)-2-methyl-4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of benzoxazinones as ligands for 5-HT1 receptors and their use
in treatment of CNS and other serotonin-related disorders)

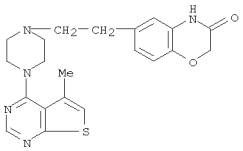
RN 698986-99-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]acetyl]- (CA INDEX NAME)



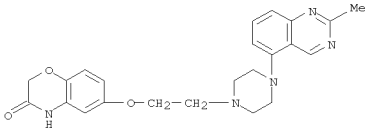
RN 698988-03-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[1-hydroxy-3-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]propyl]- (CA INDEX NAME)



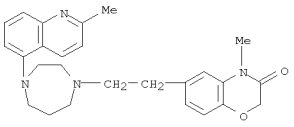
L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 698994-92-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(2-methyl-5-quinazolinyl)-1-piperazinyl]ethoxy]- (CA INDEX NAME)



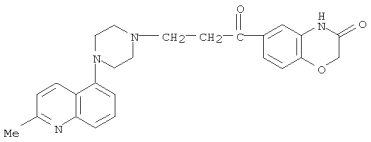
RN 698994-94-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[hexahydro-4-(2-methyl-5-quinolinyl)-1H-1,4-diazepin-1-yl]ethyl]-4-methyl- (CA INDEX NAME)



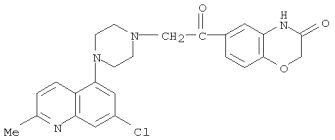
RN 698994-98-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(7-fluoro-2-methyl-5-quinolinyl)-1-piperidinyl]ethyl]- (CA INDEX NAME)

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

RN 698988-84-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[3-[4-(2-methyl-5-quinolinyl)-1-piperazinyl]-1-oxopropyl]- (CA INDEX NAME)



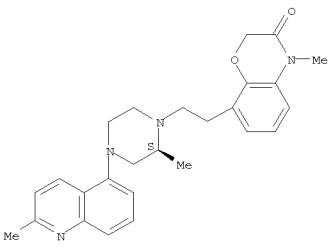
RN 698991-82-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-(7-chloro-2-methyl-5-quinolinyl)-1-piperazinyl]acetyl]- (CA INDEX NAME)



RN 698993-39-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-methyl-8-[2-[(2S)-2-methyl-4-(2-methyl-5-quinolinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 25 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 26 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:182711 CAPLUS
DOCUMENT NUMBER: 140:235729
TITLE: Preparation of piperidine-substituted pyridazones and phthalazones as PDE4 inhibitors
INVENTOR(S): Sterk, Geert Jan; Hatzelmann, Armin; Marx, Degenhard; Kley, Hans-Peter; Menge, Wiro M. P. B.
PATENT ASSIGNEE(S): Altana Pharma A.-G., Germany
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004017974	A1	20040304	WO 2003-EP8724	20030806
W: AE, AL, AU, BA, BR, CA, CN, CO, DZ, EC, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
CA 2494634	A1	20040304	CA 2003-2494634	20030806
AU 2003260376	A1	20040311	AU 2003-260376	20030806
EP 1556049	A1	20050727	EP 2003-792267	20030806
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005538140	T	20051215	JP 2004-530096	20030806
AT 360627	T	20070515	AT 2003-792257	20030806
ES 2286491	T3	20071201	ES 2003-792257	20030806
US 20060094710	A1	20060504	US 2005-523111	20051003

PRIORITY APPLN. INFO.: EP 2002-17977 A 20020810
WO 2003-EP8724 W 20030806

OTHER SOURCE(S): MARPAT 140:235729
GI

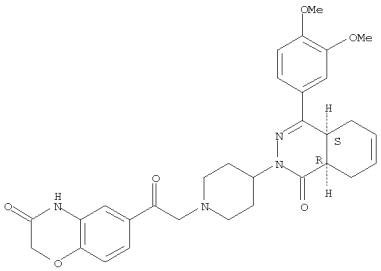
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1-2 = H, alkyl, etc.; R3 = substituted Ph, etc.; R9 = naphthyl, pyrazinyl, pyridazinyl, etc.] are prepared For instance,

(4aS,8aR)-4-(3,4-Dimethoxyphenyl)-2-piperidin-4-yl-4a,5,8,8a-tetrahydro-2H-phthalazin-1-one hydrochloride (preparation given) is reacted with methanesulfonylacetic acid (CH2Cl2, Et3N) to give II. Compds. of the invention have pIC50 ≥ 9 for the PDE4 receptor. I are useful for the treatment of airway disorders.
IT 666850-96-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

L4 ANSWER 26 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperidine-substituted pyridazones and phthalazones as PDE4 inhibitors)
RN 666850-96-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[2-[4-[(4aS,8aR)-4-(3,4-dimethoxyphenyl)-4a,5,8,8a-tetrahydro-1-oxo-2(1H)-phthalazinyl]-1-piperidinyl]acetyl]-(CA
INDEX NAME)

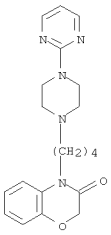
Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

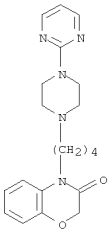
L4 ANSWER 27 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2003:732608 CAPLUS
DOCUMENT NUMBER: 140:41728
TITLE: Electrospray mass spectrometric studies of noncovalent
complexes of buspirone hydrochloride and other serotonin 5-HT1A receptor ligands containing arylpiperazine moieties
AUTHOR(S): Kowalski, Piotr; Suder, Piotr; Kowalska, Teresa; Silberring, Jerzy; Duszynska, Beata; Bojarski, Andrzej
J.
CORPORATE SOURCE: Institute of Organic Chemistry and Technology, Cracow University of Technology, Krakow, 31-155, Pol.
SOURCE: Rapid Communications in Mass Spectrometry (2003), 17(18), 2139-2146
CODEN: RCMSEF; ISSN: 0951-4198
PUBLISHER: John Wiley & Sons Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Noncovalent complexes consisting of two protonated amines and a chloride anion were observed under electrospray ionization mass spectrometry (ESI-MS)
conditions. The observed phenomenon was investigated for the hydrochlorides
of buspirone, a well-known anxiolytic drug, and 23 other arylpiperazine derivs. that had been developed as serotonin 5-HT1A receptor ligands. Due
to the major role of ionic interactions in a vacuum, it was proposed that the detected complexes were formed by NH+···Cl-···NH+ bridges. It was found that complexation
depended on structural features of the analyzed compds. For derivs. with a shorter linker (three methylene groups) containing a terminal cyclic amide
fragment, complex ions were not observed It was postulated that, in the latter case, steric hindrance due to a terminal group could disturb ionic bridge formation. Since both the observed complexation and ligand-binding
processes are driven by noncovalent forces, and a qual. relationship between them was found (compds. with a 4-carbon chain always display higher affinity for 5-HT1A receptors than do their 3-carbon analogs), such
ESI-MS studies may yield valuable information on ligand-receptor interactions.
IT 637011-88-2P
RL: CPS (Chemical process); PEP (Physical, engineering or chemical process); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(electrospray mass spectrometric studies of noncovalent complexes of buspirone hydrochloride and other serotonin receptor ligands containing
arylpiperazine moieties)
RN 637011-88-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[4-[4-(2-pyrimidinyl)-1-piperazinyl]butyl]-, hydrochloride (1:1) (CA INDEX NAME)

L4 ANSWER 27 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



● HCl

IT 342786-31-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(electrospray mass spectrometric studies of noncovalent complexes of buspirone hydrochloride and other serotonin receptor ligands containing
arylpiperazine moieties)
RN 342786-31-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[4-[4-(2-pyrimidinyl)-1-piperazinyl]butyl]-
(CA INDEX NAME)



REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS

L4 ANSWER 27 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

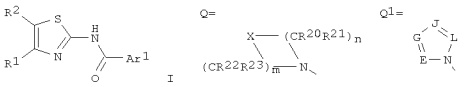
L4 ANSWER 28 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2003:591177 CAPLUS
DOCUMENT NUMBER: 139:149652
TITLE: Preparation of 2-acylaminothiazole derivatives or salts thereof as c-Mpl receptor ligands
INVENTOR(S): Sugasawa, Keizo; Watanuki, Susumu; Koga, Yuji; Nagata,
Hiroshi; Obitsu, Kazuyoshi; Wakayama, Ryutaro; Hirayama, Fukushi; Suzuki, Ken-ichi
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003062233	A1	20030731	WO 2003-JP270	20030115
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2472711	A1	20030731	CA 2003-2472711	20030115
EP 1466912	A1	20041013	EP 2003-700571	20030115
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1639157	A	20050713	CN 2003-804457	20030115
JP 4120586	B2	20080716	JP 2003-562111	20030115
IN 2004KN00942	A	20060217	IN 2004-KN942	20040705
US 20050153977	A1	20050714	US 2004-500964	20040708
JP 2008111001	A	20080515	JP 2008-23950	20080204

PRIORITY APPLN. INFO.: JP 2002-10413 A 20020118
JP 2002-10447 A 20020118
JP 2003-562111 A3 20030115
WO 2003-JP270 W 20030115

OTHER SOURCE(S): MARPAT 139:149652
GI

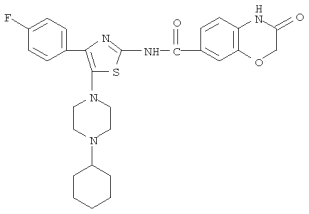


L4 ANSWER 28 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

AB 2-Acylaminothiazole derivs. or pharmaceutically acceptable salts thereof [I; Ar1 = each (un)substituted aryl, monocyclic aromatic heterocyclyl, or bicyclic condensed heterocyclyl; R1 = each (un)substituted aryl or monocyclic aromatic heterocyclyl; R2 = Q, Q1, R24R25N; wherein n, m = an integer of 1-3; when n or m is an integer of ≥2, CR20R21 and CR22R23 may represent a different group; X = O, S, NR26, C(R27)R28; E, G, J, L = N, CR29; R20-R23, R26-R29 = H, OH, lower alkoxy, each (un)substituted lower alkyl, cycloalkyl, aryl, arylalkyl, aromatic heterocyclyl, aromatic heterocyclylalkyl, nonarom. heterocyclyl, lower alkenyl, lower alkylidene, NH2, or CONH2, CO2H, lower alkoxycarbonyl, lower alkenyloxycarbonyl, aryl-lower alkoxycarbonyl, aromatic heterocyclyl-lower alkoxycarbonyl, lower alkylcarbonylamino, oxo; R24, R25
= H, each (un)substituted lower alkyl, cycloalkyl, or nonarom. heterocyclyl] are prepared These compds. have an excellent effect of proliferating human c-Mpl-Ba/F3 cells and an activity of increasing platelets (thrombocytosis) based on the effect of promoting the formation of megakaryocytic colonies and are useful in treating thrombopenia. Thus,
2.1 mL Et isonipecotinate was added to a solution of 750 mg 5,6-dichloro-N-[4-(4-chlorothiophen-2-yl)-5-(4-cyclohexylpiperazin-1-yl)thiazol-2-yl]nicotinamide in 10 mL THF, heated to 50°, and stirred for 5 h to give, after workup and silica gel chromatog., 881 mg 1-[3-chloro-5-[[4-(4-chlorothiophen-2-yl)-5-(4-cyclohexylpiperazin-1-yl)thiazol-2-yl]carbamoyl]-2-pyridyl]piperidine-4-carboxylic acid Et ester which (30 mg) was dissolved in 1 mL MeOH, treated with 0.12 mL 1 M aqueous NaOH solution at room temperature, stirred for 24 h, distilled under reduced pressure, dissolved in EtOAc, treated with 0.2 mL 1 M aqueous HCl solution, stirred, and distilled under reduced pressure, followed by washing the residue with Et2O to give 20 mg 1-[3-chloro-5-[[4-(4-chlorothiophen-2-yl)-5-(4-cyclohexylpiperazin-1-yl)thiazol-2-yl]carbamoyl]-2-pyridyl]piperidine-4-carboxylic acid hydrochloride (II). II and recombinant human thrombopoietin (rhTPO) at 2.4 ad 0.012 nM, resp., showed 30% of the maximum cell proliferating effect of each compound tested on human c-Mpl-Ba/F3 cell.

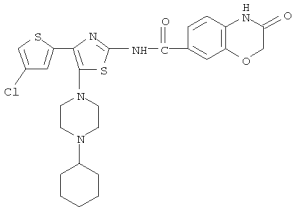
IT 570404-94-3P 570405-11-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 2-acylaminothiazole derivs. or salts thereof as c-Mpl receptor ligands for proliferating human c-Mpl-Ba/F3 cells and increasing platelets via promoting the formation of megakaryocytic colony)
RN 570404-94-3 CAPLUS
CN 2H-1,4-Benzoxazine-7-carboxamide, N-[5-(4-cyclohexyl-1-piperazinyl)-4-(4-fluorophenyl)-2-thiazolyl]-3,4-dihydro-3-oxo-, hydrochloride (1:?) (CA INDEX NAME)

L4 ANSWER 28 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



●x HCl

RN 570405-11-7 CAPLUS
CN 2H-1,4-Benzoxazine-7-carboxamide, N-[4-(4-chloro-2-thienyl)-5-(4-cyclohexyl-1-piperazinyl)-2-thiazolyl]-3,4-dihydro-3-oxo-, hydrochloride (1:?) (CA INDEX NAME)



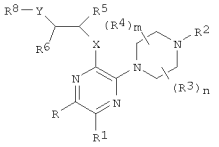
●x HCl

REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

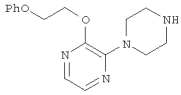
L4 ANSWER 29 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:790220 CAPLUS
DOCUMENT NUMBER: 137:294982
TITLE: Preparation of piperazinylpyrazinyl aryloxyalkyl ethers as 5-HT2C receptor agonists
INVENTOR(S): Nilsson, Bjorn; Tejbrant, Jan; Pelcman, Benjamin; Ringberg, Erik; Thor, Markus; Nilsson, Jonas; Jonsson, Mattias
PATENT ASSIGNEE(S): Biovitrum AB, Swed.
SOURCE: U.S., 45 pp., Cont.-in-part of U.S. Ser. No. 573,348, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6465467	B1	20021015	US 2000-589282	20000608
ZA 2001009571	A	20021120	ZA 2001-9571	20011120
US 20030092694	A1	20030515	US 2002-269670	20021011
US 6759401	B2	20040706		
AU 2004202227	A1	20040617	AU 2004-202227	20040524
US 20040242554	A1	20041202	US 2004-873852	20040622
US 7071180	B2	20060704		
PRIORITY APPLN. INFO.:			SE 1999-1884 A	19990521
			US 1999-137527P P	19990603
			US 2000-573348 B2	20000519
			AU 2000-49690 A3	20000519
			US 2000-589282 A3	20000608
			US 2002-269670 A1	20021011

OTHER SOURCE(S): MARPAT 137:294982
GI



I



II

AB The title compds. (I) [wherein X and Y = independently O, S, or NR7; R and
R1 = independently H, alkyl, or halo; or C2RR1 = optionally halo

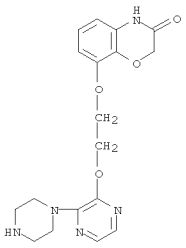
L4 ANSWER 28 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

L4 ANSWER 29 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
substituted benzene or thiophene; R2 = H, OH, or alkyl; R3, R4, and R5 = independently H or alkyl; R6 = H or alkyl; or CYR6R8 for a 5-6 membered heterocycle; R7 = H or alkyl, preferably Me or Et; R8 = (un)substituted (hetero)aryl; m and n = independently 1 or 2; or pharmaceutically acceptable salts, hydrates, geometric isomers, tautomers, optical isomers, N-oxides, and prodrugs thereof] were prepd. and tested as 5-HT2C receptor agonists. For instance, 2,3-dichloropyrazine and 2-phenoxyethanol were treated with t-BuONa in dioxane to give 2-chloro-3-(2-phenoxyethoxy)pyrazine (62%). The halopyrazine, piperazine, and K2CO3 in MeCN were stirred and heated to afford the desired 2-(phenoxy)ethyl 3-(1-piperazinyl)-2-pyrazinyl ether (II) in 65% yield, which was then converted to the maleate salt. In competition expts., I showed affinity for 5-HT2C receptor protein with Ki values typically ranging from 1 nM to 1500 nM and specific values ranging from 5 nM to 377 nM for twelve compds.
I exhibited agonist efficacy at the 5-HT2C receptor by mobilizing intracellular Ca in transfected HEK293 cells with max. responses in the range of 20-100% relative to the max. response of 5-HT (serotonin) at a concn. of 1 μM. Acute toxicity studies in mice following oral administration of I showed that mortality typically occurred at doses between 200 mg/kg to 450 mg/kg body wt. I are useful for the treatment of serotonin-related central nervous system disorders, such as eating disorders, memory disorders, schizophrenia, mood disorders, anxiety disorders, pain, sexual dysfunctions, and urinary disorders (no data).
IT 313655-11-7P, 8-[2-[[3-(1-Piperazinyl)-2-pyrazinyl]oxy]ethoxy]-2H-1,4-benzoxazin-3(4H)-one Maleate
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclylpyrazinyl aryloxyalkyl ether 5-HT2C receptor agonists from aryloxyalkanols, halopyrazines, and heterocycles)
RN 313655-11-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[3-(1-piperazinyl)pyrazinyl]oxy]ethoxy]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 313655-10-6
CMF C18 H21 N5 O4

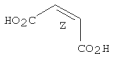
L4 ANSWER 29 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



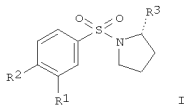
REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 30 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:615604 CAPLUS
DOCUMENT NUMBER: 137:169522
TITLE: Preparation of N-ind(az)olylsulfonyl-2-piperidinoethylpyrrolidines and analogs as 5-HT7 receptor agonists
INVENTOR(S): Forbes, Ian Thomson; Gribble, Andrew Derrick
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 44 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002062788	A1	20020815	WO 2002-GB447	20020201
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002228200	A1	20020819	AU 2002-228200	20020201
EP 1355902	A1	20031029	EP 2002-710148	20020201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004521902	T	20040722	JP 2002-563141	20020201
US 20040267010	A1	20041230	US 2004-466922	20040727

PRIORITY APPLN. INFO.:		
GB 2001-2714	A	20010202
WO 2002-GB447	W	20020201

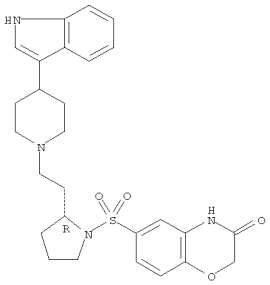
OTHER SOURCE(S): MARPAT 137:169522
GI



I

L4 ANSWER 30 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
AB Title compds. [e.g., I; R1R2 = NHCH:CH, NHN:CH, NHCOCH2O; R3 = CH2CH2ZR; R = 2- or 3-indolyl, 2-oxo-2,3-dihydrobenzimidazol- or -benzoxazolyl, Z1C6H4R4-4, etc.; R4 = F, Cl, iodo; Z = (2,6-ethano) piperidine-1,4-diyl; Z1 = O or CO] were prepared Thus, I (R = CH2CH2R5, R1R2 = NHCH:CH)(II; R5 = Br)(preparation given) was aminated by 3-(4-piperidinyl)-1H-indole to give II [R5 = 4-(3-indolyl)piperidino]. Data for biol. activity of I were given.
IT 446020-42-4P 446020-43-5P 446020-45-7P
446020-46-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-ind(az)olylsulfonyl-2-piperidinoethylpyrrolidines and analogs as 5-HT7 receptor agonists)
RN 446020-42-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[(2R)-2-[2-[4-(1H-indol-3-yl)-1-piperidinyl]ethyl]-1-pyrrolidinyl]sulfonyl]- (CA INDEX NAME)

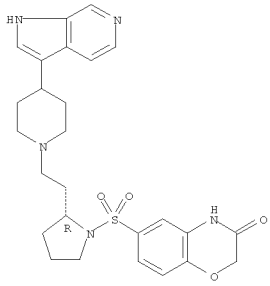
Absolute stereochemistry.



RN 446020-43-5 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[(2R)-2-[2-[4-(1H-pyrrolo[2,3-c]pyridin-3-yl)-1-piperidinyl]ethyl]-1-pyrrolidinyl]sulfonyl]- (CA INDEX NAME)

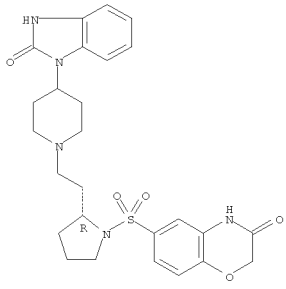
Absolute stereochemistry.

L4 ANSWER 30 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 446020-45-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[(2R)-2-[2-[4-(2,3-dihydro-2-oxo-1H-benzimidazol-1-yl)-1-piperidinyl]ethyl]-1-pyrrolidinyl]sulfonyl]- (CA INDEX NAME)

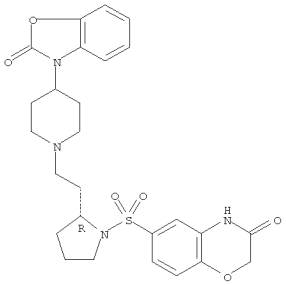
Absolute stereochemistry.



RN 446020-46-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[(2R)-2-[2-[4-(2-oxo-3(2H)-benzoxazolyl)-1-piperidinyl]ethyl]-1-pyrrolidinyl]sulfonyl]- (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 30 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 31 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2002:330207 CAPLUS
DOCUMENT NUMBER: 136:350581
TITLE: Combinations of D4 dopamine receptor antagonists with acetylcholinesterase inhibitors for the treatment of dementia or cognitive deficits associated with Alzheimer's Disease or Parkinson's Disease
INVENTOR(S): Fliri, Anton Franz Josef; Sanner, Mark Allen; Zorn, Stevin Howard
PATENT ASSIGNEE(S): Pfizer Products Inc., USA
SOURCE: Eur. Pat. Appl., 36 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1201268	A2	20020502	EP 2001-308953	20011022
EP 1201268	A3	20040102		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 20020052373	A1	20020502	US 2001-931551	20010816
CA 2359877	A1	20020426	CA 2001-2359877	20011024
MX 2001PA10872	A	20020506	MX 2001-PA10872	20011025
BR 2001004830	A	20020528	BR 2001-4830	20011026
JP 2003063994	A	20030305	JP 2001-328863	20011026
PRIORITY APPLN. INFO.:			US 2000-243543P P	20001026

OTHER SOURCE(S): MARPAT 136:350581

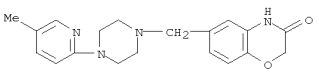
AB The invention discloses a method of treating dementia or cognitive deficits associated with Alzheimer's disease or Parkinson's disease in a mammal, including a human, by administering to the mammal a D4 dopamine receptor antagonist in combination with an acetylcholinesterase inhibitor.

Also disclosed are pharmaceutical compns. containing a pharmaceutically acceptable carrier, a D4 dopamine receptor antagonist and an acetylcholinesterase inhibitor.

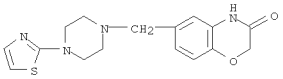
IT 200194-60-1 200194-66-7 200194-67-8 200194-68-9 200194-94-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(D4 dopamine receptor antagonist-acetylcholinesterase inhibitor combination for treatment of dementia or cognitive deficit associated with Alzheimer's or Parkinson's disease)

RN 200194-60-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[4-(5-methyl-2-pyridinyl)-1-piperazinyl]methyl]- (CA INDEX NAME)

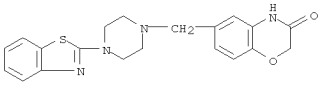
L4 ANSWER 31 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



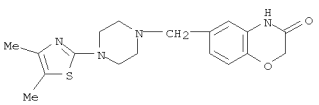
RN 200194-66-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[4-(2-thiazolyl)-1-piperazinyl]methyl]- (CA INDEX NAME)



RN 200194-67-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[4-(2-benzothiazolyl)-1-piperazinyl]methyl]- (CA INDEX NAME)

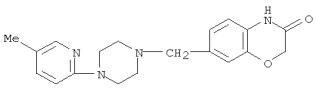


RN 200194-68-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[4-(4,5-dimethyl-2-thiazolyl)-1-piperazinyl]methyl]- (CA INDEX NAME)



RN 200194-94-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[[4-(5-methyl-2-pyridinyl)-1-piperazinyl]methyl]- (CA INDEX NAME)

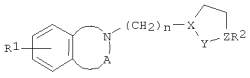
L4 ANSWER 31 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



L4 ANSWER 32 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:31419 CAPLUS
DOCUMENT NUMBER: 136:85830
TITLE: Preparation of bicyclic lactams and sulfonamides as 5-HT1A agonists
INVENTOR(S): Steiner, Gerd; Schellhaas, Kurt; Szabo, Laszlo; Behl, Berthold; Garcia-Ladona, Francisco Javier; Unger, Liliane
PATENT ASSIGNEE(S): Knoll G.m.b.H., Germany
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002002529	A1	20020110	WO 2001-EP7571	20010702
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
DE 10031391	A1	20020207	DE 2000-10031391	20000703
CA 2414726	A1	20030102	CA 2001-2414726	20010702
EP 1296954	A1	20030402	EP 2001-954000	20010702
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004502676	T	20040129	JP 2002-507786	20010702
MX 2003PA00057	A	20040402	MX 2003-PA57	20030107
US 20040138203	A1	20040715	US 2003-312813	20031215
PRIORITY APPLN. INFO.:			DE 2000-10031391 A	20000703
			WO 2001-EP7571 W	20010702

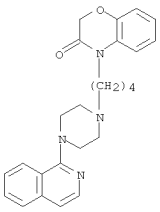
OTHER SOURCE(S): MARPAT 136:85830
GI



I

AB Title compds. [I; the ring including NA can be a 5-7 membered ring containing
O, S, or double bond; A = CO, SO2; X = N; Y = CH2, CH2CH2, (CH2)3, CH2CH;

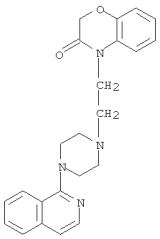
L4 ANSWER 32 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



●2 HCl

REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 32 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
Z = N, C, CH; n = 2-4; R1 = H, halo, alkyl, CF3, OH, alkoxy, amino; R2 = (substituted) (anellated) Ph, pyridyl, pyrazinyl] and salts thereof, were prepd. Thus, isoquinoline in DMF was stirred with NaH for 30 min. followed by addn. of 1-[4-(2-chloroethyl)-1-piperazinyl]isoquinoline (prepn. given) and stirring for 2 h at 80° to give 82% 2-[2-(4-(1-isoquinolinyl)-1-piperazinyl)ethyl]-1(2H)-isoquinoline.2HCl.2H2O. Tested I showed affinity for the 5-HT1A receptor with Ki = 0.1-5.4 nM in HEK 293 cells.
IT 387399-30-6P 387399-43-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic lactams and sulfonamides as 5-HT1A agonists)
RN 387399-30-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[2-[4-(1-isoquinolinyl)-1-piperazinyl]ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

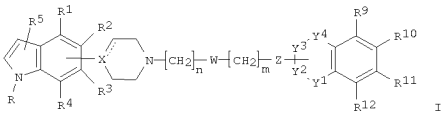
RN 387399-43-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[4-[4-(1-isoquinolinyl)-1-piperazinyl]butyl]-, hydrochloride (1:2) (CA INDEX NAME)

L4 ANSWER 33 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2002:10464 CAPLUS
DOCUMENT NUMBER: 136:85825
TITLE: Preparation of piperazinyl(or piperidinyl)-substituted
indole derivatives for the treatment of CNS disorders
INVENTOR(S): Bang-Andersen, Benny; Felding, Jakob; Kehler, Jan
PATENT ASSIGNEE(S): H. Lundbeck A/S, Den.
SOURCE: PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

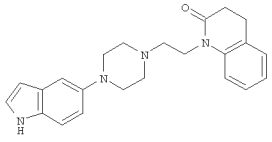
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002000645	A1	20020103	WO 2001-DK407	20010613
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2414115	A1	20020103	CA 2001-2414115	20010613
EP 1299380	A1	20030409	EP 2001-940241	20010613
EP 1299380	B1	20040609		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001012286	A	20030513	BR 2001-12286	20010613
HU 2003000786	A2	20030728	HU 2003-786	20010613
JP 2004501912	T	20040122	JP 2002-505393	20010613
AT 268765	T	20040615	AT 2001-940241	20010613
ZA 2002009886	A	20031205	ZA 2002-9886	20021205
NO 2002006029	A	20021216	NO 2002-6029	20021216
MX 2002PA12506	A	20030425	MX 2002-PA12506	20021216
US 20030232822	A1	20031218	US 2002-327670	20021217
BG 107471	A	20031031	BG 2003-107471	20030117
IN 2003CN00105	A	20050408	IN 2003-CN105	20030117
PRIORITY APPLN. INFO.:			DK 2000-1018 A	20000629
			WO 2001-DK407 W	20010613

OTHER SOURCE(S): MARPAT 136:85825
GI

L4 ANSWER 33 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



I



II

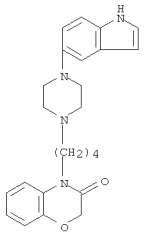
AB The title compds. [I; Y1 = N, which is bound to Z, Z and Y2 = CH2, CO, CS,
SO and SO2, Y3 = O, S, CHR7, Y4 = O, S, CHR8; or Y2 = N, which is bound to
Z, Z and Y1 = CH2, CO, CS, SO and SO2, Y3 = CHR7, Y4 = O, S, CHR8; or Y2 =
N, which is bound to Z, Z and Y3 = CH2, CO, CS, SO and SO2, Y1 = CHR6, Y4
= O, S, CHR8; W = a bond, O, S, CO, CS, SO, SO2; X = C, CH, N; n = 0-5; m
= 0-5; n + m = 1-6; one of R1-R4 forms a bond to X and the others of R1-R4
and R5 and R9-R12 = H, halo, CN, etc.; R6-R8 = H, halo; R = H, alkyl,
acyl, etc.] and their pharmaceutically acceptable salts which are dopamine
and serotonin receptor ligands, and therefore useful in the treatment of
certain psychiatric and neurol. disorders, i. e. schizophrenia and other
psychoses, anxiety disorders, depression, migraine, cognitive disorders,
ADHD and sleep improvement, were prepared and formulated. Thus, reacting
5-(piperazin-1-yl)-1H-indole with 1-(2-chloroethyl)-3,4-dihydroquinolin-
2(1H)-one (prepns. given) in the presence of LiBr, Et3N and DMF in THF and
butanone afforded II.oxalate which showed 90% inhibition of the binding of
[3H]YM-09151-2 to human dopamine D4,2 receptors at 50 nM, and IC50 of 29
nM against 5-HT2A binding.

IT 385815-23-6P 385815-34-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
(Uses)
(preparation of piperazinyl(or piperidinyl)-substituted indole derivs. for

L4 ANSWER 33 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

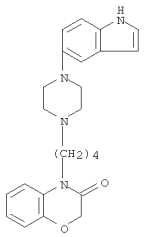
L4 ANSWER 33 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
the treatment of CNS disorders)

RN 385815-23-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[4-[4-(1H-indol-5-yl)-1-piperazinyl]butyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 385815-34-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[4-[4-(1H-indol-5-yl)-1-piperazinyl]butyl]- (CA INDEX NAME)



L4 ANSWER 34 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:923789 CAPLUS
DOCUMENT NUMBER: 136:37528
TITLE: Preparation of indole derivatives for the treatment of CNS disorders
INVENTOR(S): Bang-Andersen, Benny; Felding, Jakob; Kehler, Jan; Andersen, Kim
PATENT ASSIGNEE(S): H. Lundbeck A/S, Den.
SOURCE: PCT Int. Appl., 59 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001096328	A1	20011220	WO 2001-DK406	20010613
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2411412	A1	20011220	CA 2001-2411412	20010613
EP 1294710	A1	20030326	EP 2001-940240	20010613
EP 1294710	B1	20050608		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001011764	A	20030708	BR 2001-11764	20010613
HU 2003001735	A2	20030828	HU 2003-1735	20010613
JP 2004503550	T	20040205	JP 2002-510470	20010613
NZ 523076	A	20040924	NZ 2001-523076	20010613
EP 1468996	A1	20041020	EP 2004-12325	20010613
EP 1468996	B1	20070926		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
AT 297391	T	20050615	AT 2001-940240	20010613
PT 1294710	T	20051031	PT 2001-940240	20010613
ES 2243508	T3	20051201	ES 2001-940240	20010613
AU 2001273881	B2	20051222	AU 2001-273881	20010613
AT 374197	T	20071015	AT 2004-12325	20010613
ES 2290587	T3	20080216	ES 2004-12325	20010613
US 20030191133	A1	20031009	US 2002-315927	20021209
US 6890916	B2	20050510		
ZA 2002009958	A	20040623	ZA 2002-9958	20021209
NO 2002006005	A	20021213	NO 2002-6005	20021213
NO 323236	B1	20070205		
IN 2003CN00024	A	20050408	IN 2003-CN24	20030106
BG 107449	A	20030930	BG 2003-107449	20030108
US 20050176729	A1	20050811	US 2005-73497	20050303
US 7276508	B2	20071002		
IN 2006CN03849	A	20070615	IN 2006-CN3849	20061018
PRIORITY APPLN. INFO.:			DK 2000-919 A	20000614

L4 ANSWER 34 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

US 2000-212445P	P	20000616
EP 2001-940240	A3	20010613
WO 2001-DK406	W	20010613
US 2002-315927	A3	20021209
IN 2003-CN24	A3	20030106

OTHER SOURCE(S): MARPAT 136:37528
GI

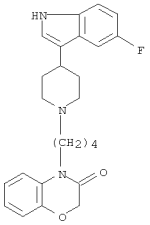
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; one of Y1, Y2 = N, which is bound to Y4, and the other Y1 and Y2 = CO, CS, SO, etc; Y4 = CH2, CO, CS, etc.; Y3 = ZCH2, CH2Z, CH2CH2; Z = O, S; W = a bond, O, S, etc.; n = 0-5; m = 0-5; m + n = 1-10; X = C, CH, N; R1-R9 = H, halo, CN, etc.; R10 = H, alkyl, aryl, etc.] which are dopamine and serotonin receptor ligands, and are useful in the treatment of certain psychiatric and neurol. disorders, i.e. schizophrenia, other psychoses, anxiety disorders, depression, migraine, cognitive disorders, ADHD and sleep improvement, were prepared and formulated. Thus, reacting 5-fluoro-3-(piperidin-4-yl)-1H-indole with 1-(2-chloroethyl)-3,4-dihydroquinolin-2-(1H)-one in the presence of Et3N in DMF and butanone afforded II which showed 92% inhibition of the binding of [3H]YM-09151-2 to human dopamine D4 receptors at 50 nM.

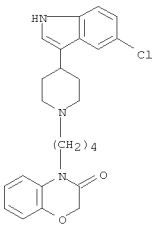
IT 380612-32-8P 380612-33-9P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of indole derivs. for the treatment of CNS disorders)

RN 380612-32-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[4-[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]butyl]- (CA INDEX NAME)

L4 ANSWER 34 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



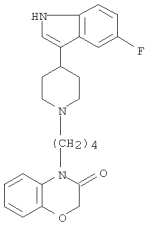
RN 380612-33-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[4-[4-(5-chloro-1H-indol-3-yl)-1-piperidinyl]butyl]- (CA INDEX NAME)



IT 380611-60-9P 380611-61-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of indole derivs. for the treatment of CNS disorders)

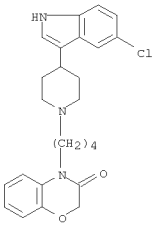
RN 380611-60-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[4-[4-(5-fluoro-1H-indol-3-yl)-1-piperidinyl]butyl]-, hydrochloride (1:?) (CA INDEX NAME)

L4 ANSWER 34 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



●x HCl

RN 380611-61-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[4-[4-(5-chloro-1H-indol-3-yl)-1-piperidinyl]butyl]-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 35 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2001:762989 CAPLUS
DOCUMENT NUMBER: 135:318419
TITLE: Synthesis of substituted bipiperidines and their use as H1 antagonists
INVENTOR(S): Lawrence, Louise; Rigby, Aaron; Sanganee, Hitesh; Springthorpe, Brian
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 160 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

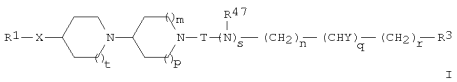
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001077101	A1	20011018	WO 2001-SE751	20010405
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2403012	A1	20011018	CA 2001-2403012	20010405
EP 1274701	A1	20030115	EP 2001-920053	20010405
EP 1274701	B1	20050629		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001009922	A	20030218	BR 2001-9922	20010405
CN 1433411	A	20030730	CN 2001-810683	20010405
JP 2003530393	T	20031014	JP 2001-575574	20010405
NZ 521543	A	20041029	NZ 2001-521543	20010405
EP 1493743	A1	20050105	EP 2004-20599	20010405
EP 1493743	B1	20080903		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, CY, TR				
AT 298748	T	20050715	AT 2001-920053	20010405
CN 1660839	A	20050831	CN 2004-10102245	20010405
AU 2001246997	B2	20070329	AU 2001-246997	20010405
US 20020077337	A1	20020620	US 2001-827488	20010406
US 6525070	B2	20030225		
ZA 2002007700	A	20040102	ZA 2002-7700	20020925
NO 2002004774	A	20021129	NO 2002-4774	20021003
MX 2002PA09885	A	20030327	MX 2002-PA9885	20021007
US 20040006080	A1	20040108	US 2003-341027	20030113
US 6903115	B2	20050607		
US 20040014783	A1	20040122	US 2003-436582	20030513
US 7238811	B2	20070703		
HK 1051193	A1	20051028	HK 2003-103424	20030514
US 20050171092	A1	20050804	US 2005-76773	20050310
US 7179922	B2	20070220		
US 20070179297	A1	20070802	US 2007-732411	20070403
PRIORITY APPLN. INFO.:			GB 2000-8626	A 20000408
			GB 2000-19111	A 20000803

L4 ANSWER 35 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

	SE 2000-3664	A 20001011
	CN 2001-810683	A3 20010405
	EP 2001-920053	A3 20010405
	WO 2001-SE751	W 20010405
	US 2001-827488	A3 20010406
	US 2003-341027	A1 20030113
	US 2003-436582	A3 20030513

OTHER SOURCE(S): MARPAT 135:318419

GI



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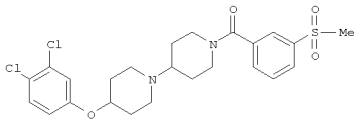


II

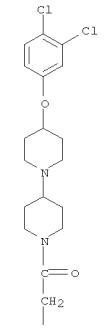
AB Title compds. I [q, s, t = 0 - 1; n, r = 0 - 5; m, p = 0 - 2; X = CH, C(O), O, S, S(O), S(O), N-; provided that when m and p are both 1 then X is not CH; Y = NHR2, OH; T = C(O), C(S), S(O), CH2; R1 = H, alkyl, aryl, heterocyclyl; R2, R47 = H, alkyl, aryl-alkyl, CO-alkyl; R3 = alkyl, alkenyl, cycloalkyl, cycloalkenyl, aryl, heterocyclyl, thioaryl, thioheterocyclyl] were prepared Examples include: data for over 600 compds., 4 solid oral dosage and 1 parenteral (general) formulations, a bioassay for Ca2+ flux, human eosinophil chemotaxis and H1 antagonism. E.g., 4-(3,4-dichlorophenoxy)piperidine was alkylated with 1-(tert-butoxycarbonyl)-4-piperidone (1,2-dichloroethane, NaBH(OAc)3, HOAc, 18 h, room temperature) to give an intermediate [1,4']bipiperidine. This intermediate was deprotected (DCM, TFA, 4 h, room temperature) and the resulting bipiperidine condensed with 3-methanesulfonylbenzoic acid (THF, PYBROP, (i-Pr)2NEt, 18 h, room temp.) to give example compd. II isolated as the acetate salt. I are used in the treatment of a chemokine (such as CCR3) or H1 mediated disease state.

IT 367499-24-9P 367500-44-5P 367500-45-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; synthesis of substituted bipiperidines and use as H1 antagonists)

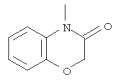
RN 367499-24-9 CAPLUS

CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[2-[4-(3,4-dichlorophenoxy)[1,4'-bipiperidin]-1'-yl]-2-oxoethyl]- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

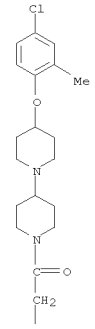


RN 367500-44-5 CAPLUS

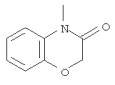
L4 ANSWER 35 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[2-[4-(4-chloro-2-methylphenoxy)[1,4'-bipiperidin]-1'-yl]-2-oxoethyl]- (CA INDEX NAME)

PAGE 1-A



PAGE 2-A

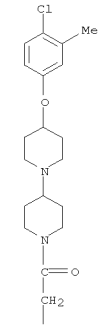


RN 367500-45-6 CAPLUS

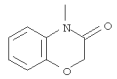
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[2-[4-(4-chloro-3-methylphenoxy)[1,4'-bipiperidin]-1'-yl]-2-oxoethyl]- (CA INDEX NAME)

L4 ANSWER 35 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

PAGE 1-A

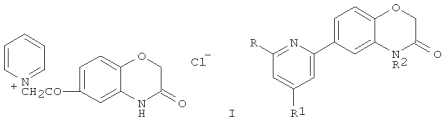


PAGE 2-A



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

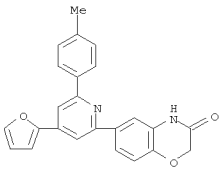
L4 ANSWER 36 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:547143 CAPLUS
DOCUMENT NUMBER: 135:303830
TITLE: Studies on the synthesis of 6-(substituted pyridyl)-2H-[1,4]benzoxazin-3(4H)-one derivatives
AUTHOR(S): Krishnan, V. S. H.; Chowdary, K. S.; Dubey, P. K.; Naidu, A.; Vijaya, S.
CORPORATE SOURCE: Dr Krishnan's Laboratories, Hyderabad, 500 072, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (2001), 40B(7), 603-607
CODEN: IJSBDB; ISSN: 0376-4699
PUBLISHER: National Institute of Science Communication
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:303830
GI



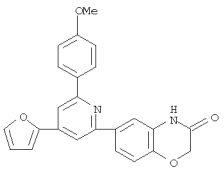
I II

AB 6-(Chloroacetyl)-2H-[1,4]benzoxazin-3(4H)-one is heated with pyridine to obtain pyridinium salt I. On reaction with chalcones in the presence of ammonium acetate in acetic acid, I gives title compds. II [R = (un)substituted Ph, R1 = Ph, p-anisyl, furyl; R2 = H]. Alkylation of II (R = R1 = Ph, R2 = H) with various alkylating agents yields II (R = R1 = Ph, R2 = Me, CH2COPh, CH2COOEt, CH2Ph).
IT 367262-92-8P 367262-95-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(6-(substituted pyridyl)-2H-[1,4]benzoxazin-3(4H)-ones)
RN 367262-92-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[4-(2-furanyl)-6-(4-methylphenyl)-2-pyridinyl]- (CA INDEX NAME)

L4 ANSWER 36 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



RN 367262-95-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[4-(2-furanyl)-6-(4-methoxyphenyl)-2-pyridinyl]- (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

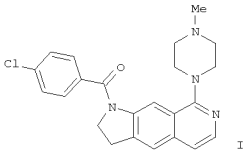
L4 ANSWER 37 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2001:338497 CAPLUS
DOCUMENT NUMBER: 134:353296
TITLE: Preparation of aroylaminoisoquinolines and 1-aroylpyrroloisoquinolines as 5-HT1A, 5-HT1B, and 5-HT1D receptor ligands
INVENTOR(S): Gaster, Laramie Mary; Heightman, Thomas Daniel; Pilleux, Jean-Pierre
PATENT ASSIGNEE(S): Smithkline Beecham P.L.C., UK
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001032626	A1	20010510	WO 2000-EP10908	20001102
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1228043	A1	20020807	EP 2000-971423	20001102
EP 1228043	B1	20050112		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003513075	T	20030408	JP 2001-534778	20001102
AT 286883	T	20050115	AT 2000-971423	20001102
ES 2234683	T3	20050701	ES 2000-971423	20001102
US 20050239797	A1	20051027	US 2005-151008	20050613

PRIORITY APPLN. INFO.:	GB 1999-26304	A	19991105
	GB 2000-17880	A	20000720
	WO 2000-EP10908	W	20001102
	US 2002-129035	B1	20020716

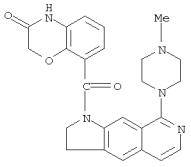
OTHER SOURCE(S): MARPAT 134:353296
GI

L4 ANSWER 37 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



I

AB Title compds., e.g., R1COZ1Z2R4 [R1 = (un)substituted (hetero)aryl or (hetero)aryl-substituted (hetero)aryl; R4 = H or alkyl; Z1 = 2,3-dihydropyrrolo[3,2-g]isoquinoline-1,8-diyl; Z2 = piperazine-1,4-diyl] were prepared Thus, 1-benzylindoline-6-carboxylic acid (preparation given) was amidated by H2NCH2CH(OMe)2 and the product cyclized to give PhCH2Z1OH (Z1 as above). The latter was aminated by 1-methylpiperazine and the deprotected product amidated by 4-ClC6H4COCl to give title compound I. Data for biol. activity of title compds. were given.
IT 338959-04-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aroylaminoisoquinolines and 1-aroylpyrroloisoquinolines as 5-HT1A, 5-HT1B, and 5-HT1D receptor ligands)
RN 338959-04-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[[2,3-dihydro-8-(4-methyl-1-piperazinyl)-1H-pyrrolo[3,2-g]isoquinolin-1-yl]carbonyl]- (CA INDEX NAME)



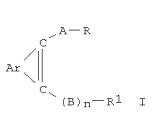
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

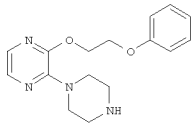
L4 ANSWER 38 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:900625 CAPLUS
DOCUMENT NUMBER: 134:56689
TITLE: Preparation of pyrazinyl phenoxyethyl ethers as 5-HT2C
receptor modulators
INVENTOR(S): Nilsson, Bjorn; Tejbrant, Jan; Pelcman, Benjamin; Ringberg, Erik; Thor, Markus; Nilsson, Jonas; Jonsson, Mattias
PATENT ASSIGNEE(S): Pharmacia & Upjohn AB, Swed.
SOURCE: PCT Int. Appl., 151 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076984	A2	20001221	WO 2000-SE1017	20000519
WO 2000076984	A3	20010208		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2374898	A1	20001221	CA 2000-2374898	20000519
EP 1178973	A2	20020213	EP 2000-931877	20000519
EP 1178973	B1	20051221		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
BR 2000010783	A	20020409	BR 2000-10783	20000519
JP 2003502317	T	20030121	JP 2001-503842	20000519
NZ 515786	A	20040130	NZ 2000-515786	20000519
AU 777276	B2	20041007	AU 2000-49690	20000519
AT 313535	T	20060115	AT 2000-931877	20000519
ES 2252004	T3	20060516	ES 2000-931877	20000519
ZA 2001009571	A	20021120	ZA 2001-9571	20011120
NO 2001005686	A	20020115	NO 2001-5686	20011121
NO 322220	B1	20060828		
MX 2001PA11905	A	20040319	MX 2001-PA11905	20011121
HK 1048311	A1	20060811	HK 2003-100371	20030115
AU 2004202227	A1	20040617	AU 2004-202227	20040524

PRIORITY APPLN. INFO.: SE 1999-1884 A 19990521
US 1999-137527P P 19990603
AU 2000-49690 A3 20000519
WO 2000-SE1017 W 20000519

L4 ANSWER 38 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
OTHER SOURCE(S): MARPAT 134:56689
GI


I

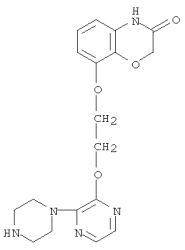

II

AB The title compds. (I) [wherein Ar = (un)substituted (hetero)aryl; A = O, S, SO2, NH, alkyl- or acyl-substituted N, or (un)saturated, (un)substituted (hetero)alkylene chain which may contain a bridge to form a ring; B = CR4R5, OCR4R5, NR6CR4R5, NR6O, S, or SO2; R = (un)substituted cycloalkyl or (hetero)aryl; R1 = (un)saturated (amino)azacyclic or saturated (amino)diazacyclic, (amino)azabicyclic, or diazabicyclic ring, or (CR4R5)xNR2aR3a; n = 0-1; R2a and R3a = independently H, Me, or Et, or taken together with the N to which they are bound form a pyrrolidine, piperazine, or morpholine ring; R4, R5, and R6 = independently H or alkyl; x = 2-4] and their pharmaceutically acceptable salts were prepared and tested as 5-HT2C receptor modulators. Examples include 235 syntheses, a tablet formulation, and pharmacol. tests. For instance, 2,3-dichloropyrazine and 2-phenoxyethanol were stirred and heated to afford the desired 2-(phenoxy)ethyl 3-(1-piperazinyl)-2-pyrazinyl ether (II) in 65% yield, which was then converted to the maleate salt. In an affinity assay using membranes prepared from a transfected HEK293 cell line stably expressing the 5-HT2C receptor protein, I typically exhibited 5HT2C receptor affinity values (K1) ranging from 1 nM to 1500 nM. Specific values ranging from 5 nM to 377 nM were reported for 12 compds. Agonist efficacy at the 5-HT2C receptor for I were determined by the ability of the compds. to mobilize intracellular Ca in transfected HEK293 cells, and typical maximum responses of the agonists were in the range of 20-100% relative to the maximum response of 5-HT (serotonin) at a concentration of 1 μM. Acute toxicity studies in mice following oral administration of I showed that mortality typically occurred at doses between 200 mg/kg to 450 mg/kg body weight I are useful for the treatment of serotonin-related disorders, such as eating disorders, especially obesity, memory disorders, schizophrenia, mood disorders, anxiety disorders, pain, sexual dysfunctions, and urinary

L4 ANSWER 38 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
disorders (no data).
IT 313655-11-7P, 8-[2-[[3-(1-Piperazinyl)-2-pyrazinyl]oxy]ethoxy]-2H-1,4-benzoxazin-3(4H)-one Maleate
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hetercyclylpyrazinyl phenoxyethoxy ether 5-HT2C receptor modulators by coupling of phenoxyethanols with 2,3-dichloropyrazine followed by addition of heterocycles)
RN 313655-11-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-[2-[[3-(1-piperazinyl)pyrazinyl]oxy]ethoxy]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

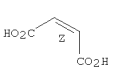
CRN 313655-10-6
CMF C18 H21 N5 O4



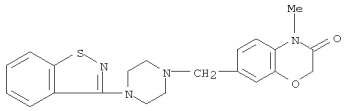
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



L4 ANSWER 39 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:757255 CAPLUS
DOCUMENT NUMBER: 134:71564
TITLE: Synthesis and binding studies on a new series of arylpiperazino benzazol-2-one and benzoxazin-3-one derivatives as selective D4 ligands
AUTHOR(S): Carato, Pascal; Depreux, Patrick; Lesieur, Daniel; Millan, Mark; Newman-Tancredi, Adrian; Rettori, Marie Claire; Caignard, Daniel-Henri
CORPORATE SOURCE: Institut de Chimie Pharmaceutique Charles Lespagnol, Lille, F-59006, Fr.
SOURCE: Drug Design and Discovery (2000), 17(2), 173-181
CODEN: DDDIEV; ISSN: 1055-9612
PUBLISHER: Harwood Academic Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:71564
AB A series of new arylpiperazinomethyl derivs. of benzoxazol-2-one, benzothiazol-2-one, and 1,4-benzoxazin-3-one was designed and studied as potential D4 ligands. Some of the tested compds. were found to be as potent as clozapine at D4 receptors. Moreover, compds. which displayed a high D2/D4 selectivity ratio (> 122) were selected for further pharmacol. evaluation.
IT 207552-83-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and selective D4 receptor binding of arylpiperazinobenzazol-2-ones and -benzoxazin-3-ones)
RN 207552-83-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]-4-methyl- (CA INDEX NAME)



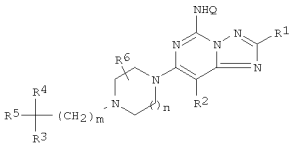
REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 40 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2000:210169 CAPLUS
DOCUMENT NUMBER: 132:251158
TITLE: Preparation of [1,2,4]triazolo[1,5-c]pyrimidine derivatives as adenosine A2A receptor antagonists
INVENTOR(S): Shimada, Junichi; Imma, Hironori; Ozakada, Naoto; Shiozaki, Shizuo; Kanda, Tomoyuki; Kuwana, Yoshihisa
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000017201	A1	20000330	WO 1999-JP5176	19990922
W: AU, BG, BR, CA, CN, CZ, HU, ID, IL, IN, JP, KR, MX, NO, NZ, PL, RO, SG, SI, SK, UA, US, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2344828	A1	20000330	CA 1999-2344828	19990922
AU 9957579	A	20000410	AU 1999-57579	19990922
AU 756144	B2	20030102		
EP 1116722	A1	20010718	EP 1999-944771	19990922
EP 1116722	B1	20050112		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO				
BR 9914040	A	20020115	BR 1999-14040	19990922
HU 2001003921	A2	20020429	HU 2001-3921	19990922
HU 2001003921	A3	20021228		
NZ 510629	A	20030429	NZ 1999-510629	19990922
AT 286900	T	20050115	AT 1999-944771	19990922
NO 2001001417	A	20010521	NO 2001-1417	20010320
MX 2001PA02976	A	20000827	MX 2001-PA2976	20010322
US 6545000	B1	20030408	US 2001-787779	20010322
PRIORITY APPLN. INFO.:			JP 1998-267178 A	19980922
			WO 1999-JP5176 W	19990922

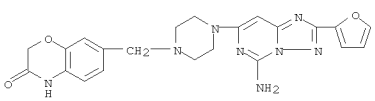
OTHER SOURCE(S): MARPAT 132:251158
GI

L4 ANSWER 40 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



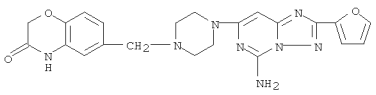
I

AB Title compds. [I; wherein R1 represents heteroaryl, etc.; R2 represents hydrogen, etc.; n and m represent each an integer of 0 to 4; Q represents hydrogen, etc.; R6 represents hydrogen, etc.; R3 represents hydroxy, hydroxy(lower alkyl), lower alkoxy, imidazo[1,2-a]pyridyl, etc.; and R4 and R5 represent each lower alkyl or aryl, or R4 and R5 form together with the adjacent carbon atom a saturated carbon ring when R3 is any of OH, alkylhydroxy, alkoxy; or R4 and R5 represent each hydrogen, lower alkyl or aryl, or R4 and R5 form together with the adjacent carbon atom a saturated carbon ring when R3 is imidazo[1,2-1]pyridyl] and pharmacol. acceptable salts thereof are prepared and tested as adenosine A2A receptor antagonists. The title compound II was prepared
IT 262452-23-3P 262452-24-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of triazolopyrimidines as receptors inhibitors)
RN 262452-23-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[[4-[5-amino-2-(2-furanyl)[1,2,4]triazolo[1,5-c]pyrimidin-7-yl]-1-piperazinyl]methyl]- (CA INDEX NAME)



RN 262452-24-4 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[4-[5-amino-2-(2-furanyl)[1,2,4]triazolo[1,5-c]pyrimidin-7-yl]-1-piperazinyl]methyl]- (CA INDEX NAME)

L4 ANSWER 40 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

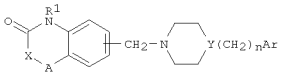


REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE
FORMAT

L4 ANSWER 41 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1998:314485 CAPLUS
DOCUMENT NUMBER: 129:4662
ORIGINAL REFERENCE NO.: 129:1117a,1120a
TITLE: Preparation of piperazinylmethylbenzothiazolinones, -benzoxazolinones, -benzoxazinones, and related compounds as central nervous system agents.
INVENTOR(S): Lesieur, Daniel; Carato, Pascal; Bonte, Jean-paul; Depreux, Patrick; Caignard, Daniel-henri; Millan, Mark; Newman-Tancredi, Adrian; Renard, Pierre; Rettori, Marie-claire
PATENT ASSIGNEE(S): Adir et Cie., Fr.
SOURCE: Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

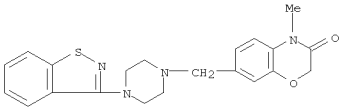
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 841330	A1	19980513	EP 1997-402655	19971106
EP 841330	B1	20010627		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
FR 2755690	A1	19980515	FR 1996-13652	19961108
FR 2755690	B1	19981218		
CA 2220996	A1	19980508	CA 1997-2220996	19971106
AT 202564	T	20010715	AT 1997-402655	19971106
PT 841330	T	20011030	PT 1997-402655	19971106
ES 2160308	T3	20011101	ES 1997-402655	19971106
NO 9705121	A	19980511	NO 1997-5121	19971107
NO 309477	B1	20010205		
AU 9744403	A	19980514	AU 1997-44403	19971107
AU 726681	B2	20001116		
CN 1182083	A	19980520	CN 1997-122237	19971107
CN 1072655	C	20011010		
ZA 9710055	A	19980525	ZA 1997-10055	19971107
HU 9701921	A2	19981228	HU 1997-1921	19971107
HU 9701921	A3	19990329		
US 5919784	A	19990706	US 1997-966040	19971107
JP 10139780	A	19980526	JP 1997-306849	19971110
BR 9705456	A	20000208	BR 1997-5456	19971110
HK 1010869	A1	20020628	HK 1998-111879	19981110
GR 3036472	T3	20011130	GR 2001-401331	20010830
PRIORITY APPLN. INFO.:			FR 1996-13652 A	19961108

OTHER SOURCE(S): CASREACT 129:4662; MARPAT 129:4662
GI



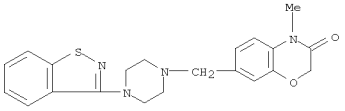
I

L4 ANSWER 41 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
AB Title compds. [I; R1 = H, alkyl, arylpiperidinylalkyl; n = 0, 1; A = O, S;
X = CH2, bond; Y = CH, N; Ar = (substituted) Ph, naphthyl, pyridyl, pyrimidinyl, benzisothiazolyl, etc.], were prepared Thus, 3-methyl-6-chloromethylbenzoxazolinone (preparation given) was refluxed with
Et3N, N-(2-methoxyphenyl)piperazine, and KI in acetone to give 52% 3-methyl-6-[[4-(2-methoxyphenyl)piperazin-1-yl]methyl]benzoxazolinone. The latter antagonized D4.4 receptors with Kb = 2.39 nM. A I drug formulation is given.
IT 207552-58-7P 207552-83-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazinylmethylbenzothiazolinones, -benzoxazolinones,
-benzoxazinones, and related compds. as central nervous system agents)
RN 207552-58-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]-4-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 207552-83-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]methyl]-4-methyl- (CA INDEX NAME)



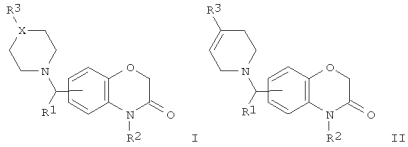
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 42 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1997:805731 CAPLUS
DOCUMENT NUMBER: 128:61518
ORIGINAL REFERENCE NO.: 128:12051a,12054a
TITLE: Preparation of benzoxazinones as dopamine D4 receptor antagonists
INVENTOR(S): Belliotti, Thomas; Wise, Lawrence David; Wustrow, David Juergen
PATENT ASSIGNEE(S): Warner-Lambert Company, USA; Belliotti, Thomas
SOURCE: PCT Int. Appl., 43 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

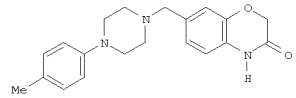
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9745419	A1	19971204	WO 1997-US8524	19970520
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, GH, HU, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
IN 1997DE01328	A	20050311	IN 1997-DE1328	19970519
CA 2253406	A1	19971204	CA 1997-2253406	19970520
AU 9730727	A	19980105	AU 1997-30727	19970520
AU 731104	B2	20010322		
EP 906294	A1	19990407	EP 1997-925651	19970520
EP 906294	B1	20030129		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI				
CN 1219930	A	19990616	CN 1997-195015	19970520
CN 1074764	C	20011114		
BR 9709630	A	19990810	BR 1997-9630	19970520
NZ 332737	A	20000623	NZ 1997-332737	19970520
HU 9903758	A2	20000628	HU 1999-3758	19970520
HU 9903758	A3	20000728		
JP 2000511534	T	20000905	JP 1997-542647	19970520
IL 126895	A	20010614	IL 1997-126895	19970520
EE 3686	B1	20020415	EE 1998-417	19970520
SK 282703	B6	20021106	SK 1998-1627	19970520
AT 231846	T	20030215	AT 1997-925651	19970520
PT 906294	T	20030630	PT 1997-925651	19970520
ES 2191180	T3	20030901	ES 1997-925651	19970520
RO 120845	B1	20060830	RO 1998-1622	19970520
ZA 9704684	A	19971230	ZA 1997-4684	19970528
TW 542833	B	20030721	TW 1997-86107221	19970528
HR 970301	B1	20020831	HR 1997-301	19970529
US 6177422	B1	20010123	US 1998-180376	19981104
BG 64049	B1	20031128	BG 1998-102959	19981126
NO 9805531	A	19981127	NO 1998-5531	19981127
NO 312296	B1	20020422		
KR 2000016151	A	20000325	KR 1998-709719	19981128
HK 1019737	A1	20020705	HK 1999-104867	19991028

PRIORITY APPLN. INFO.: US 1996-18383P P 19960529
US 1997-40208P P 19970306
WO 1997-US8524 W 19970520

OTHER SOURCE(S): MARPAT 128:61518
GI

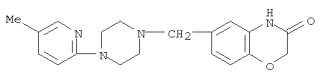


I II



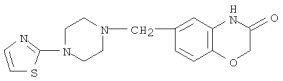
III

AB The title compds. [I, II; R1, R2 = H, C1-6 alkyl; X = N, CH; R3 = Ph, naphthyl, heteroaryl, etc.], useful in treating psychosis and schizophrenia, were prepared Thus, reaction of 3-oxo-dihydro-2H-benzo[1,4]oxazine-7-carbaldehyde with 1-(4-methylphenyl)piperazine in the presence of AcOH, NaBH(OAc)3 in 1,2-Cl2C2H4 afforded 33% III which showed Ki of 10.66 nM against dopamine D4 receptor binding.
IT 200194-60-1P 200194-66-7P 200194-67-8P
200194-68-9P 200194-94-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzoxazinones as dopamine D4 receptor antagonists)
RN 200194-60-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[4-(5-methyl-2-pyridinyl)-1-piperazinyl]methyl]- (CA INDEX NAME)

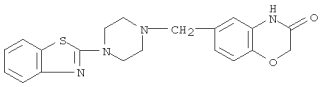


L4 ANSWER 42 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

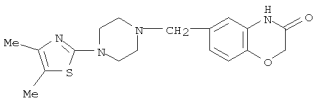
RN 200194-66-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[4-(2-thiazolyl)-1-piperazinyl]methyl]- (CA INDEX NAME)



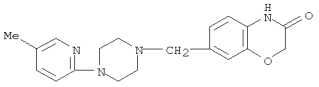
RN 200194-67-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[4-(2-benzothiazolyl)-1-piperazinyl]methyl]- (CA INDEX NAME)



RN 200194-68-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[4-(4,5-dimethyl-2-thiazolyl)-1-piperazinyl]methyl]- (CA INDEX NAME)



RN 200194-94-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 7-[[4-(5-methyl-2-pyridinyl)-1-piperazinyl]methyl]- (CA INDEX NAME)



L4 ANSWER 42 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)

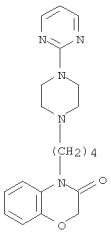
L4 ANSWER 43 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1988:570460 CAPLUS
DOCUMENT NUMBER: 109:170460
ORIGINAL REFERENCE NO.: 109:28279a,28282a
TITLE: Preparation of pyrimidinylpiperazine compounds as antianxiety agents
INVENTOR(S): Nakao, Tatsu; Obata, Minoru; Morita, Kenji; Morimoto, Yasuto; Anami, Koretake
PATENT ASSIGNEE(S): Yoshitomi Pharmaceutical Industries, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 63146872	A	19880618	JP 1987-166134	19870701
PRIORITY APPLN. INFO.:			JP 1986-160441	A1 19860708

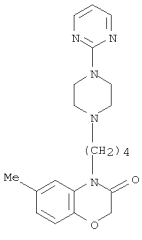
OTHER SOURCE(S): MARPAT 109:170460
GI For diagram(s), see printed CA Issue.
AB Title compds. I [A = CR1R2CR3R4, CR1:CR3, OCR5R6, S(O)nCR7R8; R1 - R8 = H, lower alkyl; R9, R10 = H, halo, OH, lower alkyl, lower alkoxy; n = O, 1, 2] and their acid salts, useful as antianxiety agents, are prepared 6-Chloro-7-methyl-1,2,3,4-tetrahydroquinolin-2-one (7.2 g) was treated with 4-[4-(2-pyrimidinyl)piperazin-1-yl]butyl chloride in acetone containing KOH at room temperature for 5 h to give I (A = CH2CH2, R9 = 6-Cl, R10 = 7-Me) (II), which was treated with HCl-Me2CHOH to give II.2HCl.H2O (III). In the water-lick test in mice, III at 10 mg/kg i.p. significantly shortened the time interval between the last drinking-electroshock and the next one compared with the control without administration. I (A = CH:CH, R9 = R10 = H) was also prepared by treatment of 1-(4-bromobutyl)-1,2-dihydroquinolin-2-one with 4-(2-pyrimidinyl)piperazine.
IT 116936-99-3P 116937-03-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antianxiety agent)
RN 116936-99-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[4-[4-(2-pyrimidinyl)-1-piperazinyl]butyl]-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 43 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



●2 HCl

RN 116937-03-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-methyl-4-[4-[4-(2-pyrimidinyl)-1-piperazinyl]butyl]- (CA INDEX NAME)



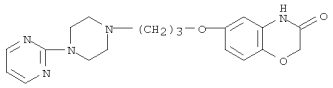
L4 ANSWER 44 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1988:131859 CAPLUS
DOCUMENT NUMBER: 108:131859
ORIGINAL REFERENCE NO.: 108:21639a,21642a
TITLE: Preparation of [(heterocyclyloxy)alkyl]piperazines and
-tetrahydropyridines as antipsychotics
INVENTOR(S): Caprathe, Bradley W.; Dewald, Horace A.; Jaen, Juan C.; Wise, Lawrence D.
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: Eur. Pat. Appl., 14 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 237781	A2	19870923	EP 1987-101928	19870212
EP 237781	A3	19871216		
EP 237781	B1	19910424		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 4704390	A	19871103	US 1986-924627	19861105
JP 62252783	A	19871104	JP 1987-28394	19870212
AT 62904	T	19910515	AT 1987-101928	19870212
ES 2028802	T3	19920716	ES 1987-101928	19870212
CA 1280750	C	19910226	CA 1987-529650	19870213
US 4803203	A	19890207	US 1987-62752	19870616

PRIORITY APPLN. INFO.: US 1986-829036 A 19860213
US 1986-924627 A 19861105
EP 1987-101928 A 19870212

OTHER SOURCE(S): CASREACT 108:131859; MARPAT 108:131859
GI For diagram(s), see printed CA Issue.
AB The title compds. [I; R = (un)substituted Ph, pyridinyl, pyrimidinyl, pyrazinyl, thienyl, furanyl, 2- or 5-thiazolyl; X = N or, when double bond
indicated by dotted line is present, C; A = 5- or 6-membered N- and/or O-containing heterocycle fused to the benzo ring; n = 2-5] and their pharmaceutically acceptable acid salts were prepared as antipsychotic agents. 2,3-Dihydro-7-methoxy-2,2-dimethyl-4H-benzopyran-4-one was demethylated (60%) by refluxing in pyridine-HCl and the product was stirred with 1-phenylpiperazine 18 h at 80-90° in DMF containing NaHCO3 to give, after acidification, 64% (piperazinylpropoxy)benzopyranone II. In rats II inhibited locomotor activity with an ED50 of 5.9 mg/kg i.p., and displaced haloperidol from rat striatal membrane with an IC50 of 300 nM.
IT 113499-72-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological
study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L4 ANSWER 44 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)
(prepn. of, as antipsychotic)
RN 113499-72-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[3-[4-(2-pyrimidinyl)-1-piperazinyl]propoxy]- (CA INDEX NAME)

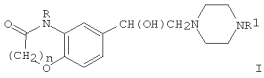


L4 ANSWER 45 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1976:135683 CAPLUS
DOCUMENT NUMBER: 84:135683
ORIGINAL REFERENCE NO.: 84:22059a,22062a
TITLE: Phenylalkanolamines
INVENTOR(S): Mentrup, Anton; Schromm, Kurt; Renth, Ernst O.; Hoefke, Wolfgang
PATENT ASSIGNEE(S): Boehringer, C. H., Sohn, Fed. Rep. Ger.
SOURCE: Ger. Offen., 39 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

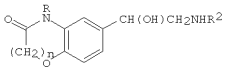
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2429253	A1	19760115	DE 1974-2429253	19740619

PRIORITY APPLN. INFO.: DE 1974-2429253 A 19740619

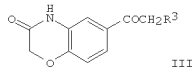
GI



I



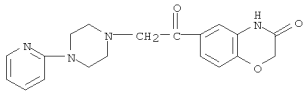
II



III

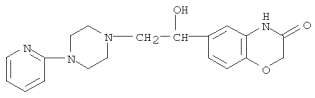
AB Sympatholytic, sedative, analgesic, anticholesteremic (no data) alkanolamines I (R = H, Me; R1 = Ph, substituted phenyl, naphthyl, 2-pyridyl; n = 0, 1) and II (R2 = substituted phenylalkyl, phenoxyalkyl) (48 compds.) were prepared Thus I (R = H, R1 = 2-MeOC6H4, n = 1) was obtained by NaBH4 reduction of the ketone prepared by brominating III (R3 = H)
and treating III (R3 = Br) with 1-(2-methoxyphenyl)piperazine.
IT 58820-25-0P 58820-55-6P 58820-56-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 58820-25-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[[4-(2-pyridinyl)-1-piperazinyl]acetyl]-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 45 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)



●2 HCl

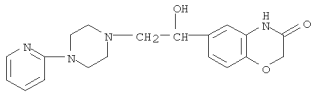
RN 58820-55-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-[1-hydroxy-2-[4-(2-pyridinyl)-1-piperazinyl]ethyl]- (CA INDEX NAME)



RN 58820-56-7 CAPLUS
CN Sulfamic acid, cyclohexyl-, compd. with 6-[1-hydroxy-2-[4-(2-pyridinyl)-1-piperazinyl]ethyl]-2H-1,4-benzoxazin-3(4H)-one (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 58820-55-6
CMF C19 H22 N4 O3



CM 2

CRN 100-88-9
CMF C6 H13 N O3 S

L4 ANSWER 45 OF 45 CAPLUS COPYRIGHT 2008 ACS on STN (Continued)